Connecting via Winsock to STN

```
Welcome to STN International!  Enter x:x

LOGINID:ssptal1600lxm

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 21:04:29 ON 16 MAR 2010
FILE 'REGISTRY' ENTERED AT 21:04:29 ON 16 MAR 2010
COPYRIGHT (C) 2010 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    7.84     604.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -56.10

=> d his

     (FILE 'HOME' ENTERED AT 20:18:02 ON 16 MAR 2010)

     FILE 'REGISTRY' ENTERED AT 20:18:28 ON 16 MAR 2010
L1             STRUCTURE UPLOADED
L2           29 S L1
L3          504 S L1 FULL

     FILE 'REGISTRY' ENTERED AT 20:20:52 ON 16 MAR 2010

     FILE 'CAPLUS' ENTERED AT 20:21:01 ON 16 MAR 2010
L4           66 S L3

     FILE 'REGISTRY' ENTERED AT 20:29:36 ON 16 MAR 2010

     FILE 'REGISTRY' ENTERED AT 20:44:55 ON 16 MAR 2010

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    9.31     606.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -56.10

FILE 'CAPLUS' ENTERED AT 21:06:25 ON 16 MAR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 16 Mar 2010  VOL 152 ISS 12
FILE LAST UPDATED: 15 Mar 2010  (20100315/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Dec 2009

CAplus now includes complete International Patent Classification (IPC)
```

reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

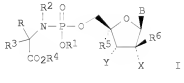
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitstr 1-66 l4

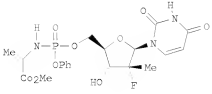
L4 ANSWER 1 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:85102 CAPLUS
DN 152:144974
TI Preparation of nucleoside phosphoramidate prodrugs as antiviral agents
IN Sofia, Michael Joseph; Du, Jinfa; Wang, Peiyuan; Nagarathnam, Dhanapalan
PA Pharmasset, Inc., USA
SO U.S. Pat. Appl. Publ., 77pp.; Chemical Indexing Equivalent to 149:426212 (WO)
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 20100016251 | A1 | 20100121 | US 2008-53015 | 20080321 |
| | AU 2008232827 | A1 | 20081009 | AU 2008-232827 | 20080326 |
| | CA 2682230 | A1 | 20081009 | CA 2008-2682230 | 20080326 |
| | WO 2008121634 | A2 | 20081009 | WO 2008-US58183 | 20080326 |
| | W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | KR 20100016041 | A | 20100212 | KR 2009-722652 | 20080326 |
| | MX 2009010401 | A | 20091110 | MX 2009-10401 | 20090928 |
| PRAI | US 2007-909315P | P | 20070330 | | |
| | US 2007-982309P | P | 20071024 | | |
| | US 2008-53015 | A | 20080321 | | |
| | WO 2008-US58183 | W | 20080326 | | |

GI



I



II

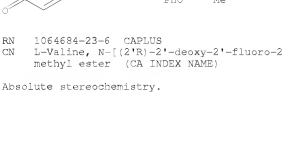
AB Disclosed herein are nucleoside phosphoramidates prodrugs I, wherein R and

R3 are independently H, alkyl, cycloalkyl, alkylamine, hydroxyalkyl, CH2SH, alkyl-sulfonyl, (CH2)3NHC(=NH)NH2, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, acyl, aryl, aryl-alkyl; R3 and R both are alkyl; R3 and R together are alkylidene so as to form a spiro ring; R3 is H and R and R2 together are (CH2)n so as to form a cyclic ring that includes the adjoining N and C atoms; R is H and R3 and R2 together are (CH2)n. so as to form a cyclic ring; that includes the adjoining N and C atoms, n is 2 to 4; one of R and R3 is H and the other is R3 CH3, Et, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, CH2Ph, CH2-indol-3-yl, -CH2CH2SCH3, CH2CO2H, CH2C(O)NH2, CH2CH2OOH, CH2CH2C(O)NH2, CH2CH2CH2CH2NH2, -CH2CH2CH2NHC(NH)NH2, CH2-imidazol-4-yl, CH2OH, CH(OH)CH3, CH2[(4'-OH)-Ph], CH2SH, cycloalkyl; R1 is H, alkyl, cycloalkyl, aryl; R2 is H, alkyl; R, R2 and R3 together are (CH2)n; R4 is H, alkyl, alkoxy, alkylamino, halo, halo-alkyl, cycloalkyl, aminoacyl, aryl, heterocycle; R5 is H, alkyl, CN, vinyl, hydroxy-alkyl, CH2OH, CH2F, CH2CN, CH2NH2, CH2NHMe, CH2NMe2, alkyne; R6 is H, Me, CH2F, CHF2, CF3, F, CN; X is H, OH, F, OMe, halogen, NH2, N3; Y is CH, H, alkyl, alkenyl, alkynyl, vinyl, N3, CN, halo, oxycarbonyl sulfonyl, were prepared and tested as antiviral agents. Thus, nucleoside II was prepared and tested as antiviral agent for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, denque virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

IT 1015255-46-5P 1064684-23-6P 1064684-24-7P
1064684-25-8P 1064684-28-1P 1064684-29-2P
1064684-30-5P 1064684-32-7P 1064684-33-8P
1064684-36-1P 1064684-37-2P 1064684-38-3P
1064684-41-8P 1064684-42-9P 1064684-43-0P
1064684-44-1P 1064684-45-2P 1064684-46-3P
1064684-47-4P 1064684-48-5P 1064684-49-6P
1064684-50-9P 1064684-52-1P 1064684-53-2P
1064684-54-3P 1064684-55-4P 1064684-56-5P
1064684-57-6P 1064684-58-7P 1064684-59-8P
1064684-60-1P 1064684-61-2P 1064684-62-3P
1064684-63-4P 1064684-64-5P 1064684-66-7P
1064684-67-8P 1064684-68-9P 1064684-69-0P
1064684-70-3P 1064684-71-4P 1064684-72-5P
1064684-73-6P 1064684-74-7P 1064684-75-8P
1064684-76-9P 1064684-77-0P 1064684-78-1P
1064684-79-2P 1064684-80-5P 1064684-81-6P
1064684-82-7P 1064684-85-0P 1064684-88-3P
1064684-92-9P 1064685-44-4P 1064685-46-6P
1064685-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)

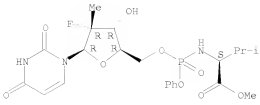
RN 1015255-46-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



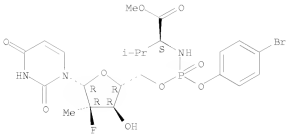
RN 1064684-23-6 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



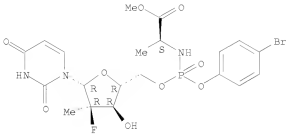
RN 1064684-24-7 CAPLUS
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



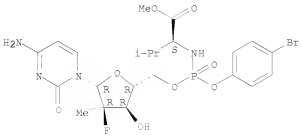
RN 1064684-25-8 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-28-1 CAPLUS
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

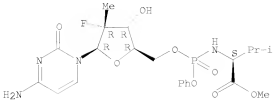
Absolute stereochemistry.



RN 1064684-29-2 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-,

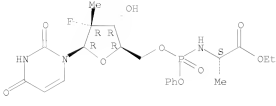
methyl ester (CA INDEX NAME)

Absolute stereochemistry.



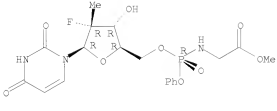
RN 1064684-30-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



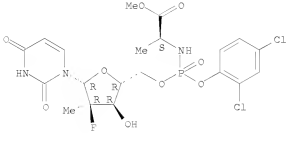
RN 1064684-32-7 CAPLUS
CN Glycine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



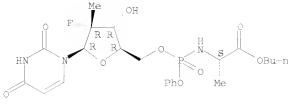
RN 1064684-33-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(2,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



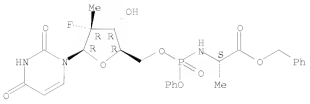
RN 1064684-36-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



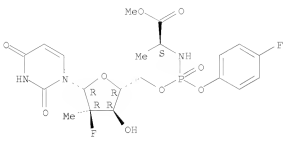
RN 1064684-37-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



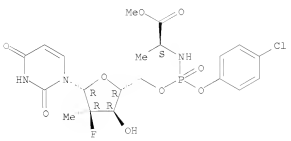
RN 1064684-38-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



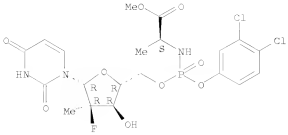
RN 1064684-41-8 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



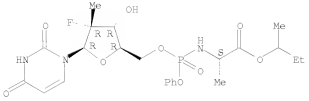
RN 1064684-42-9 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



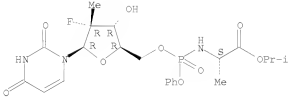
RN 1064684-43-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



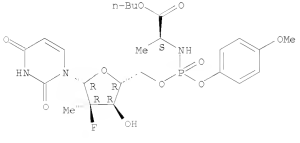
RN 1064684-44-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-45-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

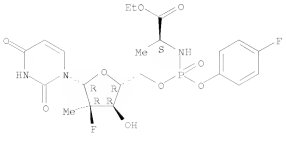
Absolute stereochemistry.



RN 1064684-46-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-

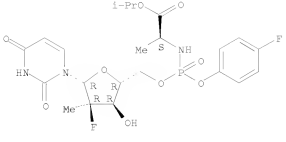
uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



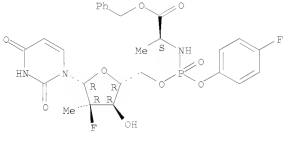
RN 1064684-47-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



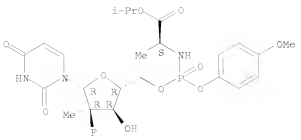
RN 1064684-48-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



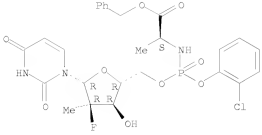
RN 1064684-49-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



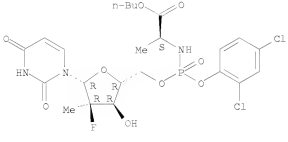
RN 1064684-50-9 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



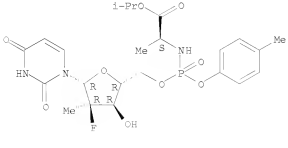
RN 1064684-52-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(2,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



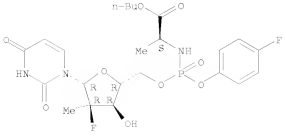
RN 1064684-53-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



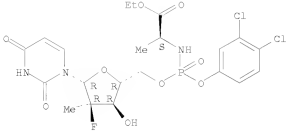
RN 1064684-54-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



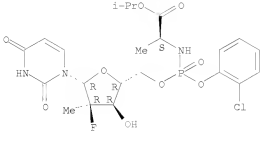
RN 1064684-55-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



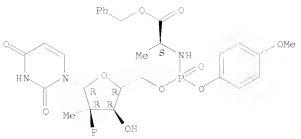
RN 1064684-56-5 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



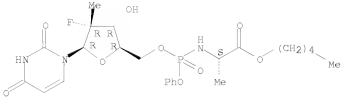
RN 1064684-57-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



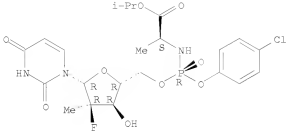
RN 1064684-58-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, pentyl ester (CA INDEX NAME)

Absolute stereochemistry.



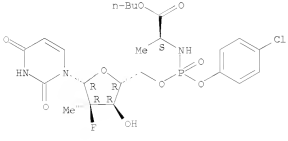
RN 1064684-59-8 CAPLUS
CN L-Alanine, N-[(P,R),2'R]-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-60-1 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

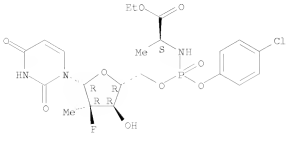
Absolute stereochemistry.



RN 1064684-61-2 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-

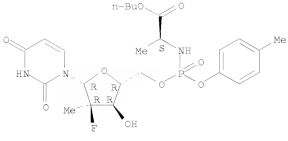
uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



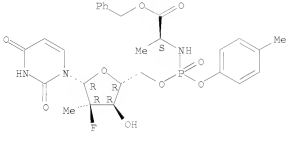
RN 1064684-62-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



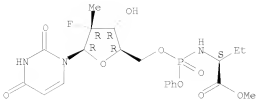
RN 1064684-63-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



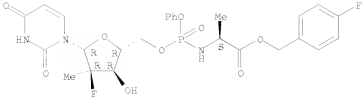
RN 1064684-64-5 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-(methoxycarbonyl)propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



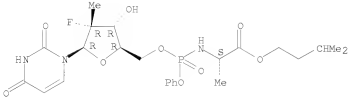
RN 1064684-66-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, (4-fluorophenyl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.



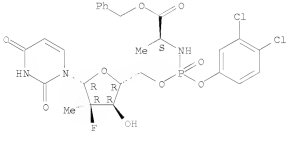
RN 1064684-67-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 3-methylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



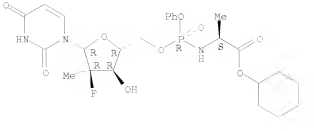
RN 1064684-68-9 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



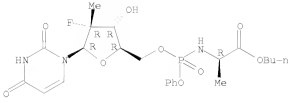
RN 1064684-69-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



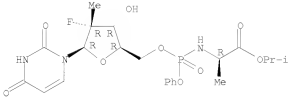
RN 1064684-70-3 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



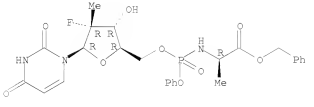
RN 1064684-71-4 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



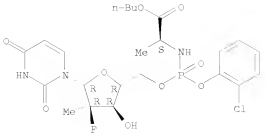
RN 1064684-72-5 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



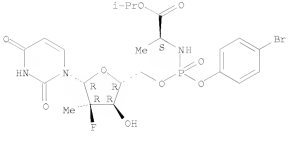
RN 1064684-73-6 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



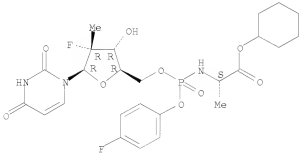
RN 1064684-74-7 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



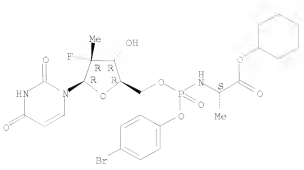
RN 1064684-75-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



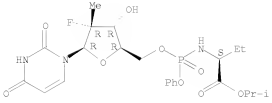
RN 1064684-76-9 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



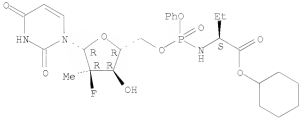
RN 1064684-77-0 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(1-methylethoxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



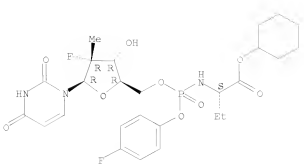
RN 1064684-78-1 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(cyclohexyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



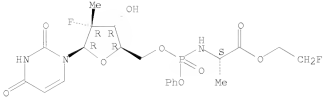
RN 1064684-79-2 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[4-fluorophenyl N-[(1S)-1-[(cyclohexyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



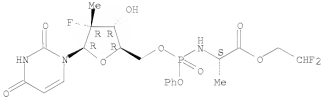
RN 1064684-80-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2-fluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



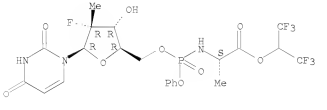
RN 1064684-81-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2,2-difluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



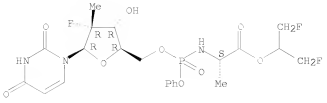
RN 1064684-82-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



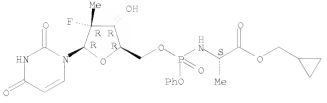
RN 1064684-85-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2-fluoro-1-(fluoromethyl)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



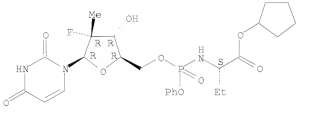
RN 1064684-88-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclopropylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



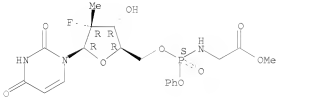
RN 1064684-92-9 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(cyclopentyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



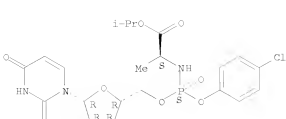
RN 1064685-44-4 CAPLUS
CN Glycine, N-[(P(S),2'R]-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



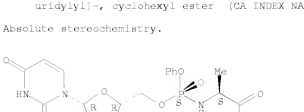
RN 1064685-46-6 CAPLUS
CN L-Alanine, N-[(P(S),2'R]-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



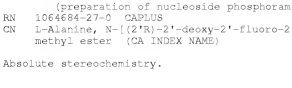
RN 1064685-47-7 CAPLUS
CN L-Alanine, N-[(P(S),2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 1064684-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)
RN 1064684-27-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



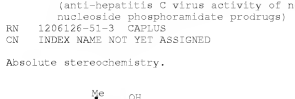
L4 ANSWER 2 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1507900 CAPLUS
DN 152:183433
TI Anti-hepatitis C virus activity of novel β-d-2'-C-methyl-4'-azido pyrimidine nucleoside phosphoramidate prodrugs
AU Rondla, Ramu; Costa, Steven J.; McBrayer, Tamara R.; Grier, Jason; Johns, Melissa; Tharnish, Phillip M.; Whitaker, Tony; Zhou, Longhu; Schinazi, Raymond F.
CS Center for AIDS Research, Laboratory of Biochemical Pharmacology, Department of Pediatrics, Emory University School of Medicine and Veterans Affairs Medical Center, Atlanta, GA, USA
SO Antiviral Chemistry & Chemotherapy (2009), 20(2), 99-106
CODEN: ACCHEH; ISSN: 0956-3202
URL: http://www.intmedpress.com/journals/avcc/abstract.cfm?id=1400&pid=108
PB International Medical Press, Ltd.
DT Journal; (online computer file)
LA English
AB Background: 2'-C-Me and 4'-azido nucleosides have previously demonstrated

inhibition of hepatitis C virus (HCV) replication by targeting the RNA-dependent RNA polymerase NS5B. In an effort to discover new and more potent anti-HCV agents, we envisioned synthesizing nucleoside analogs by combining the 2'-C-methyl-moiety with the 4'-azido-moiety into one mol. Methods: 2'-C-methyl-4'-azido pyrimidine nucleosides were synthesized by first converting 2'-C-Me ribo-nucleosides to the corresponding 4'-exocyclic methylene nucleosides. Treatment with iodine azide, benzoylation of the 2'- and 3'-hydroxy groups, oxidative displacement of the 5'-iodo group with p-chloroperoxybenzoic acid, and debenzoylation gave the desired 2'-C-methyl-4'-azido uridine and thymidine analogs in good yield. Standard conversion of uridine to cytidine via the 4-triazole yielded 2'-C-methyl-4'-azido cytidine. In addition, 5'-phosphoramidate derivs. of 2'-C-methyl-4'-azido uridine and cytidine were synthesized to bypass the initial phosphorylation step. Results: The prepared nucleosides and their 5'-monophosphate prodrugs were evaluated for their ability to inhibit replication of the hepatitis C virus in a sub-genomic replicon cell based assay. Cytotoxicity in Huh7 cells was determined simultaneously with anti-HCV activity by extraction and amplification of both HCV RNA and rRNA. Among the newly synthesized compds., only the 5'-monophosphate nucleoside prodrugs had modest and selective anti-HCV activity. All prepared pyrimidine nucleosides and 5'-monophosphate nucleoside prodrugs displayed no evidence of cytotoxicity at high concns. Conclusions: This work is the first example of both inactive uridine and cytidine analogs of a nucleoside being converted to active anti-HCV nucleosides via 5'-monophosphate prodrugs.

IT 1206126-51-3P 1206126-54-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-hepatitis C virus activity of novel methylazido pyrimidine nucleoside phosphoramidate prodrugs)

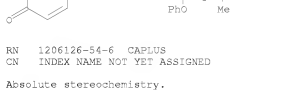
RN 1206126-51-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1206126-54-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

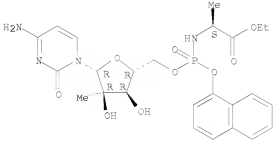


RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:952853 CAPLUS
DN 151:313498
TI Phosphoramidate Prodrugs of 2'-C-Methylcytidine for Therapy of Hepatitis C Virus Infection
AU Gardelli, Cristina; Attenni, Barbara; Donghi, Monica; Meppen, Malte; Pacini, Barbara; Harper, Steven; Di Marco, Annalise; Fiore, Fabrizio;

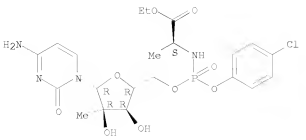
Giuliano, Claudio; Pucci, Vincenzo; Laufer, Ralph; Gennari, Nadia; Marcucci, Isabella; Leone, Joseph F.; Olsen, David B.; MacCoss, Malcolm; Rowley, Michael; Narjes, Frank
CS Departments of Medicinal Chemistry and Pharmacology, Istituto di Ricerche di Biologia Molecolare, P. Angeletti S.p.A. (IRBM-MRL Rome), Pomezia, 00040, Italy
SO Journal of Medicinal Chemistry (2009), 52(17), 5394-5407
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI CASREACT 151:313498
AB The application of a phosphoramidate prodrug approach to 2'-C-methylcytidine (NM107), the first nucleoside inhibitor of the hepatitis C virus (HCV) NS5B polymerase, is reported. 2'-C-Methylcytidine, as its valyl ester prodrug (NM283), was efficacious in reducing the viral load in patients infected with HCV. Several of the phosphoramidates prepared demonstrated a 10- to 200-fold superior potency with respect to the parent nucleoside in the cell-based replicon assay. This is due to higher levels of 2'-C-methylcytidine triphosphate in the cells. These prodrugs are efficiently activated and converted to the triphosphate in hepatocytes of several species. Our SAR studies ultimately led to compds. that gave high levels of NTP in hamster and rat liver after s.c. dosing and that were devoid of the toxic phenol moiety usually found in ProTides.
IT 946511-07-5P 946511-13-3P 946511-29-1P
946511-32-6P 946511-37-1P 946511-45-1P
946511-46-2P 946511-59-7P 946511-63-3P
946511-68-8P 946511-72-4P 946511-74-6P
946511-76-8P 946511-78-0P 946511-81-5P
946511-82-6P 1035638-04-0P 1035638-21-1P
1185923-61-8P 1185923-63-0P 1185923-73-2P
1185923-76-5P 1185923-79-8P 1185923-81-2P
1185923-83-4P 1185923-88-9P 1185923-90-3P
1185923-92-5P 1185923-94-7P 1185923-96-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihepatitis activity of methylcytidine phosphoramidate prodrugs via condensation of methylcytidine with aryloxyphosphorochloridates)
RN 946511-07-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



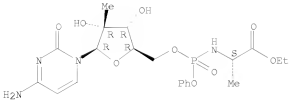
RN 946511-13-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



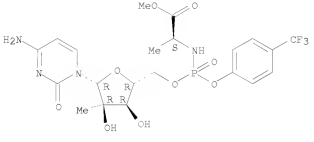
RN 946511-29-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



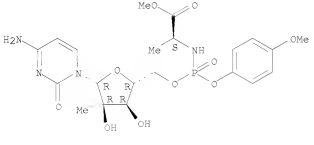
RN 946511-32-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethyl)phenyl]-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-37-1 CAPLUS
CN L-Alanine, N-[P-(4-methoxyphenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

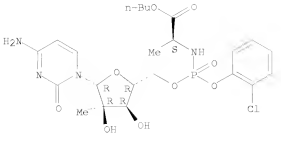
Absolute stereochemistry.



RN 946511-45-1 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester

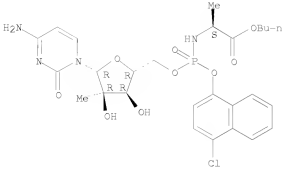
(CA INDEX NAME)

Absolute stereochemistry.



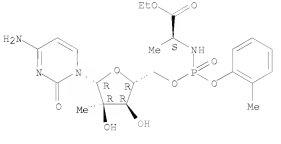
RN 946511-46-2 CAPLUS
CN L-Alanine, N-[P-(4-chloro-1-naphthalenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



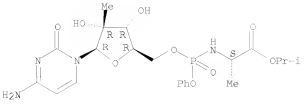
RN 946511-59-7 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-(2-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



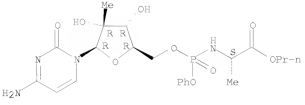
RN 946511-63-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



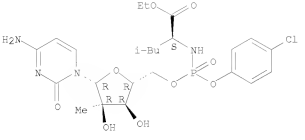
RN 946511-68-8 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, propyl ester (CA INDEX NAME)

Absolute stereochemistry.



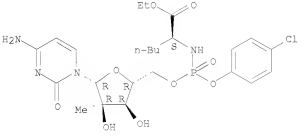
RN 946511-72-4 CAPLUS
CN L-Leucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



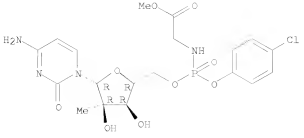
RN 946511-74-6 CAPLUS
CN L-Norleucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



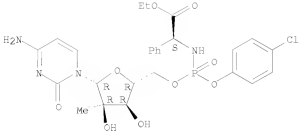
RN 946511-76-8 CAPLUS
CN Glycine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



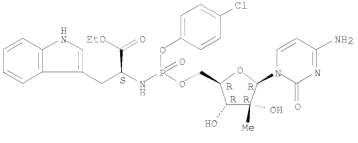
RN 946511-78-0 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl
N-[(1S)-2-ethoxy-2-oxo-1-phenylethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



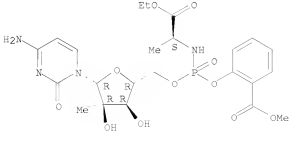
RN 946511-81-5 CAPLUS
CN L-Tryptophan, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



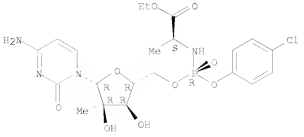
RN 946511-82-6 CAPLUS
CN L-Alanine, N-[P-[2-(methoxycarbonyl)phenyl]-2'-C-methyl-5'-cytidylyl]-,
ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



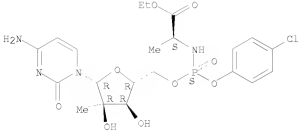
RN 1035638-04-0 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



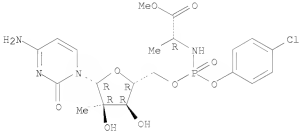
RN 1035638-21-1 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



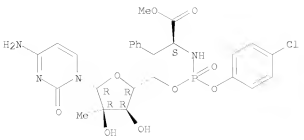
RN 1185923-61-8 CAPLUS
CN D-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



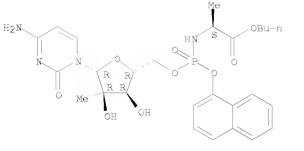
RN 1185923-63-0 CAPLUS
CN L-Phenylalanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



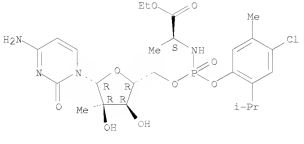
RN 1185923-73-2 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



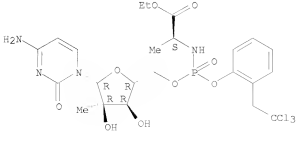
RN 1185923-76-5 CAPLUS
CN L-Alanine, N-[P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



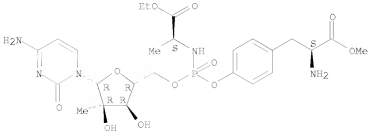
RN 1185923-79-8 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[2-(2,2,2-trichloroethyl)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



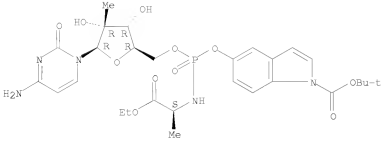
RN 1185923-81-2 CAPLUS
CN L-Tyrosine, O-[P-deoxy-P-[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



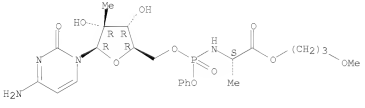
RN 1185923-83-4 CAPLUS
CN L-Alanine, N-[P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



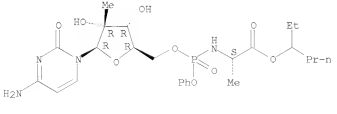
RN 1185923-88-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.



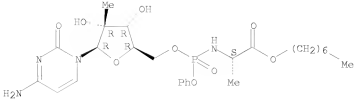
RN 1185923-90-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



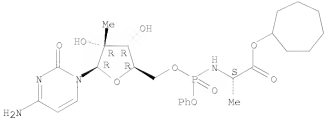
RN 1185923-92-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, heptyl ester (CA INDEX NAME)

Absolute stereochemistry.



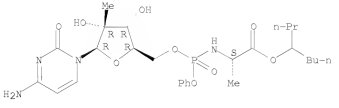
RN 1185923-94-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cycloheptyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1185923-96-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-propylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

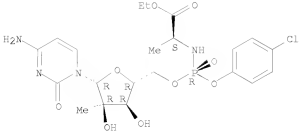
L4 ANSWER 4 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:875224 CAPLUS
DN 151:381628
TI Cyclic phosphoramidates as prodrugs of 2'-C-methylcytidine
AU Meppen, Malte; Pacini, Barbara; Bazzo, Renzo; Koch, UWe; Leone, Joseph F.; Koeplinger, Kenneth A.; Rowley, Michael; Altamura, Sergio; Di Marco, Annalise; Fiore, Fabrizio; Giuliano, Claudio; Gonzalez-Paz, Odalys; Laufer, Ralph; Pucci, Vincenzo; Narjes, Frank; Gardelli, Cristina
CS Department of Chemistry - Istituto di Ricerche di Biologia Molecolare, P. Angeletti S.p.A., Pomezia, 00040, Italy
SO European Journal of Medicinal Chemistry (2009), 44(9), 3765-3770
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier Masson SAS
DT Journal
LA English
AB The currently approved treatment for hepatitis C virus infections is a combination of Ribavirin and pegylated Interferon. It leads to a

sustained virol. response in approx. only half of the patients treated. For this reason there is an urgent need of new therapeutic agents. 2'-C-Methylcytidine is the first nucleoside inhibitor of the HCV NS5B polymerase that was efficacious in reducing the viral load in patients infected with HCV. The application of a monophosphate prodrug approach based on unprecedented cyclic phosphoramidates is reported. The SAR studies led to compds. that are efficiently converted to the active triphosphate in human hepatocytes.

IT 1035638-04-0P 1035638-21-1P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis and antiviral activity of methylcytidine cyclic phosphoramidates as prodrugs via coupling of phosphoramidate chlorides with methylcytidine, and intramol. cyclization of phosphoramidates)

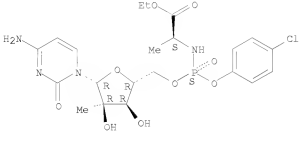
RN 1035638-04-0 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1035638-21-1 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

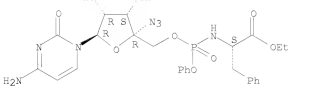
L4 ANSWER 5 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:845570 CAPLUS
DN 151:328021
TI The application of phosphoramidate ProTide technology to the potent anti-HCV compound 4'-azidocytidine (R1479)
AU McGuigan, Christopher; Kelleher, Mary Rose; Perrone, Plinio; Mulready, Sinead; Luoni, Giovanna; Daverio, Felice; Rajyaguru, Sonal; Le Pogam, Sophie; Najera, Isabel; Martin, Joseph A.; Klumpp, Klaus; Smith, David B.
CS Welsh School of Pharmacy, Cardiff University, Cardiff, CF10 3NB, UK
SO Bioorganic & Medicinal Chemistry Letters (2009), 19(15), 4250-4254
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 151:328021

AB The design, synthesis and evaluation of a family of ca. 50 phosphoramidate ProTides of the potent anti-HCV (hepatitis C virus) compound 4'-azidocytidine (R1479), with variation on the ester, amino acid and aryl moiety of the ProTide, are reported. Sub-micromolar inhibitors of HCV emerge. The compds. are all non-cytotoxic in the replicon assay. Herein are reported detailed SARs for each of the regions of the ProTide.

IT 926308-35-2P 926308-39-6P 926308-40-9P
926308-42-1P 926308-49-8P 926308-56-7P
926308-58-9P 926308-59-0P 926308-61-4P
926308-67-0P 926308-79-4P 926308-88-5P
926308-91-0P 926308-95-4P 926308-98-7P
926309-01-5P 926309-03-7P 926309-05-9P
926309-18-4P 926309-22-0P 926309-24-2P
926309-29-7P 926309-35-5P 926309-42-4P
926309-45-7P 926309-46-8P 926309-64-0P
926309-67-3P 926309-76-4P 926309-85-5P
1186412-19-0P 1186412-22-5P 1186412-23-6P
1186412-24-7P 1186412-25-8P 1186412-26-9P
1186412-28-1P 1186412-29-2P 1186412-30-5P
1186412-31-6P 1186412-32-7P 1186412-33-8P
1186412-34-9P 1186412-35-0P 1186412-37-2P
1186412-38-3P 1186412-39-4P 1186412-40-7P
1186412-41-8P 1186412-42-9P 1186412-43-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(application of phosphoramidate ProTide technol. to the potent anti-hepatitis C virus compound 4'-azidocytidine (R1479) to produce new antiviral agents)

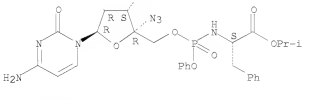
RN 926308-35-2 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



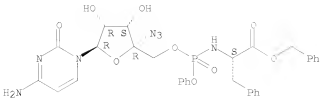
RN 926308-39-6 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



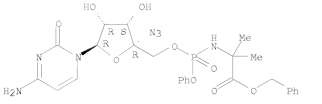
RN 926308-40-9 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



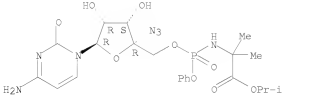
RN 926308-42-1 CAPLUS
CN Cytidine, 4'-C-azido-, 5'-[phenyl N-[1,1-dimethyl-2-oxo-2-(phenylmethoxy)ethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



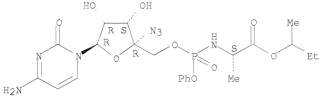
RN 926308-49-8 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-2-methyl-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



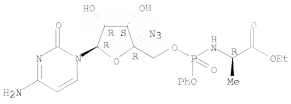
RN 926308-56-7 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



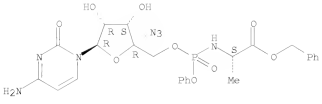
RN 926308-58-9 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



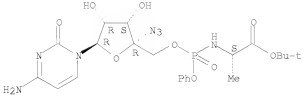
RN 926308-59-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



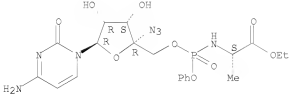
RN 926308-61-4 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



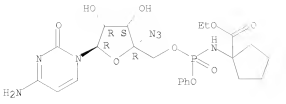
RN 926308-67-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



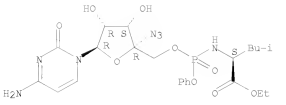
RN 926308-79-4 CAPLUS
CN Cytidine, 4'-C-azido-, 5'-[phenyl N-[1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



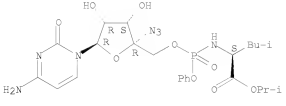
RN 926308-88-5 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



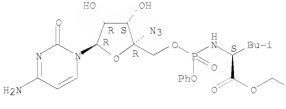
RN 926308-91-0 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



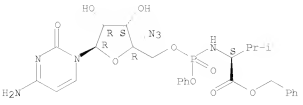
RN 926308-95-4 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



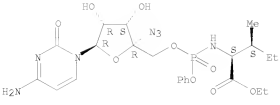
RN 926308-98-7 CAPLUS
CN L-Valine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



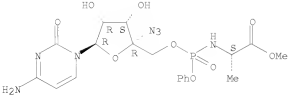
RN 926309-01-5 CAPLUS
CN L-Isoleucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



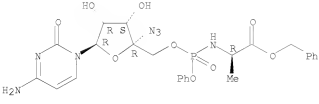
RN 926309-03-7 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



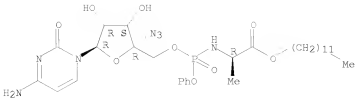
RN 926309-05-9 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



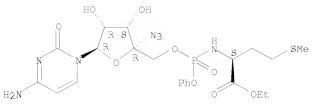
RN 926309-18-4 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, dodecyl ester (CA INDEX NAME)

Absolute stereochemistry.



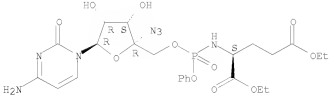
RN 926309-22-0 CAPLUS
CN L-Methionine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



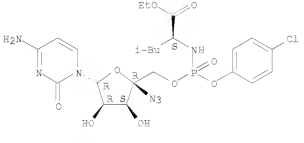
RN 926309-24-2 CAPLUS
CN L-Glutamic acid, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,5-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



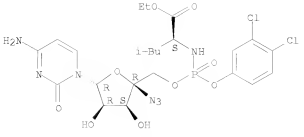
RN 926309-29-7 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-chlorophenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



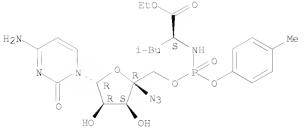
RN 926309-35-5 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(3,4-dichlorophenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



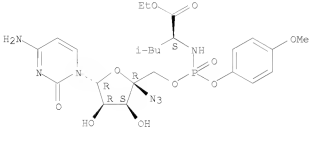
RN 926309-42-4 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



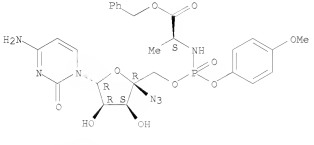
RN 926309-45-7 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-methoxyphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



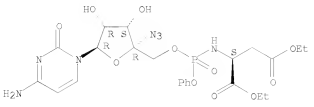
RN 926309-46-8 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(4-methoxyphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



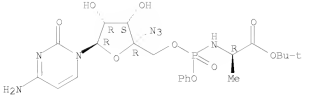
RN 926309-64-0 CAPLUS
CN L-Aspartic acid, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,4-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



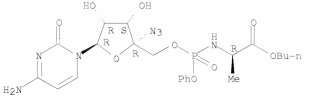
RN 926309-67-3 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



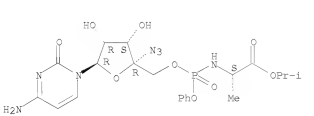
RN 926309-76-4 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



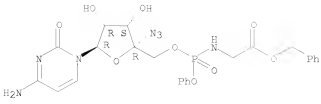
RN 926309-85-5 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



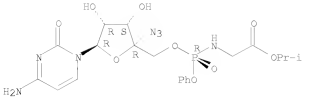
RN 1186412-19-0 CAPLUS
CN Glycine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



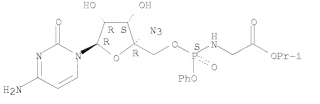
RN 1186412-22-5 CAPLUS
CN Glycine, N-[[P(R)]-4'-C-azido-P-phenyl-5'-cytidylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



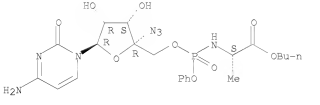
RN 1186412-23-6 CAPLUS
CN Glycine, N-[[P(S)]-4'-C-azido-P-phenyl-5'-cytidylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



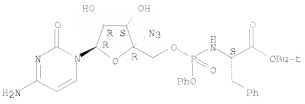
RN 1186412-24-7 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



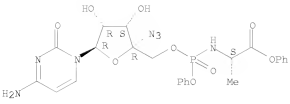
RN 1186412-25-8 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



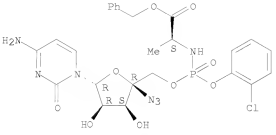
RN 1186412-26-9 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



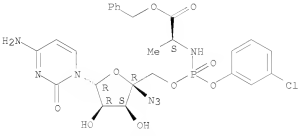
RN 1186412-28-1 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(2-chlorophenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



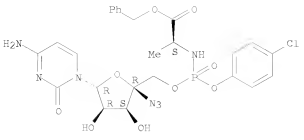
RN 1186412-29-2 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(3-chlorophenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



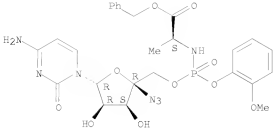
RN 1186412-30-5 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(4-chlorophenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



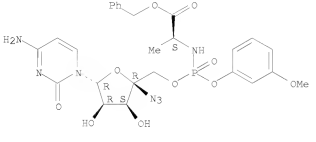
RN 1186412-31-6 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(2-methoxyphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



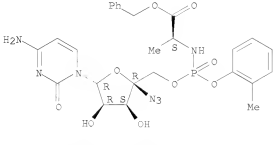
RN 1186412-32-7 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(3-methoxyphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



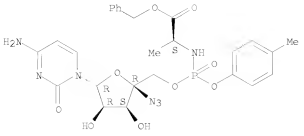
RN 1186412-33-8 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(2-methylphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



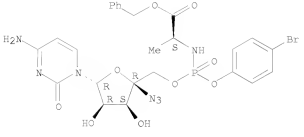
RN 1186412-34-9 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(4-methylphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



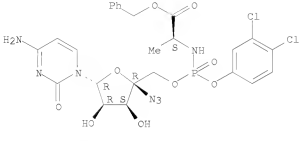
RN 1186412-35-0 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-bromophenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



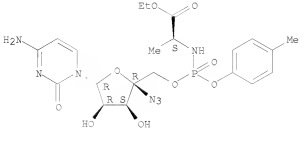
RN 1186412-37-2 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(3,4-dichlorophenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



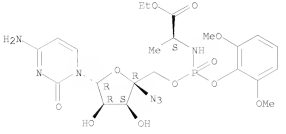
RN 1186412-38-3 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



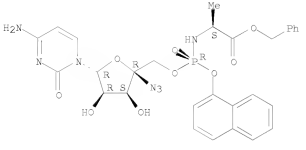
RN 1186412-39-4 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(2,6-dimethoxyphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



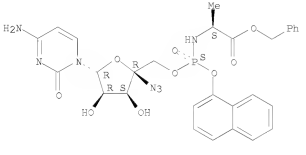
RN 1186412-40-7 CAPLUS
CN L-Alanine, N-[[P(R)]-4'-C-azido-P-1-naphthalenyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



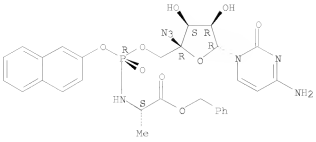
RN 1186412-41-8 CAPLUS
CN L-Alanine, N-[[P(S)]-4'-C-azido-P-1-naphthalenyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



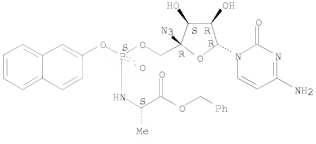
RN 1186412-42-9 CAPLUS
CN L-Alanine, N-[[P(R)]-4'-C-azido-P-2-naphthalenyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1186412-43-0 CAPLUS
CN L-Alanine, N-[(P(S))-4'-C-azido-P-2-naphthalenyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



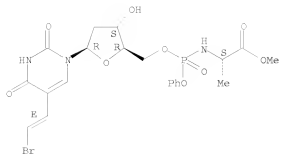
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:296890 CAPLUS
DN 150:322627
TI Method of treating cancer by administration of 5-substituted nucleosides
IN Fahrig, Rudolf; Heinrich, Joerg-Christian
PA Resprotect, GmbH, Germany
SO U.S. Pat. Appl. Publ., 35pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 20090068286 | A1 | 20090312 | US 2007-853540 | 20070911 |
| CA 2601558 | A1 | 20090311 | CA 2007-2601558 | 20070911 |
| PRAI US 2007-853540 | T0 | 20070911 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention relates to methods of administration of at least one overexpression inhibitor of DNA repair genes and/or oncogenes (e.g., (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU), or a prodrug, or salt thereof) to increase the cytotoxic effect of a cytostatic or cytotoxic chemotherapeutic agent during and/or after chemotherapy, e.g., in the treatment of cancer.
IT 232925-18-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of treating cancer by administration of 5-substituted nucleosides)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

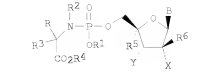
Absolute stereochemistry.
Double bond geometry as shown.



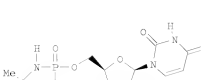

L4 ANSWER 7 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1215396 CAPLUS
DN 149:426212
TI Preparation of nucleoside phosphoramidate prodrugs as antiviral agents
IN Sofia, Michael J.; Du, Jinfa; Wang, Peiyuan; Nagarathnam, Dhanapalan
PA PharmaSset, Inc., USA
SO PCT Int. Appl., 751 pp., Chemical Indexing Equivalent to 152:144974 (US)
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2008121634 | A2 | 20081009 | WO 2008-US58183 | 20080326 |
| | W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | US 20100016251 | A1 | 20100121 | US 2008-53015 | 20080321 |
| | AU 2008232827 | A1 | 20081009 | AU 2008-232827 | 20080326 |
| | CA 2682230 | A1 | 20081009 | CA 2008-2682230 | 20080326 |
| | KR 2010016041 | A | 20100212 | KR 2009-722652 | 20080326 |
| | MX 2009010401 | A | 20091110 | MX 2009-10401 | 20090928 |
| PRAI | US 2007-909315P | P | 20070330 | | |
| | US 2007-982309P | P | 20071024 | | |
| | US 2008-53015 | A | 20080321 | | |
| | WO 2008-US58183 | W | 20080326 | | |

OS MARPAT 149:426212
GI



I



II

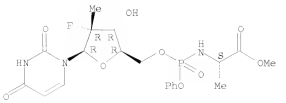
AB Disclosed herein are nucleoside phosphoramidates prodrugs I, wherein R and R3 are independently H, alkyl, cycloalkyl, alkylamine, hydroxyalkyl, CH2SH, alkyl-sulfonyl, (CH2)3NHC(=NH)NH2, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, acyl, aryl, aryl-alkyl; R3 and R both are alkyl; R3 and R together are alkylidene so as to form a spiro ring; R3 is H and R and R2 together are (CH2)n so as to form a cyclic ring that includes the adjoining N and C atoms; R is H and R3 and R2 together are (CH2)n. so as to form a cyclic ring; that includes the adjoining N and C atoms, n is 2 to 4; one of R and R3 is H and the other is R3 CH3, Et, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, CH2Ph, CH2-indol-3-yl, -CH2CH2SCH3, CH2CO2H, CH2C(O)NH2, CH2CH2COOH, CH2CH2C(O)NH2, CH2CH2CH2CH2NH2, -CH2CH2CH2NHC(NH)NH2, CH2-imidazol-4-yl, CH2OH, CH(OH)CH3, CH2((4'-OH)-Ph), CH2SH, cycloalkyl; R1 is H, alkyl, cycloalkyl, aryl; R2 is H, alkyl; R, R2 and R3 together are (CH2)n; R4 is H, alkyl, alkoxy, alkylamino, halo, halo-alkyl, cycloalkyl, aminoacyl, aryl, heterocycle; R5 is H, alkyl, CN, vinyl, hydroxy-alkyl, CH2OH, CH2F, CH2CN, CH2NH2, CH2NHMe, CH2NMe2, alkyne; R6 is H, Me, CH2F, CHF2, CF3, F, CN; X is H, OH, F, OMe, halogen, NH2, N3; Y is OH, H, alkyl, alkenyl, alkynyl, vinyl, N3, CN, halo, oxycarbonyl sulfonyl, were prepared and tested as antiviral agents. Thus, nucleoside II was prepared and tested as antiviral agent for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

IT 1015255-46-5P 1064684-23-6P 1064684-24-7P
1064684-25-8P 1064684-28-1P 1064684-29-2P
1064684-30-5P 1064684-32-7P 1064684-33-8P
1064684-36-1P 1064684-37-2P 1064684-38-3P
1064684-41-8P 1064684-42-9P 1064684-43-0P
1064684-44-1P 1064684-45-2P 1064684-46-3P
1064684-47-4P 1064684-48-5P 1064684-49-6P
1064684-50-9P 1064684-52-1P 1064684-53-2P
1064684-54-3P 1064684-55-4P 1064684-56-5P
1064684-57-6P 1064684-58-7P 1064684-59-8P
1064684-60-1P 1064684-61-2P 1064684-62-3P
1064684-63-4P 1064684-64-5P 1064684-66-7P
1064684-67-8P 1064684-68-9P 1064684-69-0P
1064684-70-3P 1064684-71-4P 1064684-72-5P
1064684-73-6P 1064684-74-7P 1064684-75-8P
1064684-76-9P 1064684-77-0P 1064684-78-1P
1064684-79-2P 1064684-80-5P 1064684-81-6P
1064684-82-7P 1064684-85-0P 1064684-88-3P
1064684-92-9P 1064685-44-4P 1064685-46-6P
1064685-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)

RN 1015255-46-5 CAPLUS

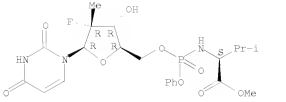
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



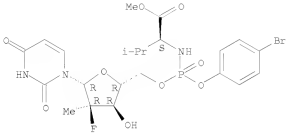
RN 1064684-23-6 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



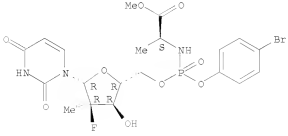
RN 1064684-24-7 CAPLUS
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-25-8 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

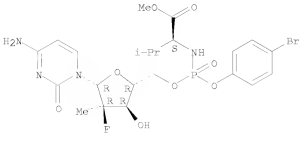
Absolute stereochemistry.



RN 1064684-28-1 CAPLUS
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-

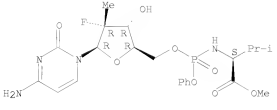
cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



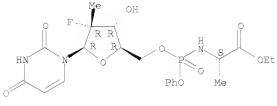
RN 1064684-29-2 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



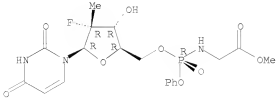
RN 1064684-30-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



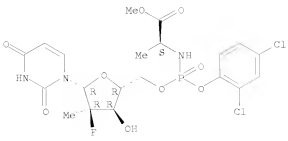
RN 1064684-32-7 CAPLUS
CN Glycine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



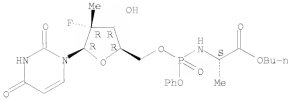
RN 1064684-33-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(2,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



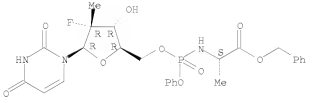
RN 1064684-36-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



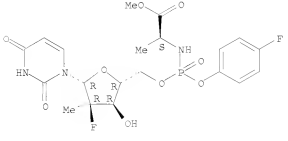
RN 1064684-37-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



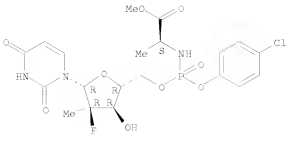
RN 1064684-38-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



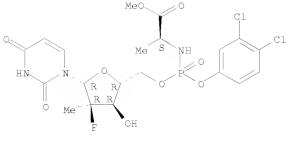
RN 1064684-41-8 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



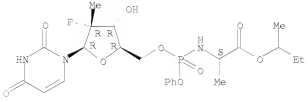
RN 1064684-42-9 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



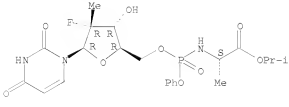
RN 1064684-43-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-44-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

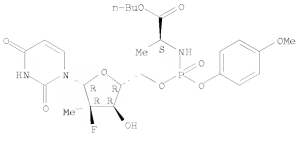
Absolute stereochemistry.



RN 1064684-45-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-

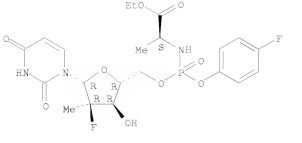
uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



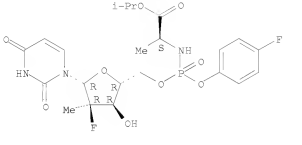
RN 1064684-46-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



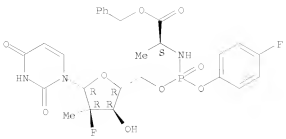
RN 1064684-47-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



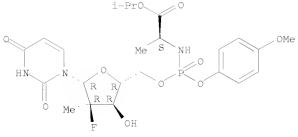
RN 1064684-48-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



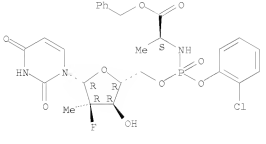
RN 1064684-49-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



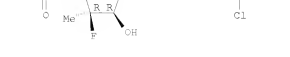
RN 1064684-50-9 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



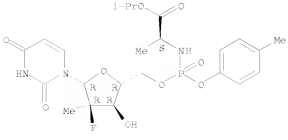
RN 1064684-52-1 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(2,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



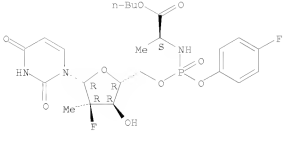
RN 1064684-53-2 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



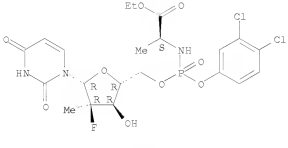
RN 1064684-54-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



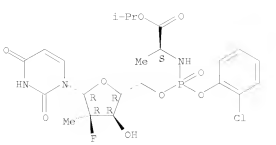
RN 1064684-55-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



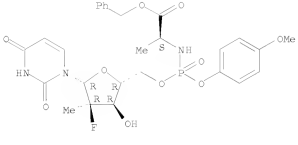
RN 1064684-56-5 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



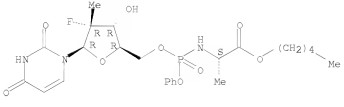
RN 1064684-57-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-methoxyphenyl)-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



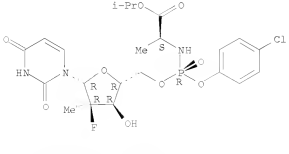
RN 1064684-58-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, pentyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1064684-59-8 CAPLUS
CN L-Alanine, N-[[P(R),2'R]-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

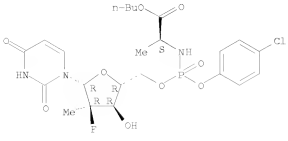
Absolute stereochemistry.



RN 1064684-60-1 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-

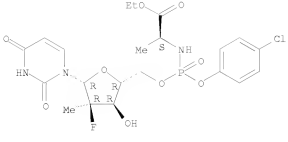
uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



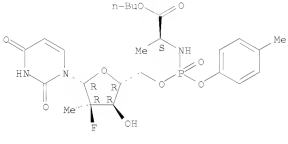
RN 1064684-61-2 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



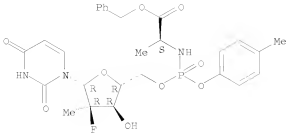
RN 1064684-62-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



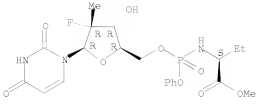
RN 1064684-63-4 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-(4-methylphenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



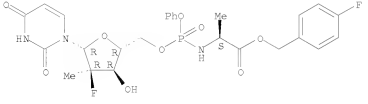
RN 1064684-64-5 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-(methoxycarbonyl)propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



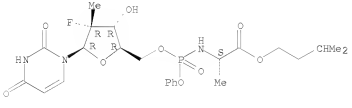
RN 1064684-66-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, (4-fluorophenyl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.



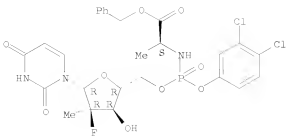
RN 1064684-67-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 3-methylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



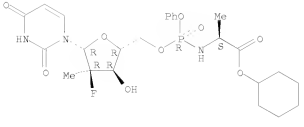
RN 1064684-68-9 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-P-(3,4-dichlorophenyl)-2'-fluoro-2'-methyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



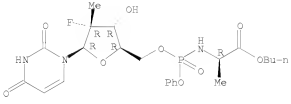
RN 1064684-69-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



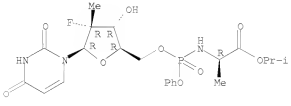
RN 1064684-70-3 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



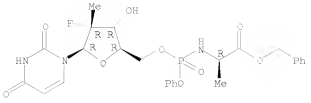
RN 1064684-71-4 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



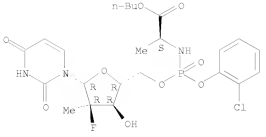
RN 1064684-72-5 CAPLUS
CN D-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



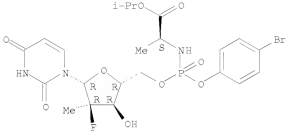
RN 1064684-73-6 CAPLUS
CN L-Alanine, N-[(2'R)-P-(2-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



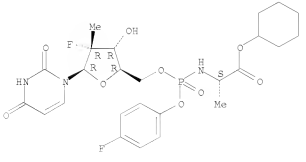
RN 1064684-74-7 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



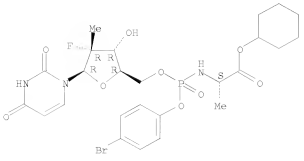
RN 1064684-75-8 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-P-(4-fluorophenyl)-2'-methyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



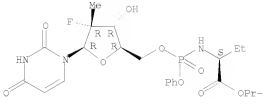
RN 1064684-76-9 CAPLUS
CN L-Alanine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



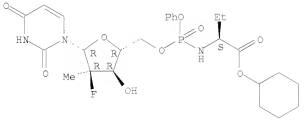
RN 1064684-77-0 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(1-methylethoxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



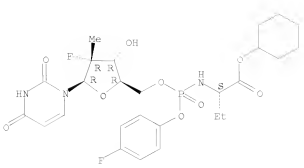
RN 1064684-78-1 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(cyclohexyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



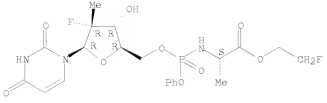
RN 1064684-79-2 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[4-fluorophenyl N-[(1S)-1-[(cyclohexyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



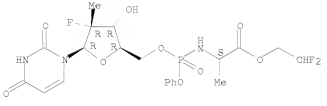
RN 1064684-80-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2-fluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



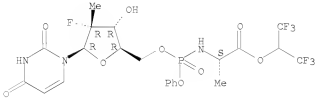
RN 1064684-81-6 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2,2-difluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



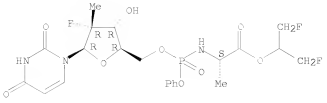
RN 1064684-82-7 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



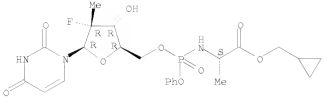
RN 1064684-85-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, 2-fluoro-1-(fluoromethyl)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



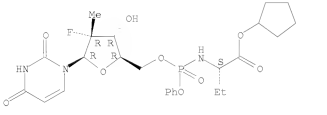
RN 1064684-88-3 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclopropylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



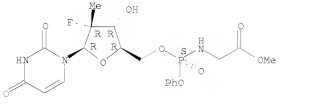
RN 1064684-92-9 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-2'-methyl-, 5'-[phenyl N-[(1S)-1-[(cyclopentyloxy)carbonyl]propyl]phosphoramidate], (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



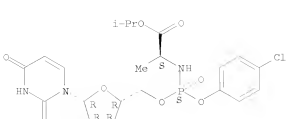
RN 1064685-44-4 CAPLUS
CN Glycine, N-[(P(S),2'R]-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



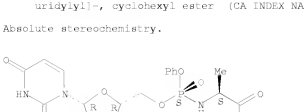
RN 1064685-46-6 CAPLUS
CN L-Alanine, N-[(P(S),2'R]-P-(4-chlorophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-uridylyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



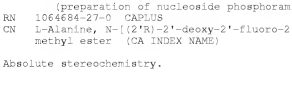
RN 1064685-47-7 CAPLUS
CN L-Alanine, N-[(P(S),2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 1064684-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)
RN 1064684-27-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

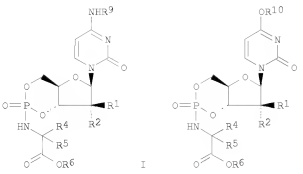
Absolute stereochemistry.



L4 ANSWER 8 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:805636 CAPLUS
DN 149:119579
TI Nucleoside cyclic phosphoramidates for the treatment of RNA-dependent RNA viral infections
IN Meppen, Malte; Narjes, Frank; Pacini, Barbara; Gardelli, Cristina; Durette, Philippe L.
PA Merck & Co., Inc., USA; Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A.
SO PCT Int. Appl., 55pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2008079206 | A1 | 20080703 | WO 2007-US25637 | 20071214 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, | | | | |

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
AU 2007338899 A1 20080703 AU 2007-338899 20071214
CA 2672613 A1 20080703 CA 2007-2672613 20071214
EP 2120565 A1 20091125 EP 2007-853391 20071214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR
US 20100022468 A1 20100128 US 2009-519038 20090612
PRAI US 2006-876034P P 20061220
WO 2007-US25637 W 20071214
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 149:119579
GI




I II

AB The invention discloses nucleoside cyclic phosphoramidates I, II (R1= H, Me, fluoromethyl; R2= F, OR3; R3= H, Me, C1-16 alkylcarbonyl, etc.; R4= H, C1-5 alkyl, Ph,etc; R5= H, Me; R6= H, C1-16 alkyl, Ph, adamantyl, etc.; R9= H, C1-8 alkylcarbonyl, C1-8 alkyloxycarbonyl, etc.; R10= H, C1-8 alkyl, C1-8 alkylcarbonyl), precursors to inhibitors of RNA-dependent RNA viral polymerase. The compds. are precursors to inhibitors of RNA-dependent RNA viral replication and are useful for treating RNA-dependent RNA viral infections. They are particularly useful as precursers to inhibitors of hepatitis C virus (HCV) NS5B polymerase and precursors to inhibitors of HCV replication and/or are useful for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside cyclic phosphoramidates alone or in combination with other agents active against RNA-dependent RNA viral infections, in particular HCV infection. Also disclosed are methods for inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with nucleoside cyclic phosphoramidates of the invention.

IT 1035638-03-9P 1035638-05-1P 1035638-12-0P
1035638-18-6P 1035638-22-2P 1035638-24-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nucleoside cyclic phosphoramidates for treatment of hepatitis C and other RNA-dependent RNA viral infections)

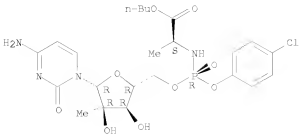
RN 1035638-03-9 CAPLUS

CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-02-8
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

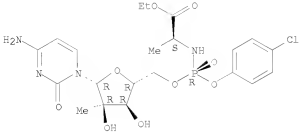
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-05-1 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 1035638-04-0
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

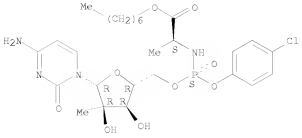
RN 1035638-12-0 CAPLUS

CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 1035638-11-9
CMF C26 H38 Cl N4 O9 P

Absolute stereochemistry.



CM 2

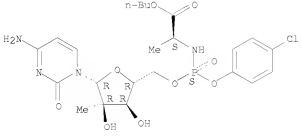
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-18-6 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 1035638-17-5
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

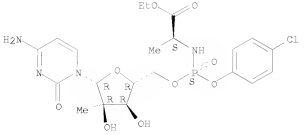
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-22-2 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-21-1
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

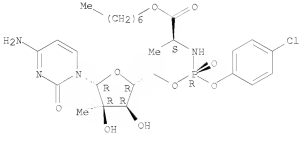
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-24-4 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-23-3
CMF C26 H38 Cl N4 O9 P

Absolute stereochemistry.



CM 2

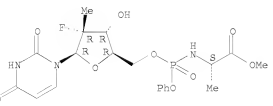
CRN 76-05-1
CMF C2 H F3 O2



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:130979 CAPLUS
DN 148:393762
TI The mechanism of action of β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine involves a second metabolic pathway leading to β-D-2'-deoxy-2'-fluoro-2'-C-methyluridine 5'-triphosphate, a potent inhibitor of the hepatitis C virus RNA-dependent RNA polymerase
AU Murakami, Eisuke; Niu, Congrong; Bao, Haiying; Steuer, Holly M. Micolochick; Whitaker, Tony; Nachman, Tammy; Sofia, Michael A.; Wang, Peiyuan; Otto, Michael J.; Furman, Phillip A.
CS Pharmasset, Inc., Princeton, NJ, 08540, USA
SO Antimicrobial Agents and Chemotherapy (2008), 52(2), 458-464
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB β-D-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (PSI-6130) is a potent inhibitor of hepatitis C virus (HCV) RNA replication in an HCV replicon assay. The 5'-triphosphate of PSI-6130 is a competitive inhibitor of the HCV RNA-dependent RNA polymerase (RdRp) and acts as a nonobligate chain terminator. Recently, it has been shown that the metabolism of PSI-6130 also results in the formation of the 5'-triphosphate of the uridine congener, β-D-2'-deoxy-2'-fluoro-2'-C-methyluridine (PSI-6206; RO2433). Here we show that the formation of the 5'-triphosphate of RO2433 (RO2433-TP) requires the deamination of PSI-6130 monophosphate and that RO2433 monophosphate is subsequently phosphorylated to the corresponding di- and triphosphates by cellular UMP-CMP kinase and nucleoside diphosphate kinase, resp. RO2433-TP is a potent inhibitor of the HCV RdRp; however, both enzymic and cell-based assays show that PSI-6130 triphosphate is a more potent inhibitor of the HCV RdRp than RO2433-TP.
IT 1015255-46-5P, PSI 7672
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prodrug PSI-6130 metabolism yields two potent inhibitors of hepatitis C virus RNA-dependent RNA polymerase)
RN 1015255-46-5 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

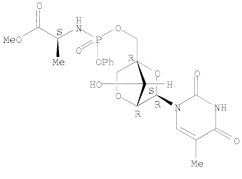
Absolute stereochemistry.



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

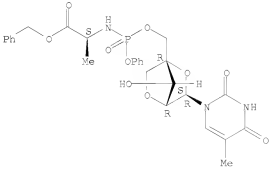
L4 ANSWER 10 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:40834 CAPLUS
DN 149:258613
TI Synthesis and Biological Evaluation of LNA Phosphoramidates
AU Jensen, Jacob; Sjogren, Gitte; Hansen, Jens Bo; Rosenbohm, Christoph; Koch, Troels
CS Santaris Pharma A/S, Hoersholm, Den.
SO Nucleosides, Nucleotides & Nucleic Acids (2008), 27(1), 37-42
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 149:258613
AB The synthesis of LNA (locked nucleic acid) phosphoramidates is presented. The LNA phosphoramidates were evaluated for their ability to inhibit cell proliferation of the human prostate cancer cell line 15PC3. A number of the LNA phosphoramidates showed cell proliferation inhibition determined by the MTS assay.
IT 936616-55-6P 936616-56-7P 936616-57-8P
936616-58-9P 936616-59-0P 936616-60-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. evaluation of locked nucleic acid (LNA) phosphoramidates as antitumor agents)
RN 936616-55-6 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



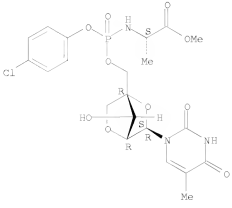
RN 936616-56-7 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



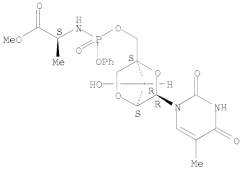
RN 936616-57-8 CAPLUS
CN L-Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



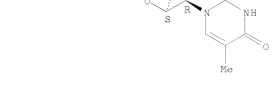
RN 936616-58-9 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



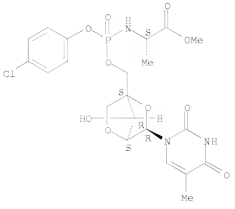
RN 936616-59-0 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



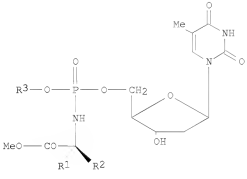
RN 936616-60-3 CAPLUS
CN L-Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:1224266 CAPLUS
DN 148:79258
TI Hydrolytic reactions of thymidine 5'-O-phenyl-N-alkylphosphoramidates, models of nucleoside 5'-monophosphate prodrugs
AU Ora, Mikko; Ojanperae, Jarno; Loennberg, Harri
CS Department of Chemistry, University of Turku, Turku, 20014, Finland
SO Chemistry--A European Journal (2007), 13(30), 8591-8599
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 148:79258
GI



I

AB To obtain detailed data on the kinetics of hydrolytic reactions of triester-like nucleoside 5'-O-aryl-N-alkylphosphoramidates, potential prodrugs of antiviral nucleoside monophosphates, the hydrolysis of diastereomeric (Rp/Sp) thymidine 5'-[O-phenyl-N-[(1S)-2-oxo-2-methoxy-1-methylethyl]phosphoramidate] (I) (R1 = H, R2 = Me, R3 = Ph), a phosphoramidate derived from the Me ester of L-alanine, has been followed by reversed-phase HPLC over the range from H0 = 0 to pH 8 at 90°C.

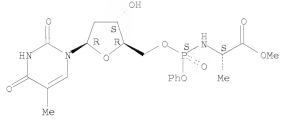
According to the time-dependent product distributions, the hydrolysis of I (R1 = H, R2 = Me, R3 = Ph) proceeds at pH < 4 by two parallel routes, namely by nucleophilic displacement of the alaninyl ester moiety by a water mol. and by hydrolysis of the carboxylic ester linkage that allows intramol. attack of the carboxy group on the phosphorus atom, thereby resulting in the departure of either thymidine or phenol without marked accumulation of any intermediates. Both routes represent about half of the overall disappearance of I (R1 = H, R2 = Me, R3 = Ph). The departure of phenol eventually leads to the formation of thymidine 5'-phosphate. At pH > 5, the predominant reaction is hydrolysis of the carboxylic ester linkage followed by intramol. displacement of a phenoxide ion by the carboxylate ion and hydrolysis of the resulting cyclic mixed anhydride into an acyclic diester-like thymidine 5'-phosphoramidate. The latter product accumulated quant. without any indication of further decomposition Hydroxide-ion-catalyzed P-OPh bond cleavage of the starting material I occurred as a side reaction. Comparative measurements with thymidine 5'-[N-[(1S)-2-oxo-2-methoxy-1-methylethyl]phosphoramidate] I (R1 = Me, R2 = H, R3 = H) revealed that, under acidic conditions, this diester-like compound is hydrolyzed by P-N bond cleavage three orders of magnitude more rapidly than the triester-like 3. At pH > 5, the stability order is reversed, with I (R1 = H, R2 = Me, R3 = Ph) being hydrolyzed six times as rapidly as I (R1 = Me, R2 = H, R3 = H). Mechanisms of the partial reactions are discussed.

IT 960509-75-5P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(kinetics of hydrolytic bond cleavage of thymidine Ph oxomethoxymethylethyl phosphoramidates derived from Me ester of alanine)

RN 960509-75-5 CAPLUS

CN L-Alanine, N-[[P(S)]-P-phenyl-5'-thymidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

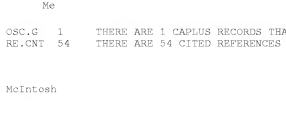


IT 960509-79-9P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation of thymidine phosphoramidates by nucleophilic displacement of alaninyl ester moiety by water mol. and by hydrolysis of carboxylic ester linkage)

RN 960509-79-9 CAPLUS

CN L-Alanine, N-[[P(R)]-P-phenyl-5'-thymidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

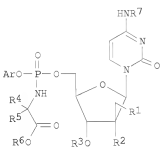
RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:941862 CAPLUS
DN 147:301398
TI Preparation of nucleoside aryl phosphoramidates for the treatment of RNA-dependent RNA viral infection
IN Maccoss, Malcolm; Olsen, David B.; Donghi, Monica; Gardelli, Cristina; Harper, Steven; Meppen, Malte; Narjes, Frank; Pacini, Barbara
PA Merck & Co., Inc., USA; Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A.
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2007095269 | A2 | 20070823 | WO 2007-US3862 | 20070212 |
| WO 2007095269 | A3 | 20071115 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2007215114 | A1 | 20070823 | AU 2007-215114 | 20070212 |
| CA 2637879 | A1 | 20070823 | CA 2007-2637879 | 20070212 |
| EP 1987050 | A2 | 20081105 | EP 2007-750684 | 20070212 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2009526850 | T | 20090723 | JP 2008-555315 | 20070212 |
| CN 101384609 | A | 20090311 | CN 2007-80005274 | 20080813 |
| PRAI US 2006-773009P | P | 20060214 | | |
| US 2006-832832P | P | 20060724 | | |
| WO 2007-US3862 | W | 20070212 | | |

OS MARPAT 147:301398
GI



I

AB The present invention provides nucleoside aryl phosphoramidates I, wherein Ar is Ph; R1 is H, F; R2 is F, OMe, OH, OR; R and R3 are independently alkyl-carbonyl, alkenyl-carbonyl, alkyloxy-carbonyl, cycloalkyl-carbonyl, cycloalkyloxy-carbonyl, amino acyl;R4 is H, alkyl, Ph, benzyl; R5 is H, Me; R4R5 together with the carbon atom to which they are attached form 3- to 6-membered aliphatic spiro-cyclic ring system; R6 is H, alkyl, alkenyl, cycloalkyl, Ph, benzyl, adamantyl; R7 is H, alkyl-carbonyl, alkyloxy-carbonyl, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Thus,. These compds. are precursors to inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly

useful as precursors to inhibitors of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical comps. containing such nucleoside aryl phosphoramidates alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside aryl phosphoramidates of the present invention.

IT 946511-06-4P 946511-08-6P 946511-10-0P
946511-12-2P 946511-14-4P 946511-16-6P
946511-18-8P 946511-20-2P 946511-21-3P
946511-22-4P 946511-23-5P 946511-25-7P
946511-26-8P 946511-27-9P 946511-28-0P
946511-29-1P 946511-30-4P 946511-31-5P
946511-32-6P 946511-33-7P 946511-34-8P
946511-35-9P 946511-36-0P 946511-37-1P
946511-38-2P 946511-39-3P 946511-40-6P
946511-41-7P 946511-42-8P 946511-43-9P
946511-44-0P 946511-45-1P 946511-46-2P
946511-47-3P 946511-48-4P 946511-49-5P
946511-50-8P 946511-51-9P 946511-52-0P
946511-53-1P 946511-54-2P 946511-55-3P
946511-56-4P 946511-57-5P 946511-58-6P
946511-59-7P 946511-60-0P 946511-61-1P
946511-62-2P 946511-63-3P 946511-64-4P
946511-66-6P 946511-67-7P 946511-68-8P
946511-69-9P 946511-70-2P 946511-71-3P
946511-72-4P 946511-74-6P 946511-76-8P
946511-78-0P 946511-79-1P 946511-80-4P
946511-81-5P 946511-82-6P 946511-95-1P
946512-01-2P 946512-02-3P 946512-04-5P
946512-06-7P 946512-07-8P 946512-08-9P
946512-09-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside aryl phosphoramidates for treatment of RNA-dependent RNA viral infection)

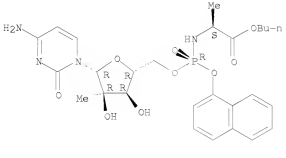
RN 946511-06-4 CAPLUS

CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-05-3
CMF C27 H35 N4 O9 P

Absolute stereochemistry.



CM 2

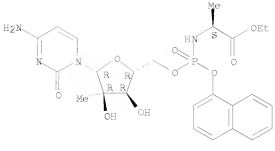
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-08-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-07-5
CMF C25 H31 N4 O9 P

Absolute stereochemistry.



CM 2

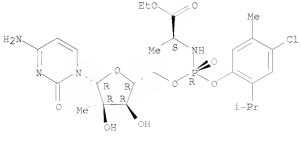
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-10-0 CAPLUS
CN L-Alanine, N-[[P(R)]-P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-09-7
CMF C25 H36 Cl N4 O9 P

Absolute stereochemistry.



CM 2

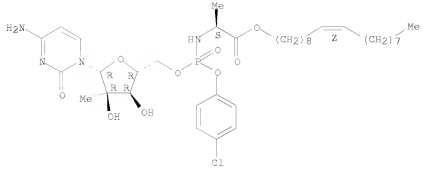
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-12-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-,
(9Z)-9-octadecen-1-yl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-11-1
CMF C37 H58 Cl N4 O9 P

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

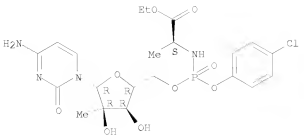
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-14-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-13-3
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

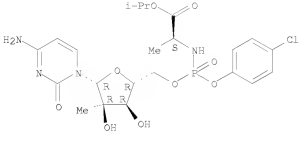
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-16-6 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1-methylethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-15-5
CMF C22 H30 Cl N4 O9 P

Absolute stereochemistry.



CM 2

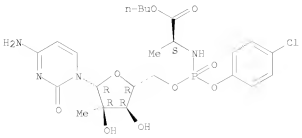
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-18-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-17-7
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

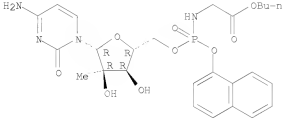
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-20-2 CAPLUS
CN Glycine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-19-9
CMF C26 H33 N4 O9 P

Absolute stereochemistry.



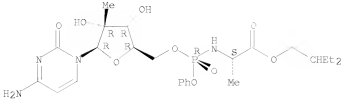
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 946511-21-3 CAPLUS
CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-ethylbutyl

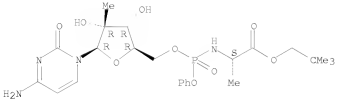
ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-22-4 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2,2-dimethylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.

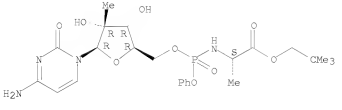


RN 946511-23-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2,2-dimethylpropyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-22-4
CMF C24 H35 N4 O9 P

Absolute stereochemistry.



CM 2

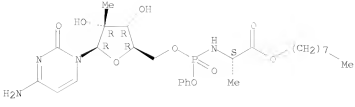
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-25-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, octyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-24-6
CMF C27 H41 N4 O9 P

Absolute stereochemistry.

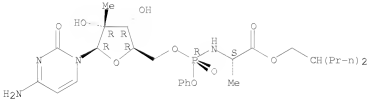


CM 2

CRN 76-05-1
CMF C2 H F3 O2

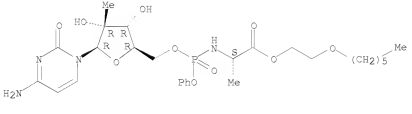
RN 946511-26-8 CAPLUS
CN L-Alanine, N-[[P(R)-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-propylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



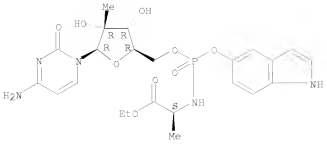
RN 946511-27-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2-(hexyloxy)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



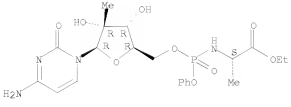
RN 946511-28-0 CAPLUS
CN L-Alanine, N-(P-1H-indol-5-yl-2'-C-methyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



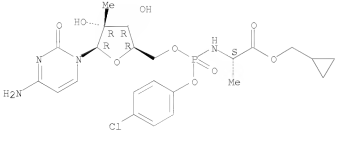
RN 946511-29-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



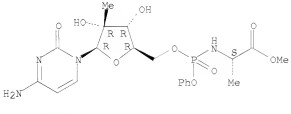
RN 946511-30-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclopropylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



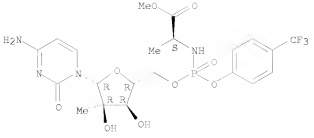
RN 946511-31-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



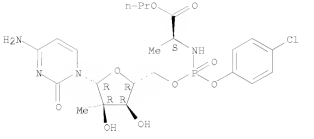
RN 946511-32-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethyl)phenyl]-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



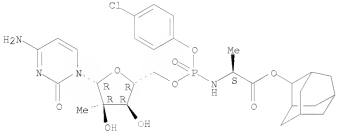
RN 946511-33-7 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, propyl ester (CA INDEX NAME)

Absolute stereochemistry.



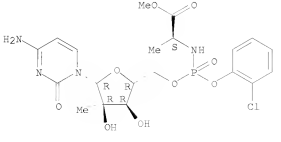
RN 946511-34-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (CA INDEX NAME)

Absolute stereochemistry.



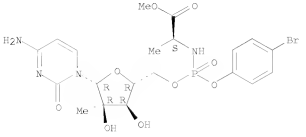
RN 946511-35-9 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



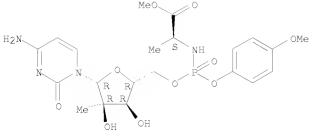
RN 946511-36-0 CAPLUS
CN L-Alanine, N-[P-(4-bromophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



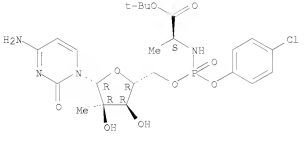
RN 946511-37-1 CAPLUS
CN L-Alanine, N-[P-(4-methoxyphenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



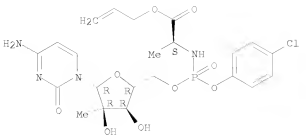
RN 946511-38-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



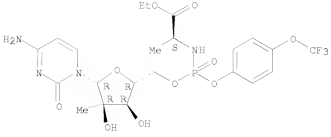
RN 946511-39-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-propen-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.



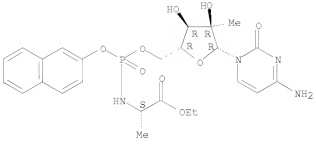
RN 946511-40-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethoxy)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



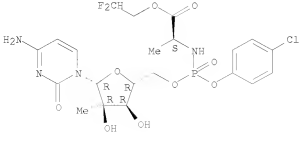
RN 946511-41-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-2-naphthalenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



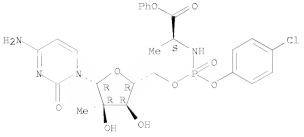
RN 946511-42-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2,2-difluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



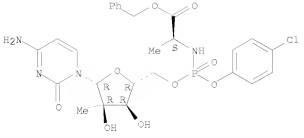
RN 946511-43-9 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, phenyl ester (CA INDEX NAME)

Absolute stereochemistry.



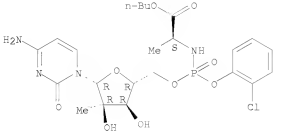
RN 946511-44-0 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



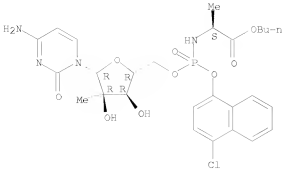
RN 946511-45-1 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



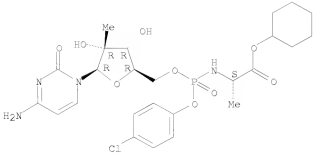
RN 946511-46-2 CAPLUS
CN L-Alanine, N-[P-(4-chloro-1-naphthalenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



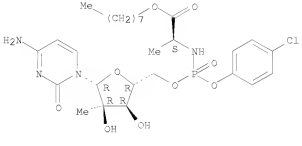
RN 946511-47-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



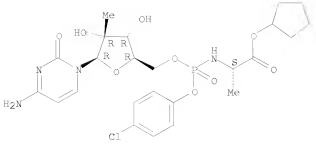
RN 946511-48-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, octyl ester (CA INDEX NAME)

Absolute stereochemistry.



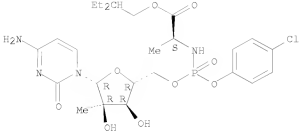
RN 946511-49-5 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclopentyl ester (CA INDEX NAME)

Absolute stereochemistry.



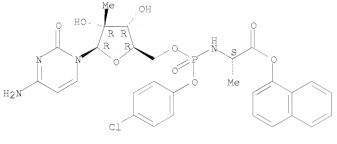
RN 946511-50-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



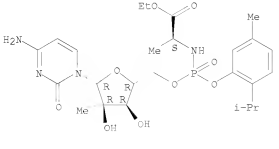
RN 946511-51-9 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1-naphthalenyl ester (CA INDEX NAME)

Absolute stereochemistry.



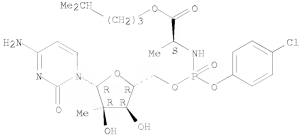
RN 946511-52-0 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[5-methyl-2-(1-methylethyl)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



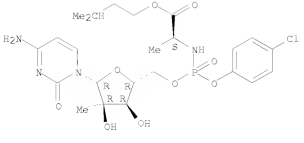
RN 946511-53-1 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 4-methylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



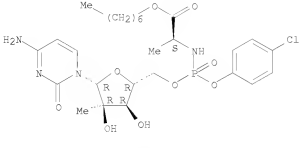
RN 946511-54-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 3-methylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



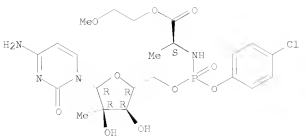
RN 946511-55-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester (CA INDEX NAME)

Absolute stereochemistry.



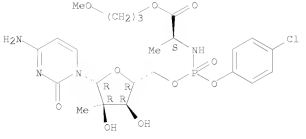
RN 946511-56-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.



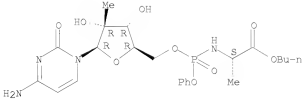
RN 946511-57-5 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.



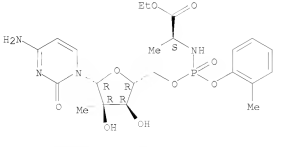
RN 946511-58-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-59-7 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-(2-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

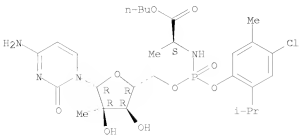
Absolute stereochemistry.



RN 946511-60-0 CAPLUS
CN L-Alanine, N-[P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-

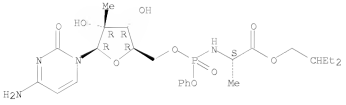
cytidylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



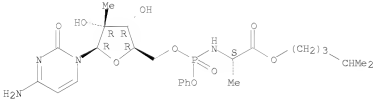
RN 946511-61-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



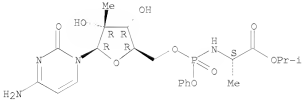
RN 946511-62-2 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 4-methylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



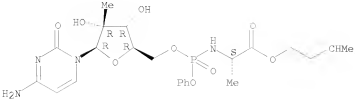
RN 946511-63-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



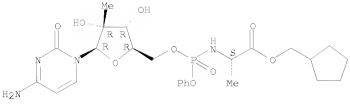
RN 946511-64-4 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 3-methylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



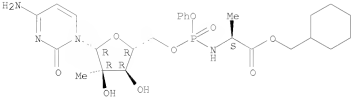
RN 946511-66-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cyclopentylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



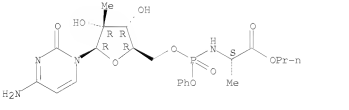
RN 946511-67-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cyclohexylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



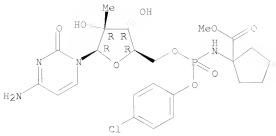
RN 946511-68-8 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, propyl ester (CA INDEX NAME)

Absolute stereochemistry.



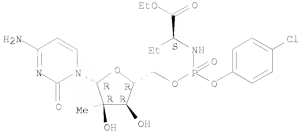
RN 946511-69-9 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl N-[1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



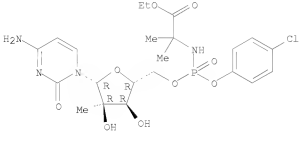
RN 946511-70-2 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl
N-[(1S)-1-(ethoxycarbonyl)propyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



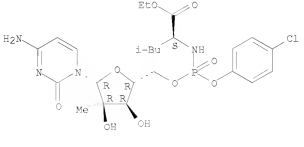
RN 946511-71-3 CAPLUS
CN Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-2-methyl-, ethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



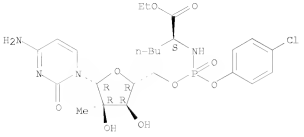
RN 946511-72-4 CAPLUS
CN L-Leucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



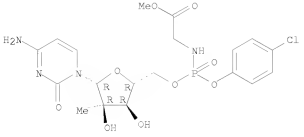
RN 946511-74-6 CAPLUS
CN L-Norleucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



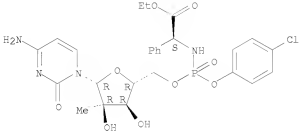
RN 946511-76-8 CAPLUS
CN Glycine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



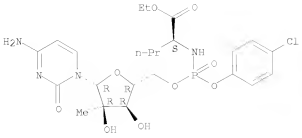
RN 946511-78-0 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl N-[(1S)-2-ethoxy-2-oxo-1-phenylethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



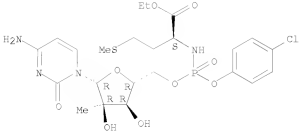
RN 946511-79-1 CAPLUS
CN L-Norvaline, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



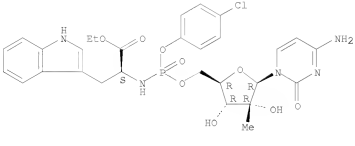
RN 946511-80-4 CAPLUS
CN L-Methionine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



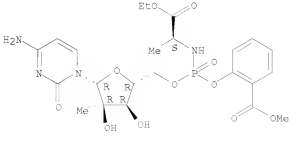
RN 946511-81-5 CAPLUS
CN L-Tryptophan, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



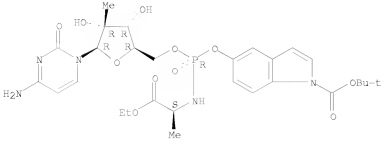
RN 946511-82-6 CAPLUS
CN L-Alanine, N-[P-[2-(methoxycarbonyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



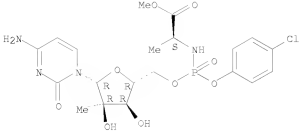
RN 946511-95-1 CAPLUS
CN L-Alanine, N-[[P(R)]-P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



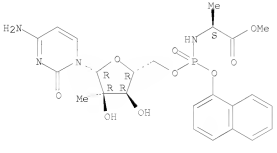
RN 946512-01-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946512-02-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

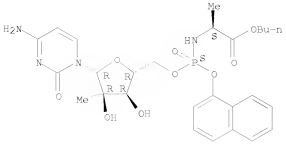


RN 946512-04-5 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946512-03-4
CMF C27 H35 N4 O9 P

Absolute stereochemistry.



CM 2

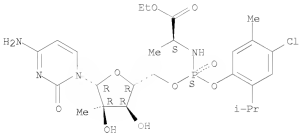
CRN 76-05-1
CMF C2 H F3 O2

RN 946512-06-7 CAPLUS
CN L-Alanine, N-[[P(S)]-P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946512-05-6
CMF C25 H36 Cl N4 O9 P

Absolute stereochemistry.

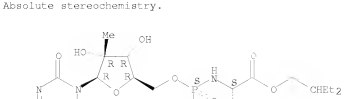


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 946512-07-8 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.

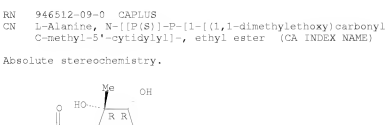


RN 946512-08-9 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-propylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 946512-09-0 CAPLUS
CN L-Alanine, N-[[P(S)]-P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:619578 CAPLUS
DN 147:46112
TI Treatment of cancer and other diseases
IN Habib, Nabil
PA Nabil Habib Lab, Lebanon; Vianova Labs, Inc.
SO PCT Int. Appl., 86pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2007064691 | A1 | 20070607 | WO 2006-US45665 | 20061130 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2632903 A1 20070607 CA 2006-2632903 20061130
EP 1968607 A1 20080917 EP 2006-844623 20061130
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 20090226431 A1 20090910 US 2009-85892 20090306
PRAI US 2005-741725P P 20051202
WO 2006-US45665 W 20061130

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:46112

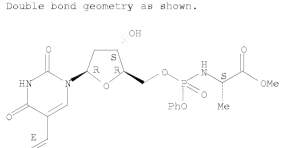
AB The present invention relates to a novel compound (e.g., 24-ethyl-cholestane-3β,5α,6α-triol), its production, its use, and to methods of treating neoplasms and other tumors as well as other diseases including hypercholesterolemia, autoimmune diseases, viral diseases (e.g., hepatitis B, hepatitis C, or HIV), and diabetes.

IT 232925-18-7, Thymectacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of cancer and other diseases using ethylcholestane triol and combination with other agents)

RN 232925-18-7 CAPLUS

CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

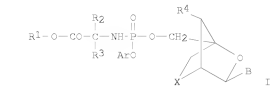
L4 ANSWER 14 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:538915 CAPLUS
DN 146:522025
TI Preparation of locked nucleic acid nucleoside phosphoramidates for use as therapeutic prodrugs in the treatment of cancer
IN Rosenbohm, Christoph; Jensen, Jacob; Koch, Troels
PA Santaris Pharma A/S, Den.
SO PCT Int. Appl., 34pp.
CODEN: PIXXD2
DT Patent
LA English
PAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2007054100 | A2 | 20070518 | WO 2006-DK627 | 20061113 |
| | WO 2007054100 | A3 | 20071004 | | |

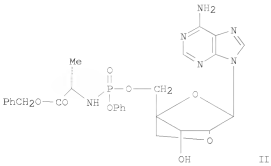
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
EP 2099461 A2 20090916 EP 2006-805565 20061113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
PRAI WO 2006-US627 W 20061113
OS CASREACT 146:522025; MARPAT 146:522025
GI



I



II

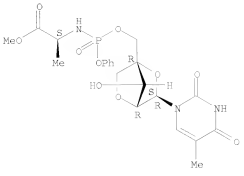
AB LNA nucleoside phosphoramidites I, wherein B is a nucleobase; Ar is an (un)substituted aryl group; X can be O, S, or an (un)substituted amino group; R1 is an alkyl, aryl or alkylaryl group; R2 and R3 are independently H, alkyl, aryl or an alkylene chain; R4 is an H, OH, amino, azido, halo, alkyl, alkoxy, nitro group, etc.; and the available stereocenters can be present in any orientation, are prepared as inhibitors or cell proliferation. Thus, II was prepared from (1S,3R,4R,7S)-3-(adenin-9-yl)-7-hydroxy-1-hydroxymethyl-2,5-dioxabicyclo(2.2.1)heptane and Ph-(benzyloxy-L-alaninyl)phosphorchloridated and tested as an anticancer chemotherapeutic prodrug in an MTS assay (over 80% inhibition at 0.1 μM after 24 h). Further, I were also tested in the same assay at concns. from 0.1 to 500 μM for between 24 and 96 h. and displayed an inhibition ranging from 20 to over 90%. As a result I can be effectively used as a prodrug in the therapeutic treatment of variety of cancer cells, most notably lung cancer.

IT 936616-55-6P 936616-56-7P 936616-57-8P
936616-58-9P 936616-59-0P 936616-60-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of locked nucleic acid nucleoside phosphoramidates for use as therapeutic prodrugs in the treatment of cancer)

RN 936616-55-6 CAPLUS

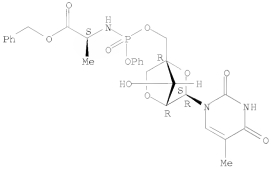
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



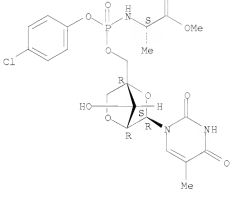
RN 936616-56-7 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



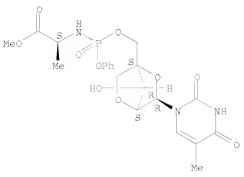
RN 936616-57-8 CAPLUS
CN L-Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-, methyl ester, 4'-ester with 1-[2,5-anhydro-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



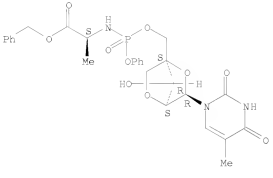
RN 936616-58-9 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 4'-ester with 1-(2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



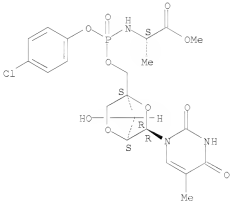
RN 936616-59-0 CAPLUS
CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, phenylmethyl ester, 4'-ester with 1-(2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



RN 936616-60-3 CAPLUS
CN L-Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-, methyl ester, 4'-ester with 1-(2,5-anhydro-4-C-(hydroxymethyl)-β-D-lyxofuranosyl]-5-methyl-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.

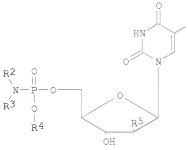


L4 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:538398 CAPLUS

DN 146:501355
TI Phosphoramidate derivatives of FAU
IN Shields, Anthony F.; Zemlicka, Jiri; Nimmagadda, Sridhar
PA Wayne State University, USA
SO PCT Int. Appl., 40pp.
CODEN: PIXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2007056596 | A2 | 20070518 | WO 2006-US43882 | 20061109 |
| WO 2007056596 | A3 | 20070705 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| US 20080292553 | A1 | 20081127 | US 2008-92999 | 20080728 |
| PRAI US 2005-734804P | P | 20051109 | | |
| WO 2006-US43882 | W | 20061109 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 146:501355; MARPAT 146:501355
GI




I

AB Phosphoramidate derivs. of a furanosyluracil analog, FAU, (I) [R1 = H, F, alkyl; R4 = (un)substituted Ph; R2 and R3 = individually H, (un)substituted alkyl, alkoxy, alkylthio, Ph, aryloxy, cyano, alkoxycarbonyl, amino, alkylamido, alkylsulfonyl, arylsulfonyl, perfluoroalkylsulfonyl, alkylsulfinyl, arylsulfinyl, or R2 and R3 taken together with N are a heteroaryl or heterocyclic ring, and R2 and R3 are not H; R5 = F or F18] were prepared as effective intracellular delivers of FAU monophosphates to be converted to nucleoside monophosphates, which improves formation of nucleoside triphosphates and higher incorporation into DNA. The compds. of the invention can be used for cancer treatment. Thus, FAU [2,4(1H,3H)-pyrimidinedione, 1-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)] in dry TFA was sonicated, and after addition of N-Me imidazole, a solution of Me chlorophenylphosphoryl P-N alaninate was added continuously over period of 4 h with continuous stirring to gave after work up or FAU-PA [1-(2'-deoxy-2'-fluoro-β-D-arabinofuranosyl)-uracil-5'-(phenylmethoxyalaninyl phosphate)] in 62.5% yield and showed inhibition of tumor cell growth higher then FAU.

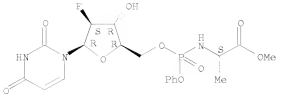
IT 936363-09-6P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phosphoramidate alaninyl derivs. of FAU as antitumor and imaging agents)

RN 936363-09-6 CAPLUS

CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 5'-ester with 1-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-2,4(1H,3H)-pyrimidinedione, labeled with tritium (CA INDEX NAME)

Absolute stereochemistry.



IT 256520-25-9P 936363-07-4P

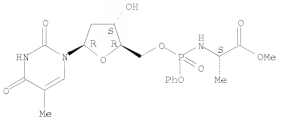
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phosphoramidate alaninyl derivs. of FAU as antitumor and imaging agents)

RN 256520-25-9 CAPLUS

CN L-Alanine, N-(P-phenyl-5'-thymidylyl)-, methyl ester (CA INDEX NAME)

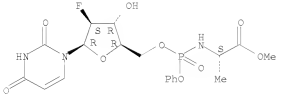
Absolute stereochemistry.



RN 936363-07-4 CAPLUS

CN L-Alanine, N-(hydroxyphenoxyphosphinyl)-, methyl ester, 5'-ester with 1-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-2,4(1H,3H)-pyrimidinedione (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2007:299062 CAPLUS

DN 146:501313

TI Application of the Phosphoramidate ProTide Approach to 4'-Azidouridine Confers Sub-micromolar Potency versus Hepatitis C Virus on an Inactive Nucleoside

AU Perrone, Plinio; Luoni, Giovanna M.; Kelleher, Mary Rose; Daverio, Felice; Angell, Annette; Mulready, Sinead; Congiatu, Costantino; Rajyaguru, Sonal; Martin, Joseph A.; Leveque, Vincent; Le Pogam, Sophie; Najera, Isabel; Klumpp, Klaus; Smith, David B.; McGuigan, Christopher

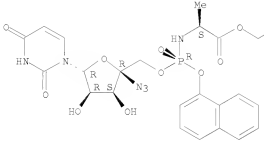
CS Welsh School of Pharmacy, Cardiff University, Cardiff, CF10 3XF, UK

SO Journal of Medicinal Chemistry (2007), 50(8), 1840-1849

CODEN: JMCMAR; ISSN: 0022-2623

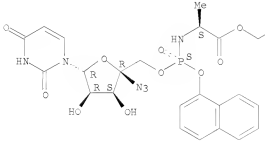
PB American Chemical Society
DT Journal
LA English
OS CASREACT 146:501313
AB The authors report the application of their phosphoramidate ProTide technol. to the ribonucleoside analog 4'-azidouridine to generate novel antiviral agents for the inhibition of hepatitis C virus (HCV). 4'-Azidouridine did not inhibit HCV, although 4'-azidocytidine was a potent inhibitor of HCV replication under similar assay conditions. However 4'-azidouridine triphosphate was a potent inhibitor of RNA synthesis by HCV polymerase, raising the question as to whether the phosphoramidate ProTide approach could effectively deliver 4'-azidouridine monophosphate to HCV replicon cells and unleash the antiviral potential of the triphosphate. Twenty-two phosphoramidates were prepared, including variations in the aryl, ester, and amino acid regions. A number of compds. showed sub-micromolar inhibition of HCV in cell culture without detectable cytotoxicity. These results confirm that phosphoramidate ProTides can deliver monophosphates of ribonucleoside analogs and suggest a potential path to the generation of novel antiviral agents against HCV infection. The generic message is that ProTide synthesis from inactive parent nucleosides may be a warranted drug discovery strategy.
IT 936334-98-4P 936334-99-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(lowest energy conformation; preparation and biol. activity of amino acid- and azidouridine-containing phosphoramidates as inhibitors of hepatitis C virus)
RN 936334-98-4 CAPLUS
CN L-Alanine, N-[[P(R)]-4'-C-azido-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 936334-99-5 CAPLUS
CN L-Alanine, N-[[P(S)]-4'-C-azido-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

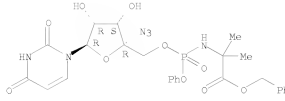


IT 926308-43-2P 926308-46-5P 926308-63-6P
926308-66-9P 926308-74-9P 926308-77-2P
926308-82-9P 926308-83-0P 926308-87-4P
926308-99-8P 926309-04-8P 926309-06-0P

926309-08-2P 926309-09-3P 926309-12-8P
926309-21-9P 926309-73-1P 926309-75-3P
936334-95-1P 936334-97-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of amino acid- and azidouridine-containing phosphoramidates as inhibitors of hepatitis C virus)

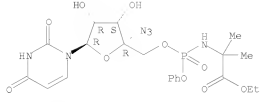
RN 926308-43-2 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[phenyl N-[1,1-dimethyl-2-oxo-2-[phenylmethoxy]ethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



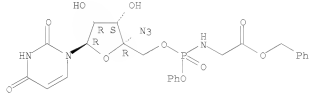
RN 926308-46-5 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-2-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



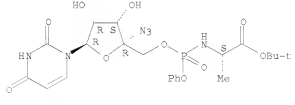
RN 926308-63-6 CAPLUS
CN Glycine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 926308-66-9 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

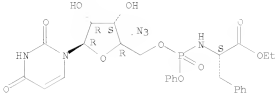
Absolute stereochemistry.



RN 926308-74-9 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA

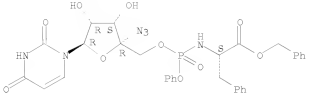
INDEX NAME)

Absolute stereochemistry.



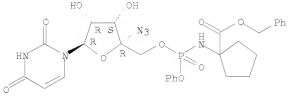
RN 926308-77-2 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



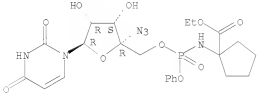
RN 926308-82-9 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[phenyl N-[1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



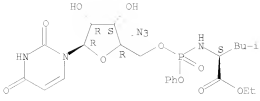
RN 926308-83-0 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[hydrogen N-[1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



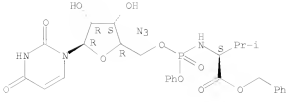
RN 926308-87-4 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



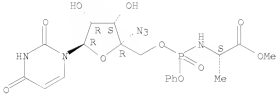
RN 926308-99-8 CAPLUS
CN L-Valine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



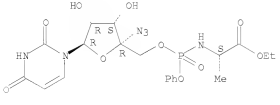
RN 926309-04-8 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



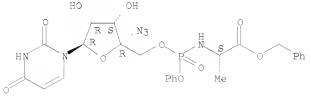
RN 926309-06-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



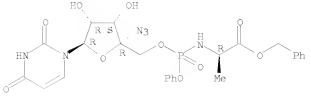
RN 926309-08-2 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



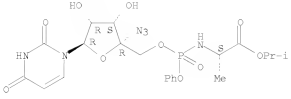
RN 926309-09-3 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



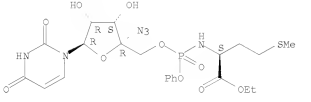
RN 926309-12-8 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



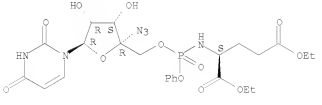
RN 926309-21-9 CAPLUS
CN L-Methionine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



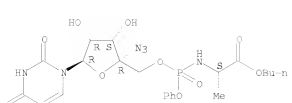
RN 926309-73-1 CAPLUS
CN L-Glutamic acid, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1,5-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



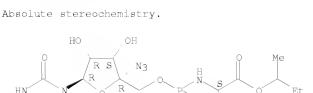
RN 926309-75-3 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



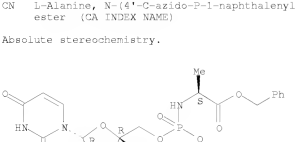
RN 936334-95-1 CAPLUS
CN L-Alanine, N-(6'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 936334-97-3 CAPLUS
CN L-Alanine, N-(6'-C-azido-P-1-naphthalenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:201671 CAPLUS
DN 146:252063
TI Preparation of amino acid-containing nucleotide phosphoramidates as antiviral agents
IN Klumpp, Klaus; Martin, Joseph Armstrong; Mcguigan, Christopher; Smith, David Bernard
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 145pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

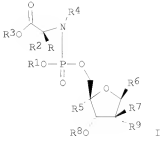
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2007020193 | A2 | 20070222 | WO 2006-EP65021 | 20060803 |
| | WO 2007020193 | A3 | 20071025 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,

SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

| | | | | | |
|---|---|---|---|---|---|
| CA 2618335 | A1 | 20070222 | CA 2006-2618335 | | 20060803 |
| EP 1928475 | A2 | 20080611 | EP 2006-778153 | | 20060803 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| JP 2009504706 | T | 20090205 | JP 2008-526475 | | 20060803 |
| US 20070042988 | A1 | 20070222 | US 2006-503558 | | 20060811 |
| US 7608599 | B2 | 20091027 | | | |
| IN 2008CN00760 | A | 20090821 | IN 2008-CN760 | | 20080214 |
| CN 101287472 | A | 20081015 | CN 2006-80038238 | | 20080414 |
| PRAI US 2005-708726P | P | 20050815 | | | |
| WO 2006-EP65021 | W | 20060803 | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 146:252063; MARPAT 146:252063
GI



AB The invention provides novel nucleoside phosphoramidates I, wherein R and R2 are independently hydrogen, alkyl, alkylamine, hydroxyalkyl, CH2SH, (CH2)2S(O)pMe, (CH2)3NHC(=NH)NH2, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, aryl and aryl-alkyl; R and R2 together are (CH2)n; R1 is hydrogen, haloalkyl, aryl wherein said aryl is Ph or naphthyl optionally substituted with one to three substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, alkoxy, halogen, haloalkyl, amine, acylamino, aminosulfonylalkyl, sulfonylamine, acyl, nitro and cyano; R3 is hydrogen, alkyl, haloalkyl, aryl aryl-alkyl wherein said aryl is phenyl; R4 is hydrogen, alkyl; R5 is azide, C.tplbond.CH or -(Z)-CH=CHCl; R6 is nucleobase; R7 is H, alkoxy; R8 is H, acyl; R9 is H, alkoxy; p = 0-2; n = 3-5 were prepared and are useful for the treatment of Hepatitis C Virus (HCV) mediated diseases. Thus, (S)-2-[[(2R,3S,4R,5R)-2-azido-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-3,4-dihydroxytetrahydrofuran-2-ylmethoxy]phenoxyphosphorylamino]propionic acid iso-Pr ester was prepared and evaluated as antiviral agent for the treatment of diseases mediated by Hepatitis C Virus and showed inhibition of luciferase (IC50 = 0.864 μM).

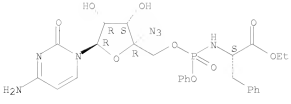
IT

| | | |
|---|---|---|
| 926308-35-2P | 926308-38-5P | 926308-39-6P |
| 926308-40-9P | 926308-42-1P | 926308-43-2P |
| 926308-49-8P | 926308-50-1P | 926308-56-7P |
| 926308-58-9P | 926308-59-0P | 926308-61-4P |
| 926308-63-6P | 926308-66-9P | 926308-67-0P |
| 926308-69-2P | 926308-70-5P | 926308-71-6P |
| 926308-72-7P | 926308-77-2P | 926308-78-3P |
| 926308-82-9P | 926308-83-0P | 926308-84-1P |
| 926308-87-4P | 926308-88-5P | 926308-91-0P |
| 926308-93-2P | 926308-95-4P | 926308-98-7P |
| 926308-99-8P | 926309-01-5P | 926309-03-7P |
| 926309-04-8P | 926309-05-9P | 926309-06-0P |
| 926309-08-2P | 926309-09-3P | 926309-11-7P |
| 926309-12-8P | 926309-15-1P | 926309-18-4P |
| 926309-19-5P | 926309-21-9P | 926309-22-0P |
| 926309-24-2P | 926309-29-7P | 926309-30-0P |
| 926309-31-1P | 926309-33-3P | 926309-35-5P |

926309-36-6P 926309-38-8P 926309-40-2P
926309-42-4P 926309-43-5P 926309-45-7P
926309-46-8P 926309-47-9P 926309-48-0P
926309-52-6P 926309-56-0P 926309-64-0P
926309-67-3P 926309-71-9P 926309-72-0P
926309-73-1P 926309-74-2P 926309-75-3P
926309-76-4P 926309-77-5P 926309-80-0P
926309-82-2P 926309-83-3P 926309-85-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid-containing nucleotide phosphoramidates as antiviral agents)

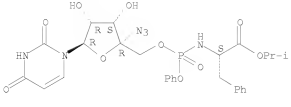
RN 926308-35-2 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



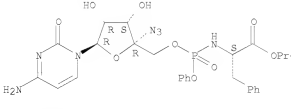
RN 926308-38-5 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



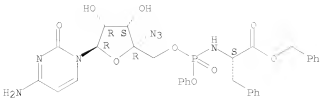
RN 926308-39-6 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



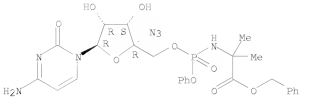
RN 926308-40-9 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



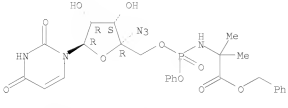
RN 926308-42-1 CAPLUS
CN Cytidine, 4'-C-azido-, 5'-[phenyl N-[1,1-dimethyl-2-oxo-2-(phenylmethoxy)ethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



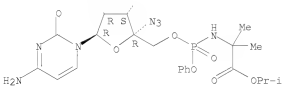
RN 926308-43-2 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[phenyl N-[1,1-dimethyl-2-oxo-2-(phenylmethoxy)ethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



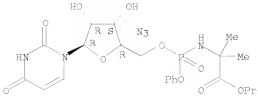
RN 926308-49-8 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-2-methyl-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



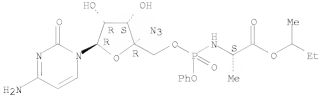
RN 926308-50-1 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-2-methyl-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



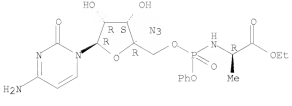
RN 926308-56-7 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



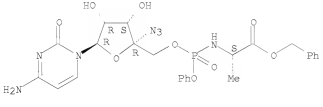
RN 926308-58-9 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



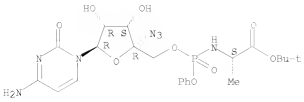
RN 926308-59-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



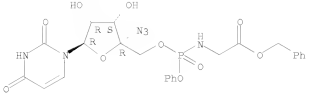
RN 926308-61-4 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



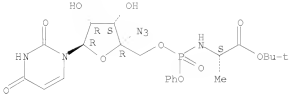
RN 926308-63-6 CAPLUS
CN Glycine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



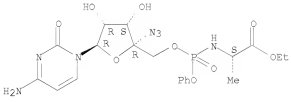
RN 926308-66-9 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



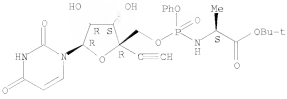
RN 926308-67-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



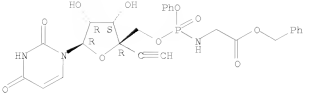
RN 926308-69-2 CAPLUS
CN L-Alanine, N-(4'-C-ethynyl-P-phenyl-5'-uridylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



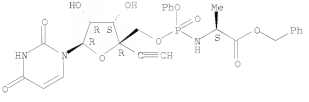
RN 926308-70-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5,6-dideoxy-4-C-(3-oxido-6-oxo-3-phenoxy-8-phenyl-2,7-dioxa-4-aza-3-phosphaoct-1-yl)-α-L-lyxo-hex-5-ynofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



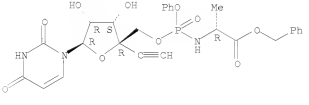
RN 926308-71-6 CAPLUS
CN L-Alanine, N-(4'-C-ethynyl-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



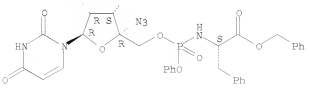
RN 926308-72-7 CAPLUS
CN D-Alanine, N-(4'-C-ethynyl-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



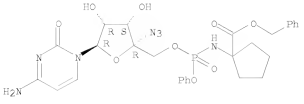
RN 926308-77-2 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



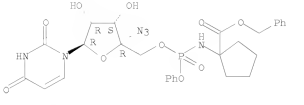
RN 926308-78-3 CAPLUS
CN Cytidine, 4'-C-azido-, 5'-[phenyl N-[1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



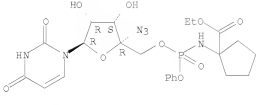
RN 926308-82-9 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[phenyl N-[1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



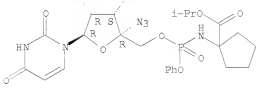
RN 926308-83-0 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[hydrogen N-[1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



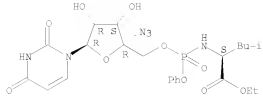
RN 926308-84-1 CAPLUS
CN Uridine, 4'-C-azido-, 5'-[phenyl N-[1-[(1-methylethoxy)carbonyl]cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



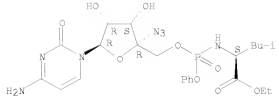
RN 926308-87-4 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



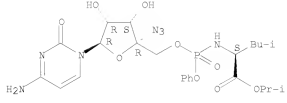
RN 926308-88-5 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



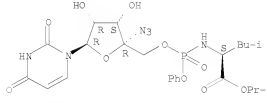
RN 926308-91-0 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



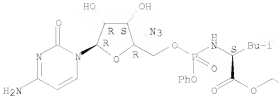
RN 926308-93-2 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



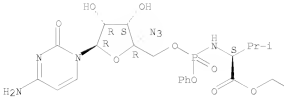
RN 926308-95-4 CAPLUS
CN L-Leucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



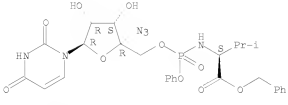
RN 926308-98-7 CAPLUS
CN L-Valine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



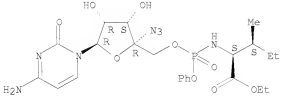
RN 926308-99-8 CAPLUS
CN L-Valine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



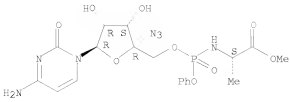
RN 926309-01-5 CAPLUS
CN L-Isoleucine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



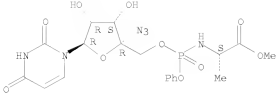
RN 926309-03-7 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



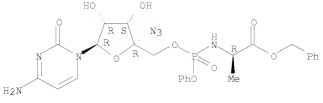
RN 926309-04-8 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



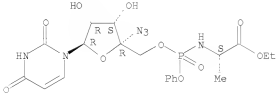
RN 926309-05-9 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



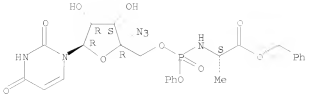
RN 926309-06-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



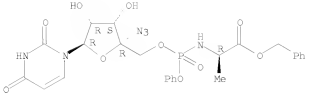
RN 926309-08-2 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



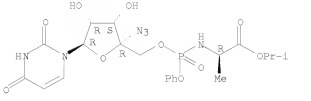
RN 926309-09-3 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



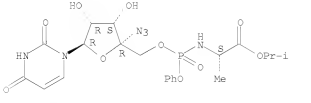
RN 926309-11-7 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



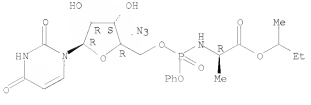
RN 926309-12-8 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



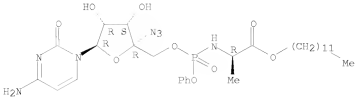
RN 926309-15-1 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



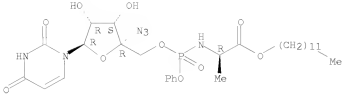
RN 926309-18-4 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, dodecyl ester (CA INDEX NAME)

Absolute stereochemistry.



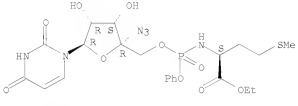
RN 926309-19-5 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, dodecyl ester (CA INDEX NAME)

Absolute stereochemistry.



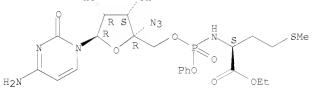
RN 926309-21-9 CAPLUS
CN L-Methionine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



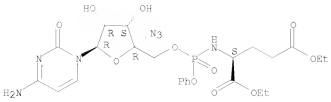
RN 926309-22-0 CAPLUS
CN L-Methionine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



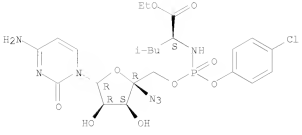
RN 926309-24-2 CAPLUS
CN L-Glutamic acid, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,5-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



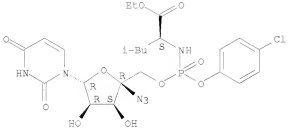
RN 926309-29-7 CAPLUS
CN L-Leucine, N-[6'-C-azido-P-(4-chlorophenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



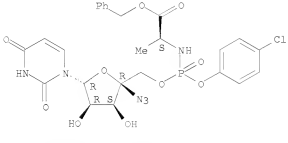
RN 926309-30-0 CAPLUS
CN L-Leucine, N-[6'-C-azido-P-(4-chlorophenyl)-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



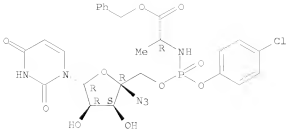
RN 926309-31-1 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-chlorophenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



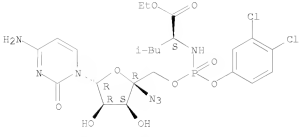
RN 926309-33-3 CAPLUS
CN D-Alanine, N-[6'-C-azido-P-(4-chlorophenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



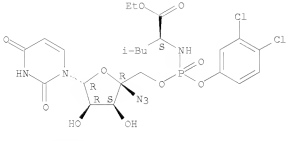
RN 926309-35-5 CAPLUS
CN L-Leucine, N-[6'-C-azido-P-(3,4-dichlorophenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



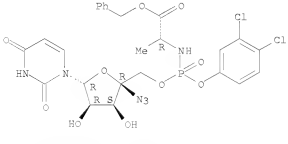
RN 926309-36-6 CAPLUS
CN L-Leucine, N-[6'-C-azido-P-(3,4-dichlorophenyl)-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 926309-38-8 CAPLUS
CN D-Alanine, N-[6'-C-azido-P-(3,4-dichlorophenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

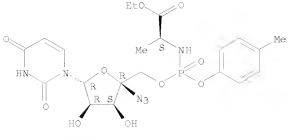
Absolute stereochemistry.



RN 926309-40-2 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-methylphenyl)-5'-uridylyl]-, ethyl ester

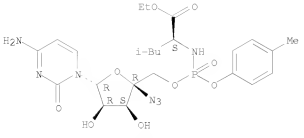
(CA INDEX NAME)

Absolute stereochemistry.



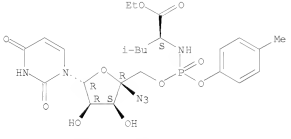
RN 926309-42-4 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-methylphenyl)-5'-cytidylyl]-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



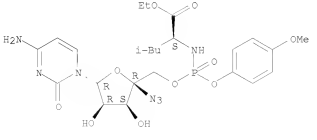
RN 926309-43-5 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-methylphenyl)-5'-uridylyl]-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



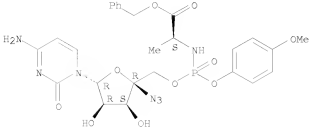
RN 926309-45-7 CAPLUS
CN L-Leucine, N-[4'-C-azido-P-(4-methoxyphenyl)-5'-cytidylyl]-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



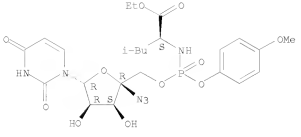
RN 926309-46-8 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-methoxyphenyl)-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



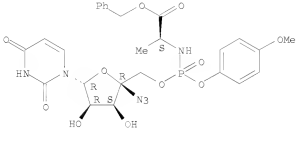
RN 926309-47-9 CAPLUS
CN L-Leucine, N-[6'-C-azido-P-(4-methoxyphenyl)-5'-uridylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



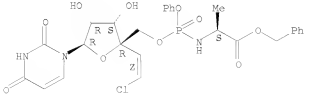
RN 926309-48-0 CAPLUS
CN L-Alanine, N-[6'-C-azido-P-(4-methoxyphenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



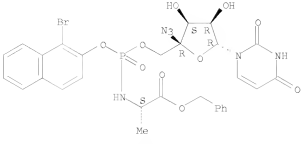
RN 926309-52-6 CAPLUS
CN L-Alanine, N-[6'-C-[(1Z)-2-chloroethenyl]-P-phenyl-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



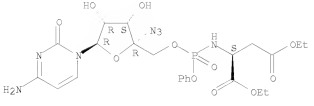
RN 926309-56-0 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(1-bromo-2-naphthalenyl)-5'-uridylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



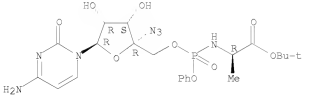
RN 926309-64-0 CAPLUS
CN L-Aspartic acid, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,4-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 926309-67-3 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

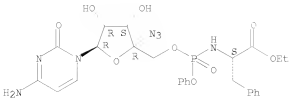


RN 926309-71-9 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 926308-35-2
CMF C26 H30 N7 O9 P

Absolute stereochemistry.



CM 2

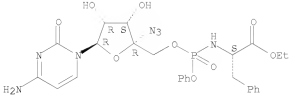
CRN 76-05-1
CMF C2 H F3 O2

RN 926309-72-0 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, ethyl ester, formate (1:1) (CA INDEX NAME)

CM 1

CRN 926308-35-2
CMF C26 H30 N7 O9 P

Absolute stereochemistry.

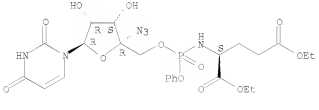


CM 2

CRN 64-18-6
CMF C H2 O2

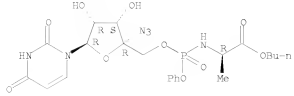
RN 926309-73-1 CAPLUS
CN L-Glutamic acid, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, 1,5-diethyl ester (CA INDEX NAME)

Absolute stereochemistry.



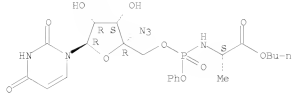
RN 926309-74-2 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



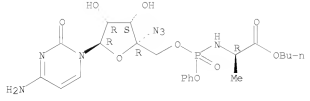
RN 926309-75-3 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



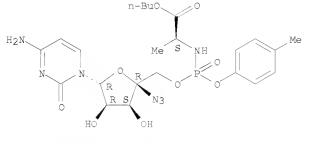
RN 926309-76-4 CAPLUS
CN D-Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



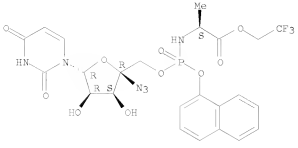
RN 926309-77-5 CAPLUS
CN L-Alanine, N-[4'-C-azido-P-(4-methylphenyl)-5'-cytidylyl]-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



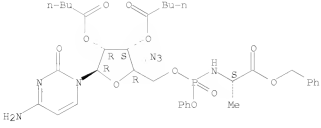
RN 926309-80-0 CAPLUS
CN L-Alanine, N-(4'-C-azido-P-1-naphthalenyl-5'-uridylyl)-, 2,2,2-trifluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



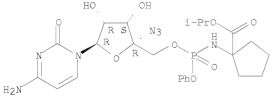
RN 926309-82-2 CAPLUS
CN L-Alanine, N-[6'-C-azido-2',3'-bis-O-(1-oxopentyl)-P-phenyl-5'-cytidylyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



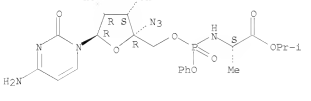
RN 926309-83-3 CAPLUS
CN Cytidine, 6'-C-azido-, 5'-[phenyl N-[1-[(1-methylethoxy)carbonyl]cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



RN 926309-85-5 CAPLUS
CN L-Alanine, N-(6'-C-azido-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

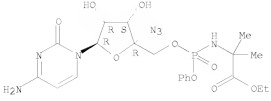


IT 926308-44-3P 926308-46-5P 926308-74-9P
926308-79-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleotide phosphoramidates as antiviral agents)

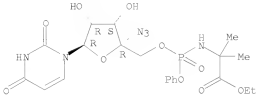
RN 926308-44-3 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-cytidylyl)-2-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



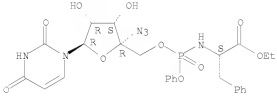
RN 926308-46-5 CAPLUS
CN Alanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-2-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



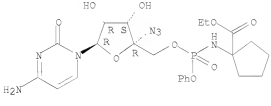
RN 926308-74-9 CAPLUS
CN L-Phenylalanine, N-(4'-C-azido-P-phenyl-5'-uridylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 926308-79-4 CAPLUS
CN Cytidine, 4'-C-azido-, 5'-[phenyl N-[1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:1206158 CAPLUS
DN 145:500034

TI Phosphoramidate prodrugs for treatment of viral infection
IN Gunic, Esmir; Chow, Suetying; Rong, Frank
PA Valeant Research & Development, USA
SO PCT Int. Appl., 147pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

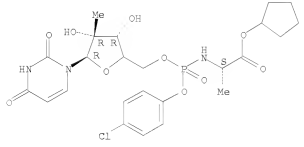
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2006121820 | A1 | 20061116 | WO 2006-US17314 | 20060505 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

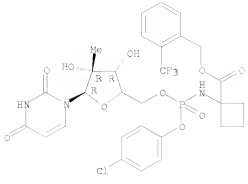
PRAI US 2005-678636P P 20050505
US 2005-748034P P 20051206
OS MARPAT 145:500034
AB The invention concerns 2'-Me ribonucleotide phosphoramidates which are neutral prodrugs which are converted in vivo to 2'- Me ribonucleotide triphosphates. These compds. are useful in the treatment of viral infection. Of particular interest are prodrugs of a methylsulfonylhydrazinyl purine 2'-Me nucleotide triphosphate: 2'-methyl-N6-alkyl-N6- (N-methylsulfonamide) ATP and its 2-amino derivative
IT 1075703-77-3 1075705-71-3 1075716-43-6
1075716-44-7 1075716-45-8 1075716-46-9
1075716-47-0 1075716-48-1 1075716-49-2
1075716-50-5 1075716-53-8 1075716-55-0
1075716-56-1 1075716-57-2 1075716-64-1
1075716-65-2 1075716-70-9 1075717-09-7
1075717-12-2 1075720-37-4 1075721-70-8
1075721-76-4 1075721-77-5 1075721-80-0
1075721-82-2 1075721-85-5 1075721-86-6
1075721-94-6 1075737-66-4 1075738-82-7
RL: PRPH (Prophetic)
(Phosphoramidate prodrugs for treatment of viral infection)
RN 1075703-77-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



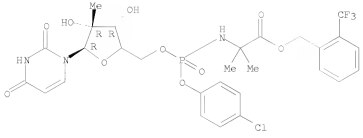

RN 1075705-71-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



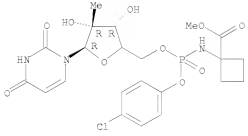
RN 1075716-43-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



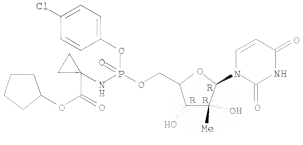
RN 1075716-44-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



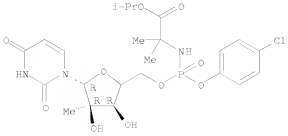
RN 1075716-45-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



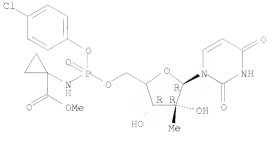
RN 1075716-46-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



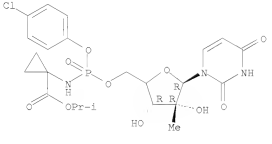
RN 1075716-47-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



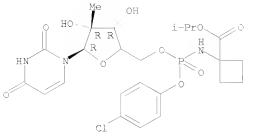
RN 1075716-48-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



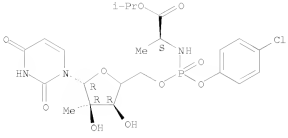
RN 1075716-49-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



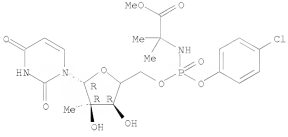
RN 1075716-50-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



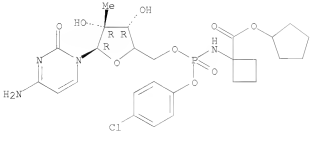
RN 1075716-53-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



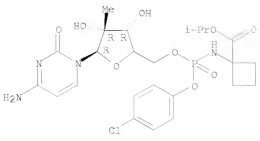
RN 1075716-55-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



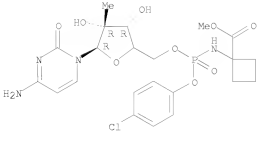
RN 1075716-56-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



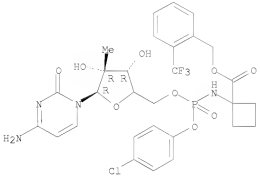
RN 1075716-57-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



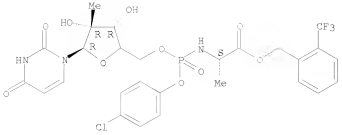
RN 1075716-64-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



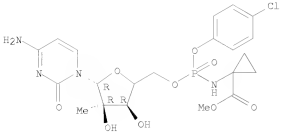
RN 1075716-65-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



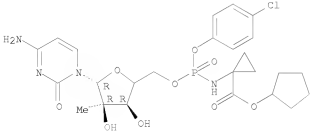
RN 1075716-70-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



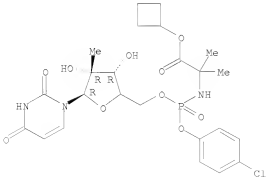
RN 1075717-09-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



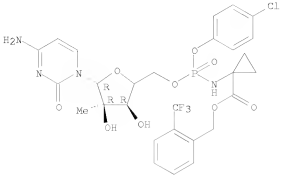
RN 1075717-12-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



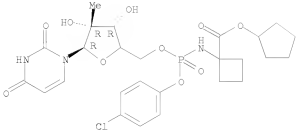
RN 1075720-37-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



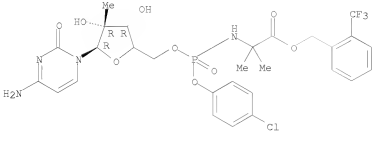
RN 1075721-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



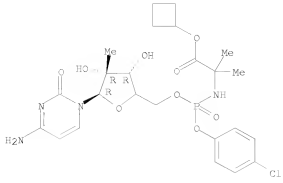
RN 1075721-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



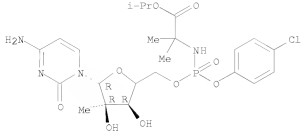
RN 1075721-77-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



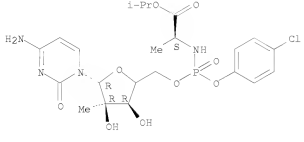
RN 1075721-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



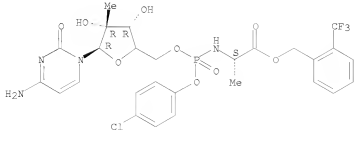
RN 1075721-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



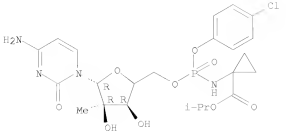
RN 1075721-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



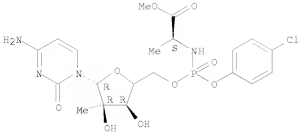
RN 1075721-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



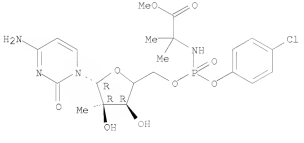
RN 1075721-94-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



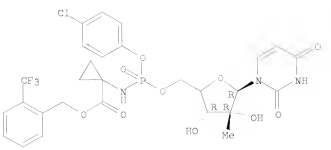
RN 1075737-66-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



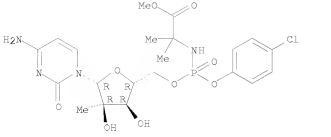
RN 1075738-82-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 914912-07-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphoramidate prodrugs for treatment of viral infection)
RN 914912-07-5 CAPLUS
CN Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-2-methyl-, methyl ester, 5'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

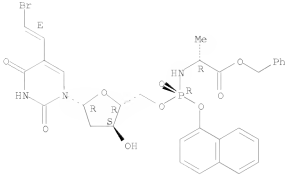


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1315892 CAPLUS
DN 144:163499
TI Novel Potential Anticancer Naphthyl Phosphoramidates of BVdU: Separation of Diastereoisomers and Assignment of the Absolute Configuration of the Phosphorus Center
AU Congiatu, Costantino; Brancale, Andrea; Mason, Malcolm D.; Jiang, Wen G.; McGuigan, Christopher
CS Welsh School of Pharmacy, Cardiff University, Cardiff, CF10 3XF, UK
SO Journal of Medicinal Chemistry (2006), 49(2), 452-455
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 144:163499
AB We have previously reported our SAR optimization of the anticancer agent thymectacin. Tuning of the parent ProTide structure initially involved the amino acid and, subsequently, the aromatic masking group on the phosphate moiety. Herein, derivs. bearing the combined modifications are reported and biol. evaluation is described. Moreover, separation of the diastereoisomeric final product mixture shows a different cytostatic activity for the two diastereoisomers. Through computational and NMR studies, the absolute stereochem. of the phosphorus center of the two diastereoisomers has been suggested.
IT 874362-33-1P 874362-34-2P
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(novel potential anticancer naphthyl phosphoramidates of BVdU: separation of diastereoisomers and assignment of absolute configuration of phosphorus

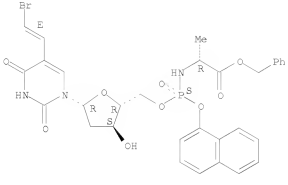
center)
RN 874362-33-1 CAPLUS
CN D-Alanine, N-[[P(R)]-5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



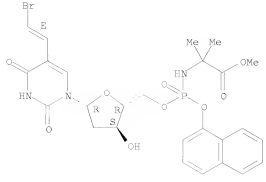
RN 874362-34-2 CAPLUS
CN D-Alanine, N-[[P(S)]-5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



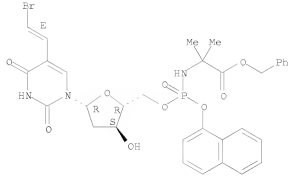
IT 874362-00-2P 874362-01-3P 874362-02-4P
874362-03-5P 874362-04-6P 874362-05-7P
874362-06-8P 874362-07-9P 874362-08-0P
874362-09-1P 874362-10-4P 874362-11-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel potential anticancer naphthyl phosphoramidates of BVdU: separation of diastereoisomers and assignment of absolute configuration of phosphorus center)
RN 874362-00-2 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



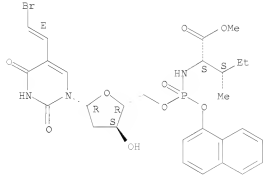
RN 874362-01-3 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-02-4 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

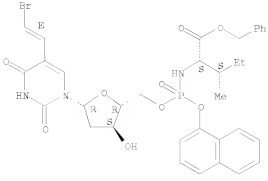
Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-03-5 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

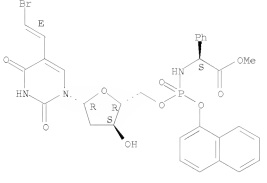
Absolute stereochemistry.

Double bond geometry as shown.



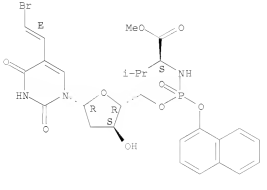
RN 874362-04-6 CAPLUS
CN Glycine, N-[S-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-2-phenyl-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



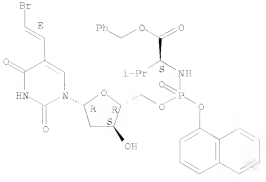
RN 874362-05-7 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



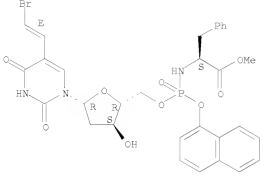
RN 874362-06-8 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



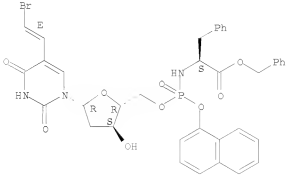
RN 874362-07-9 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-08-0 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

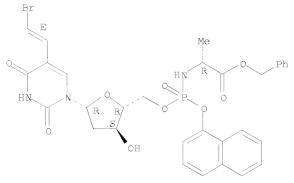
Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-09-1 CAPLUS

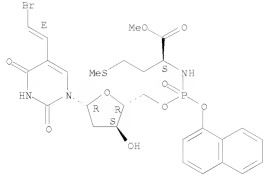
CN D-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



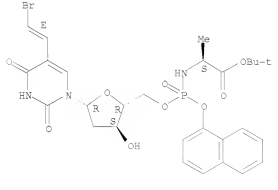
RN 874362-10-4 CAPLUS
CN L-Methionine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-11-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



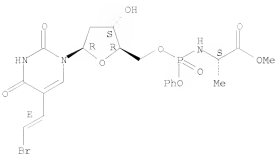
OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1290072 CAPLUS
DN 144:46998
TI The x-ray crystal structure of BRCA1 tandem BRCT repeat and BACH1 phosphopeptide complex and methods and compositions for antitumor drug design
IN Yaffe, Michael B.; Clapperton, Julie A.; Manke, Isaac A.; Lowery, Drew M.; Ho, Timmy; Haire, Lesley F.; Smerdon, Stephen J.
PA Massachusetts Institute of Technology, USA
SO PCT Int. Appl., 360 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

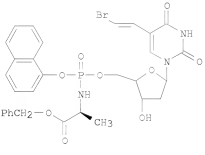
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005115454 | A2 | 20051208 | WO 2005-US15981 | 20050509 |
| | WO 2005115454 | A3 | 20071115 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA | | | | |
| | AU 2005247346 | A1 | 20051208 | AU 2005-247346 | 20050509 |
| | CA 2569003 | A1 | 20051208 | CA 2005-2569003 | 20050509 |
| | EP 1773389 | A2 | 20070418 | EP 2005-780060 | 20050509 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| | JP 2007537164 | T | 20071220 | JP 2007-511664 | 20050509 |
| | US 20090143997 | A1 | 20090604 | US 2008-229740 | 20080826 |
| PRAI | US 2004-569131P | P | 20040507 | | |
| | US 2005-126022 | B3 | 20050509 | | |
| | WO 2005-US15981 | W | 20050509 | | |

AB The present invention relates to compds. (e.g., peptidomimetics and non-peptides) that treat, prevent or stabilize cellular proliferative disorders and methods of treating, preventing, or stabilizing such disorders. The invention also provides three-dimensional structures of a BRCT domain-BACH1 phosphopeptide complex.
IT 232925-18-7, Thymectacin
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(x-ray crystal structure of BRCA1 tandem BRCT repeat and BACH1 phosphopeptide complex and methods and compns. for antitumor drug design)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



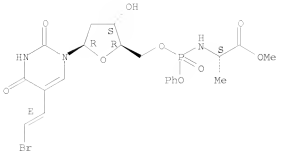
L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1151332 CAPLUS
DN 145:271969
TI Naphthyl phosphoramidate derivatives of BVdU as potential anticancer agents: Design, synthesis and biological evaluation
AU Congiatu, C.; McGuigan, C.; Jiang, W. G.; Davies, G.; Mason, M. D.
CS Welsh School of Pharmacy, Cardiff University, Cardiff, UK
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(5-7), 485-489
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 145:271969
GI



I

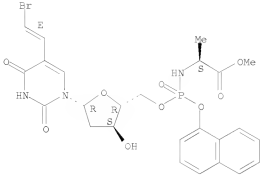
AB The phosphoramidate technol. has been recently applied to BVdU, leading to NB1011 (NewBiotics Inc., California), a novel potential anticancer compound recently entered into phase 2 of the clin. trials for colon cancer. A new series of derivs. containing naphthol and amino acid moieties as aryl masking group on the phosphate moiety, e.g. I, which has shown a significant increase in anticancer activity in preliminary biol. evaluations, is reported.
IT 232925-18-7, NB 1011
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of naphthyl phosphoramidate derivs. of bromovinyl deoxyuridine (BVdU) as anticancer agents)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



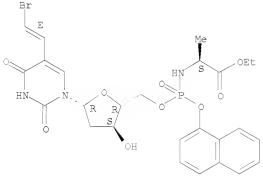
IT 906670-25-5P 906670-26-6P 906670-27-7P
906670-28-8P 906670-29-9P 906670-30-2P
906670-31-3P 906670-32-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of naphthyl phosphoramidate derivs. of bromovinyl deoxyuridine (BVdU) as anticancer agents)
RN 906670-25-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 906670-26-6 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

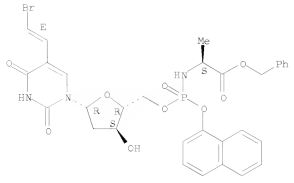
Absolute stereochemistry.
Double bond geometry as shown.



RN 906670-27-7 CAPLUS

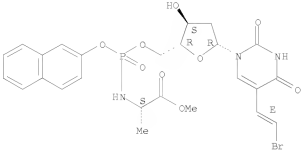
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



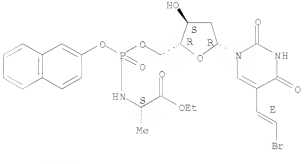
RN 906670-28-8 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-2-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 906670-29-9 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-2-naphthalenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

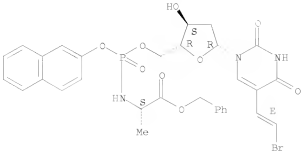
Absolute stereochemistry.
Double bond geometry as shown.



RN 906670-30-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-2-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

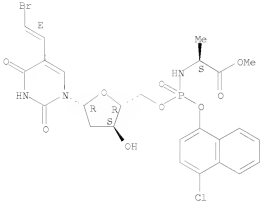
Absolute stereochemistry.

Double bond geometry as shown.



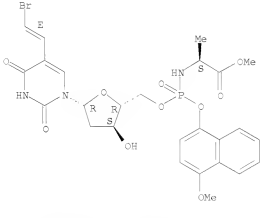
RN 906670-31-3 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chloro-1-naphthalenyl)-2'-deoxy-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 906670-32-4 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-methoxy-1-naphthalenyl)-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

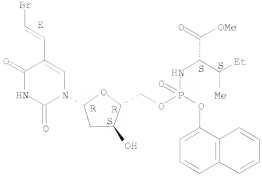


IT 874362-02-4P 874362-03-5P 874362-04-6P
874362-05-7P 874362-06-8P 874362-07-9P

874362-08-0P 874362-09-1P 874362-10-4P
874362-11-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of naphthyl phosphoramidate derivs. of bromovinyl deoxyuridine (BVdU) as anticancer agents)

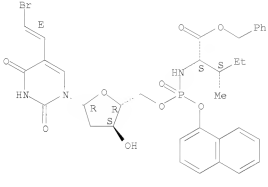
RN 874362-02-6 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



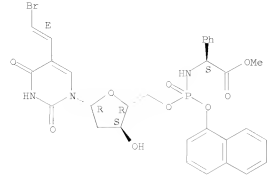
RN 874362-03-5 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



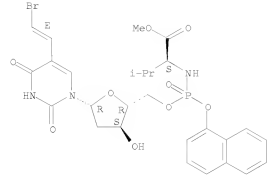
RN 874362-04-6 CAPLUS
CN Glycine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-2-phenyl-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



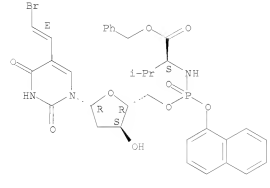
RN 874362-05-7 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-06-8 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

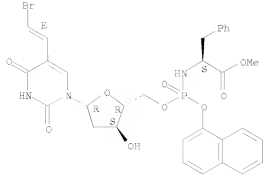
Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-07-9 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

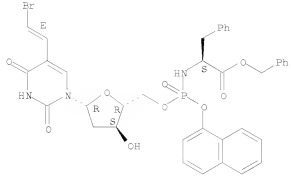
Absolute stereochemistry.

Double bond geometry as shown.



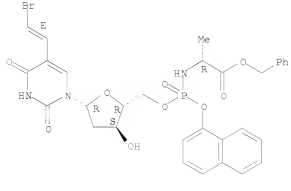
RN 874362-08-0 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



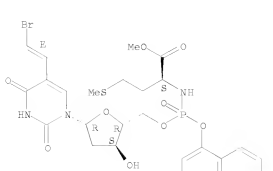
RN 874362-09-1 CAPLUS
CN D-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



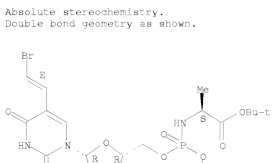
RN 874362-10-4 CAPLUS
CN L-Methionine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 874362-11-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-1-naphthalenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:409543 CAPLUS
DN 142:457053
TI Human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy
IN Lacasse, Eric; McManus, Daniel
PA Aegera Therapeutics, Inc., Can.
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005042558 | A1 | 20050512 | WO 2004-CA1902 | 20041029 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| US 20050148535 | | A1 | 20050707 | US 2004-975974 | 20041028 |
| CA 2542904 | | A1 | 20050512 | CA 2004-2542904 | 20041029 |
| EP 1682565 | | A1 | 20060726 | EP 2004-789809 | 20041029 |
| | R: DE, FR, GB | | | | |
| JP 2007510408 | | T | 20070426 | JP 2006-537024 | 20041029 |
| PRAI US 2003-516192P | | P | 20031030 | | |
| WO 2004-CA1902 | | W | 20041029 | | |

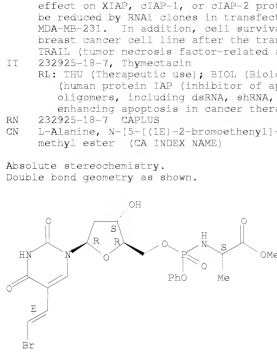
AB The invention provides nucleobase oligomers and oligonucleotide duplexes that inhibit expression of an IAP (inhibitor of apoptosis protein), and methods for using them to induce apoptosis in a cell. Specifically, the invention provides nucleic acid sequences for siRNAs and shRNAs that target human XIAP, HIAP-1 or HIAP-2 genes. The nucleobase oligomers and oligomer complexes of the present invention may also be used to form pharmaceutical compns. The invention also features methods for enhancing apoptosis in a cell by administering a nucleobase oligomer or oligomer complex of the invention in combination with a chemotherapeutic or chemosensitizing agent. RNAi sequences and vectors producing shRNA (short hairpin RNA) were transfected into HeLa cells and evaluated for their effect on XIAP, cIAP-1, or cIAP-2 protein levels. XIAP protein could also be reduced by RNAi clones in transfected breast cancer cell line MDA-MB-231. In addition, cell survival was reduced in XIAP RNAi transfected breast cancer cell line after the transfected cells were treated with TRAIL (tumor necrosis factor-related apoptosis inducing ligand).

IT 232925-18-7, Thymectacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy)

RN 232925-18-7 CAPLUS

CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:409357 CAPLUS
DN 142:457052
TI Sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with a chemotherapeutic agent
IN Lacasse, Eric; McManus, Daniel; Durkin, Jon P.
PA Aegera Therapeutics, Inc., Can.
SO PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | | |
|---|---|---|---|---|---|---|
| PI | WO 2005042030 | | A1 | 20050512 | WO 2004-CA1900 | 20041029 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 20050119217 | | A1 | 20050602 | US 2004-975790 | 20041028 |
| | AU 2004284855 | | A1 | 20050512 | AU 2004-284855 | 20041029 |
| | CA 2542884 | | A1 | 20050512 | CA 2004-2542884 | 20041029 |
| | EP 1691842 | | A1 | 20060823 | EP 2004-789807 | 20041029 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| | BR 2004015779 | | A | 20061226 | BR 2004-15779 | 20041029 |
| | CN 1901939 | | A | 20070124 | CN 2004-80039601 | 20041029 |
| | JP 2007509861 | | T | 20070419 | JP 2006-537023 | 20041029 |
| | ZA 2006003399 | | A | 20070926 | ZA 2006-3399 | 20041029 |
| | NZ 547191 | | A | 20090828 | NZ 2004-547191 | 20041029 |
| | RU 2376018 | | C2 | 20091220 | RU 2006-117024 | 20041029 |
| | SG 157422 | | A1 | 20091229 | SG 2009-7918 | 20041029 |
| | MX 2006004920 | | A | 20070216 | MX 2006-4920 | 20060502 |
| | IN 2006MN00614 | | A | 20070420 | IN 2006-MN614 | 20060526 |
| | NO 2006002420 | | A | 20060731 | NO 2006-2420 | 20060529 |
| | KR 2006127393 | | A | 20061212 | KR 2006-710619 | 20060530 |
| PRAI | US 2003-516263P | | P | 20031030 | | |
| | WO 2004-CA1900 | | W | 20041029 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

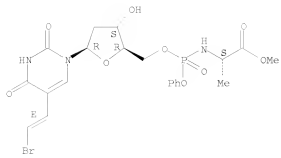
AB The invention claims the use of an antisense oligomer to human XIAP, IAP-1 or IAP-2 genes and a chemotherapeutic agent, and compns. and kits thereof, for the treatment of proliferative diseases. The invention further claims sequences for nucleobase oligomers that are antisense IAP (inhibitor of apoptosis protein) oligomers. The antisense IAP nucleobase oligomers specifically hybridize with polynucleotides encoding an IAP and reduce the amount of an IAP protein produced in a cell. Thus by reducing the IAP protein, the invention provides methods for inducing cancer cells to undergo apoptosis and for overriding anti-apoptotic signals in cancer cells. As an example of the invention, mice with s.c. H460 human lung carcinoma xenografts were injected intratumorally with XIAP antisense mixed-base 2'-O-Me RNA oligonucleotides (CS and/or G4) and the drug vinorelbine. At the end of the 24 d treatment period, the mean relative tumor growth was reduced .apprx.70% in treated mice. The inhibition of tumor growth was correlated with down-regulation of human XIAP protein expression and an increased number of dead cells. The mice did not show any signs of cytotoxicity such as body weight loss.

IT 232925-18-7, Thymectacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with chemotherapeutic agent)

RN 232925-18-7 CAPLUS

CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

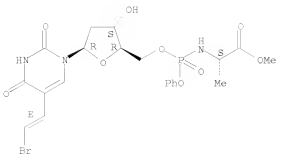
Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

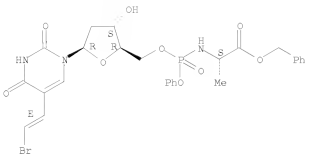
L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:288972 CAPLUS
DN 143:7925
TI Anti-cancer ProTides: tuning the activity of BVDU phosphoramidates related to thymectacin
AU McGuigan, Christopher; Thiery, Jean-Christophe; Daverio, Felice; Jiang, Wen G.; Davies, Gaynor; Mason, Malcolm
CS Welsh School of Pharmacy, Cardiff University, Cardiff, CF10 3XF, UK
SO Bioorganic & Medicinal Chemistry (2005), 13(9), 3219-3227
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 143:7925
AB Based on our wide ranging knowledge of phosphoramidate ProTides as anti-viral agents we have tuned the lead anti-cancer agent thymectacin in the ester and amino acid regions and revealed a substantial enhancement in in vitro potency vs. colon and prostate cancer cell lines. Twelve analogs have been reported, with yields of 29-78%. The compds. are fully characterized and data clearly reveal the presence of two phosphate diastereoisomers, as expected, in roughly equi-molar proportions. The compds. were evaluated in tissue culture vs. three different tumor cell lines, using thymectacin as the control. It is notable that minor structural modification of the parent Ph methoxyalaninyl structure of thymectacin leads to significant enhancements in potency. In particular, replacement of the Me ester moiety in the lead by a benzyl ester gave a 175-fold boost in potency vs. colon cancer HT115. This derivative emerges as a low micromolar inhibitor of HT115 cells and a new lead for further optimization.
IT 232925-18-7P 436097-54-0P 436097-55-1P
535958-46-4P 840505-89-7P 840505-93-3P
840505-98-8P 840505-99-9P 840506-00-5P
840506-09-4P 840506-10-7P 840506-11-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of thymectacin analogs as potential anti-cancer agents)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



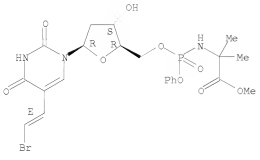
RN 436097-54-0 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



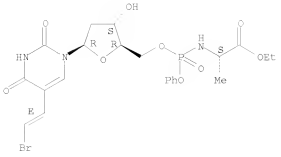
RN 436097-55-1 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



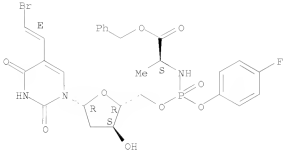
RN 535958-46-4 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



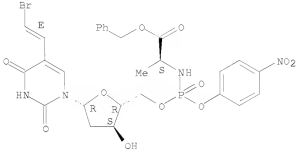
RN 840505-89-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



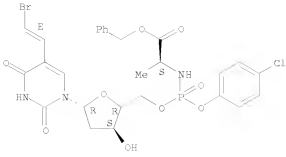
RN 840505-93-3 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



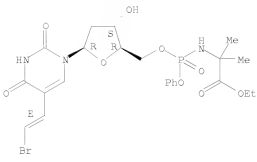
RN 840505-98-8 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



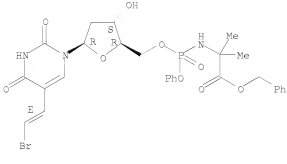
RN 840505-99-9 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



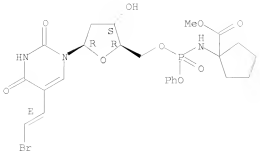
RN 840506-00-5 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



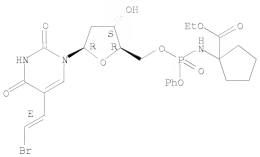
RN 840506-09-4 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



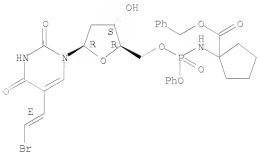
RN 840506-10-7 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 840506-11-8 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:283298 CAPLUS
DN 142:349042
TI Combinations of chlorpromazine compounds and antiproliferative drugs for the treatment of neoplasms
IN Lee, Margaret S.; Nichols, James M.; Zhang, Yanzhen; Keith, Curtis
PA Combinatorx, Incorporated, USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 7

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005027842 | A2 | 20050331 | WO 2004-US30368 | 20040916 |
| WO 2005027842 | A3 | 20051222 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004273910 | A1 | 20050331 | AU 2004-273910 | 20040916 |
| CA 2538570 | A1 | 20050331 | CA 2004-2538570 | 20040916 |
| EP 1670477 | A2 | 20060621 | EP 2004-788798 | 20040916 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004014568 | A | 20061107 | BR 2004-14568 | 20040916 |
| CN 1878556 | A | 20061213 | CN 2004-80033294 | 20040916 |
| JP 2007505914 | T | 20070315 | JP 2006-527024 | 20040916 |
| MX 2006003066 | A | 20060620 | MX 2006-3066 | 20060317 |
| NO 2006001325 | A | 20060606 | NO 2006-1325 | 20060323 |
| KR 2007012618 | A | 20070126 | KR 2006-707244 | 20060414 |
| PRAI US 2003-504310P | P | 20030918 | | |
| WO 2004-US30368 | W | 20040916 | | |

OS MARPAT 142:349042

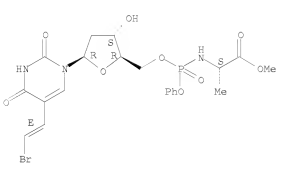
AB The invention discloses a method for treating a patient having a cancer or other neoplasm by administering chlorpromazine or a chlorpromazine analog and an antiproliferative agent simultaneously or within 14 days of each other in amts. sufficient to treat the patient.

IT 232925-18-7, Thymectacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chlorpromazine compound-antiproliferative drug antitumor combination)

RN 232925-18-7 CAPLUS

CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 26 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:120951 CAPLUS
DN 142:219498
TI Preparation of amino acid-containing nucleotide phosphoramidates as antitumor agents
IN McGuigan, Christopher
PA University College Cardiff Consultants Limited, UK
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005012327 | A2 | 20050210 | WO 2004-GB3148 | 20040720 |
| | WO 2005012327 | A3 | 20050421 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2004261455 | A1 | 20050210 | AU 2004-261455 | 20040720 |
| | CA 2518115 | A1 | 20050210 | CA 2004-2518115 | 20040720 |
| | EP 1646639 | A2 | 20060419 | EP 2004-743483 | 20040720 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| | JP 2006528162 | T | 20061214 | JP 2006-520890 | 20040720 |
| | NZ 541974 | A | 20090331 | NZ 2004-541974 | 20040720 |
| | NO 2005003993 | A | 20051102 | NO 2005-3993 | 20050826 |
| | MX 2005012606 | A | 20060208 | MX 2005-12606 | 20051122 |
| | US 20060142238 | A1 | 20060629 | US 2005-560887 | 20051215 |
| PRAI | GB 2003-17009 | A | 20030721 | | |
| | WO 2004-GB3148 | A | 20040720 | | |

OS CASREACT 142:219498; MARPAT 142:219498
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

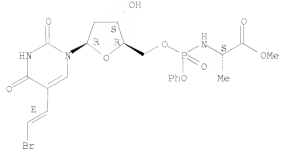
AB Amino acid-containing nucleotide phosphoramidates I, wherein R is alkyl, aryl, alkylaryl; R1 and R2 are independently H, alkyl, alkylaryl; R1 and R2 together form an alkylene chain so as to provide, together with the C atom to which they are attached, a cyclic system; Q is -O- and -CH-; X and Y are independently H, F, Cl, Br, I, OH and Me; Ar is a monocyclic aromatic ring moiety or a fused bicyclic aromatic ring moiety, either of which said ring moieties is carbocyclic or heterocyclic and is optionally substituted; Z is H, alkyl and halogen, were prepared and used in the treatment of cancer. The base moieties of, for example, each of deoxyuridine, cytarabine, gemcitabine and cytidine may be substituted at the 5-position. The phosphoramidate moiety has attached to the P atom an aryl-O moiety and an α-amino acid moiety. The α-amino acid moiety may correspond to or be derived from either a naturally occurring or a non-naturally occurring amino acid. Thus, title II was prepared and tested as antitumor agent. The activity of compds. embodying the present invention, and of some comparative compds., with respect to human breast cancer cell line MDA MB231, human colon cancer cell line HT1 15 and human prostrate cancer cell line PC-3, is reported.

IT 232928-18-7P 436097-54-0P 436097-55-1P
436097-56-2P 535958-46-4P 535958-47-5P
535958-53-3P 535958-60-2P 840505-87-5P
840505-88-6P 840505-89-7P 840505-91-1P
840505-92-2P 840505-93-3P 840505-94-4P
840505-95-5P 840505-96-6P 840505-97-7P
840505-98-8P 840505-99-9P 840506-00-5P
840506-01-6P 840506-02-7P 840506-03-8P
840506-04-9P 840506-05-0P 840506-06-1P
840506-08-3P 840506-09-4P 840506-10-7P
840506-11-8P 840506-12-9P 840506-13-0P
840506-14-1P 840506-15-2P 840506-16-3P
840506-17-4P 840506-18-5P 840506-19-6P
840506-20-9P 840506-21-0P 840506-22-1P
840506-23-2P 840506-24-3P 840506-25-4P
840506-26-5P 840506-27-6P 840506-28-7P
840506-29-8P 840506-31-2P 840506-32-3P

840506-69-6P 840506-70-9P 840506-73-2P
840506-74-3P 840506-75-4P 840506-76-5P
840506-77-6P 840506-78-7P 840506-79-8P
840506-80-1P 840506-81-2P 840506-82-3P
840506-83-4P 840506-85-6P 840506-86-7P
840506-87-8P 840506-88-9P 840506-89-0P
840506-90-3P 840506-91-4P 840506-92-5P
840506-93-6P 840506-94-7P 840506-95-8P
840506-96-9P 840506-97-0P 840506-98-1P
840506-99-2P 840507-00-8P 840507-01-9P
840507-02-0P 840507-03-1P 840507-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid-containing nucleotide phosphoramidates as antitumor agents)

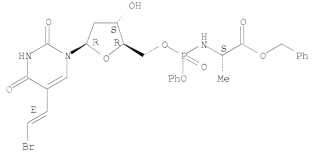
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



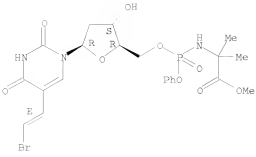

RN 436097-54-0 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



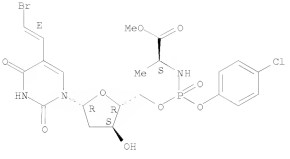

RN 436097-55-1 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



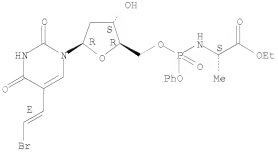
RN 436097-56-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



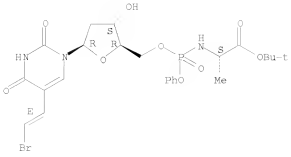
RN 535958-46-4 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



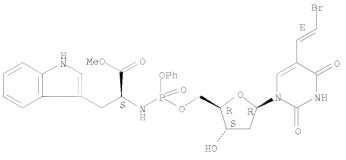
RN 535958-47-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



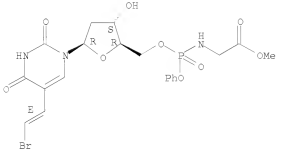
RN 535958-53-3 CAPLUS
CN L-Tryptophan, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



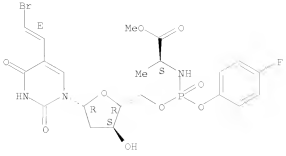
RN 535958-60-2 CAPLUS
CN Glycine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



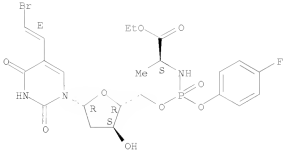
RN 840505-87-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



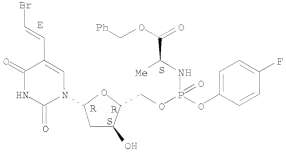
RN 840505-88-6 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



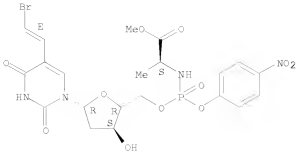
RN 840505-89-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



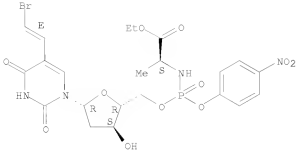
RN 840505-91-1 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



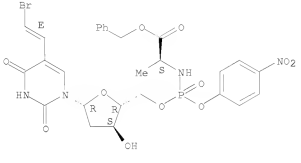
RN 840505-92-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



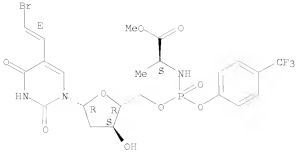
RN 840505-93-3 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



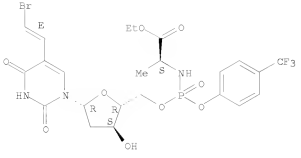
RN 840505-94-4 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



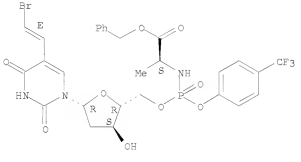
RN 840505-95-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



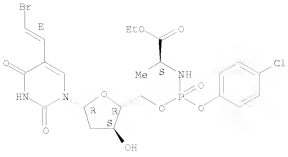
RN 840505-96-6 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



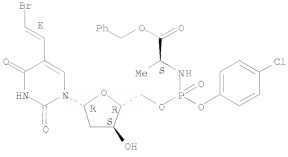
RN 840505-97-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



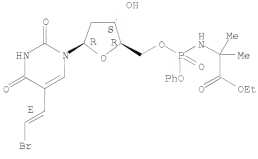
RN 840505-98-8 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



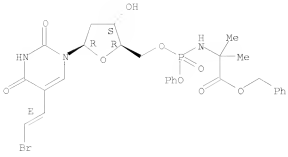
RN 840505-99-9 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



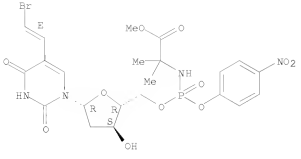
RN 840506-00-5 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



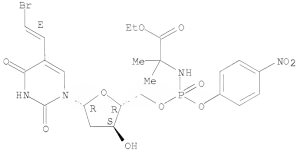
RN 840506-01-6 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



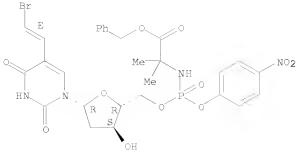
RN 840506-02-7 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



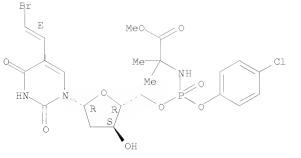
RN 840506-03-8 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



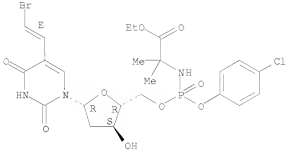
RN 840506-04-9 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



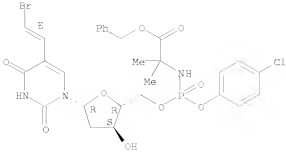
RN 840506-05-0 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



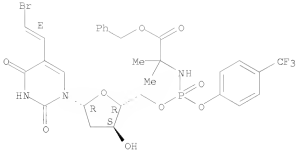
RN 840506-06-1 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



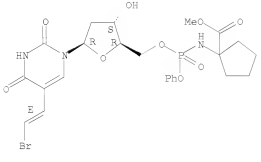
RN 840506-08-3 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



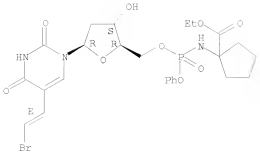
RN 840506-09-4 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



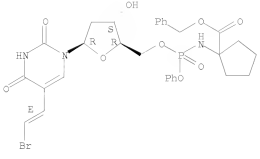
RN 840506-10-7 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



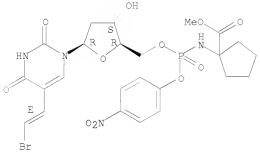
RN 840506-11-8 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



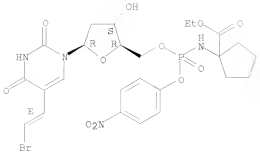
RN 840506-12-9 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-nitrophenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



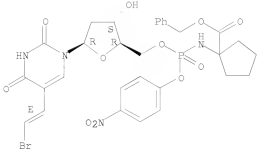
RN 840506-13-0 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-nitrophenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



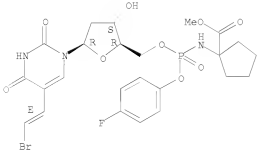
RN 840506-14-1 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-nitrophenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



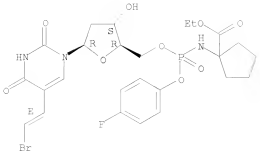
RN 840506-15-2 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-fluorophenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



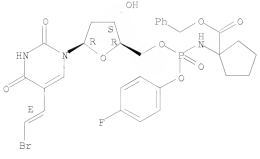
RN 840506-16-3 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-fluorophenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



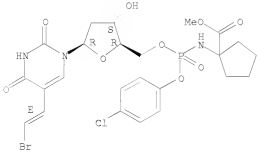
RN 840506-17-4 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-fluorophenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



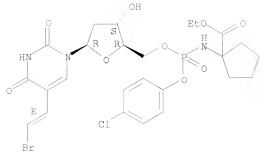
RN 840506-18-5 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-chlorophenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



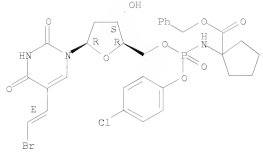
RN 840506-19-6 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-chlorophenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



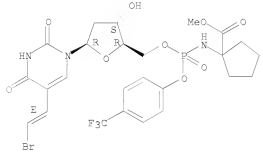
RN 840506-20-9 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-chlorophenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



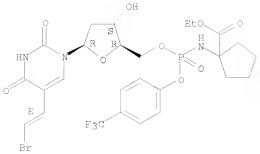
RN 840506-21-0 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-(trifluoromethyl)phenyl [1-(methoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



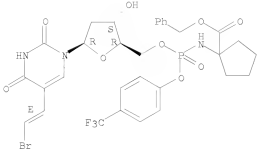
RN 840506-22-1 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-(trifluoromethyl)phenyl [1-(ethoxycarbonyl)cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



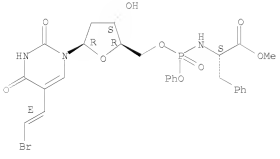
RN 840506-23-2 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-(trifluoromethyl)phenyl [1-[(phenylmethoxy)carbonyl]cyclopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



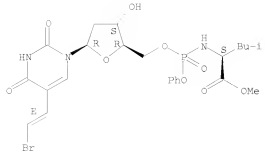
RN 840506-24-3 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



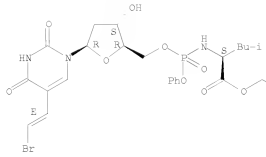
RN 840506-25-4 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



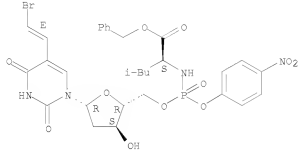
RN 840506-26-5 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



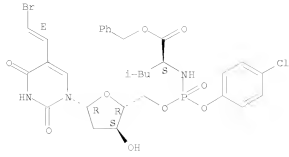
RN 840506-27-6 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



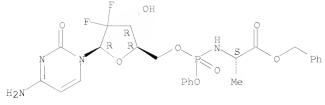
RN 840506-28-7 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



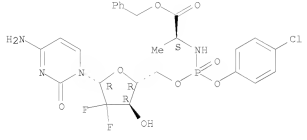
RN 840506-29-8 CAPLUS
CN L-Alanine, N-[2'-deoxy-2',2'-difluoro-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



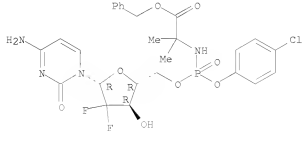
RN 840506-31-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-deoxy-2',2'-difluoro-5'-cytidylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 840506-32-3 CAPLUS
CN Alanine, N-[P-(4-chlorophenyl)-2'-deoxy-2',2'-difluoro-5'-cytidylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

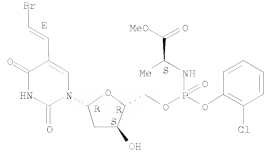
Absolute stereochemistry.



RN 840506-69-6 CAPLUS

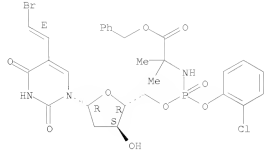
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(2-chlorophenyl)-2'-deoxy-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



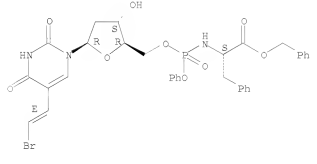
RN 840506-70-9 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(2-chlorophenyl)-2'-deoxy-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



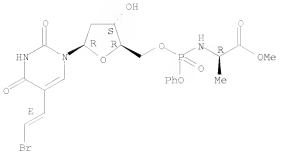
RN 840506-73-2 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



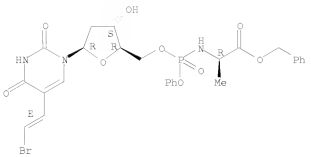
RN 840506-74-3 CAPLUS
CN D-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



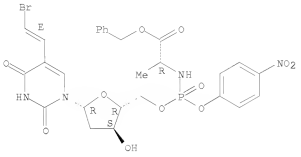
RN 840506-75-6 CAPLUS
CN D-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



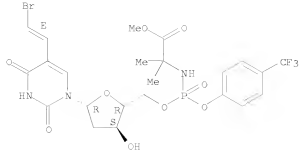
RN 840506-76-5 CAPLUS
CN D-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-nitrophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



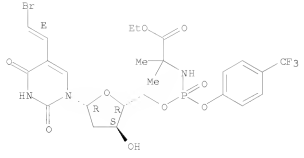
RN 840506-77-6 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



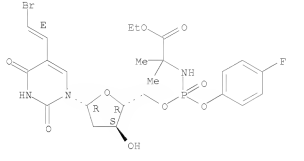
RN 840506-78-7 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



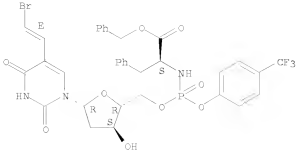
RN 840506-79-8 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



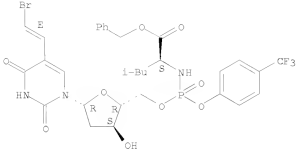
RN 840506-80-1 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



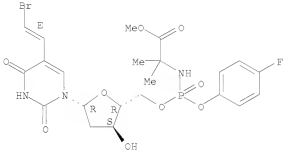
RN 840506-81-2 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



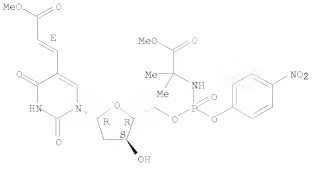
RN 840506-82-3 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



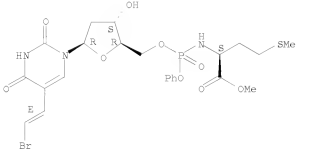
RN 840506-83-4 CAPLUS
CN Alanine, N-[2'-deoxy-5-[(1E)-3-methoxy-3-oxo-1-propenyl]-P-(4-nitrophenyl)-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



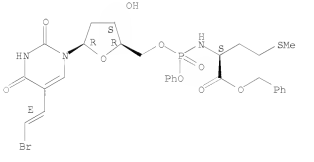
RN 840506-85-6 CAPLUS
CN L-Methionine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



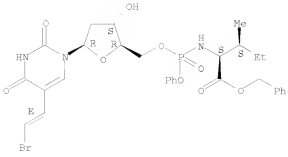
RN 840506-86-7 CAPLUS
CN L-Methionine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



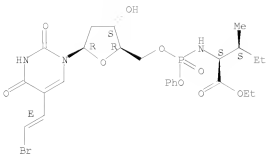
RN 840506-87-8 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



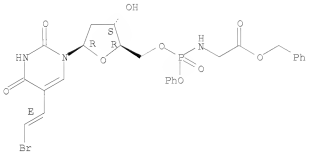
RN 840506-88-9 CAPLUS
CN L-Isoleucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



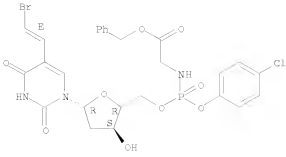
RN 840506-89-0 CAPLUS
CN Glycine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



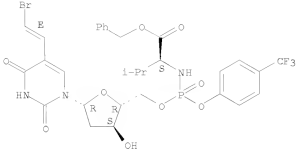
RN 840506-90-3 CAPLUS
CN Glycine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



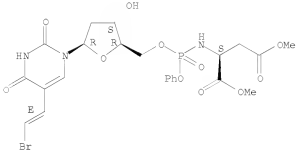
RN 840506-91-4 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-[4-(trifluoromethyl)phenyl]-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



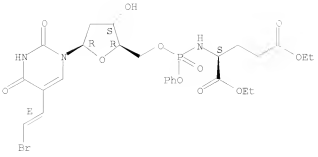
RN 840506-92-5 CAPLUS
CN L-Aspartic acid, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



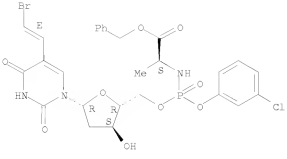
RN 840506-93-6 CAPLUS
CN L-Glutamic acid, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



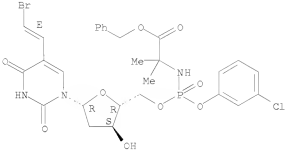
RN 840506-94-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(3-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



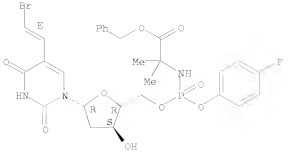
RN 840506-95-8 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(3-chlorophenyl)-2'-deoxy-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



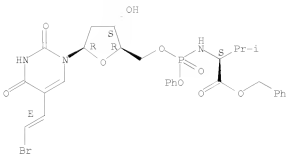
RN 840506-96-9 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



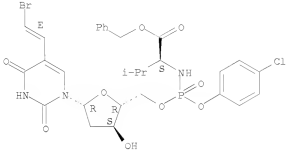
RN 840506-97-0 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



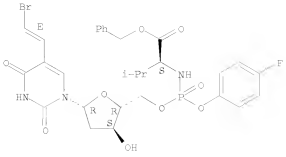
RN 840506-98-1 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



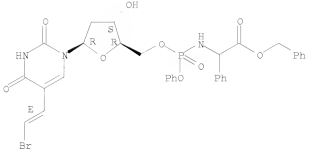
RN 840506-99-2 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-(4-fluorophenyl)-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



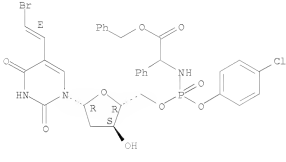
RN 840507-00-8 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [2-oxo-1-phenyl-2-(phenylmethoxy)ethyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



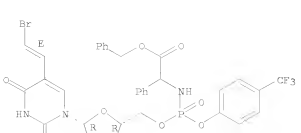
RN 840507-01-9 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-chlorophenyl [2-oxo-1-phenyl-2-(phenylmethoxy)ethyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



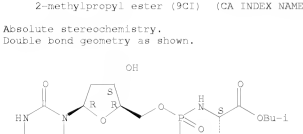
RN 840507-02-0 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[4-(trifluoromethyl)phenyl [2-oxo-1-phenyl-2-(phenylmethoxy)ethyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



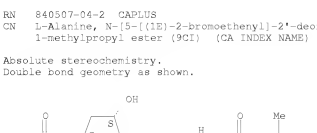
RN 840507-03-1 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 840507-04-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

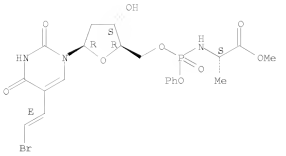


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:927328 CAPLUS
DN 140:35079
TI Development of novel anticancer agent targeting thymidylate synthase
AU Nakata, Rieko
CS Dep. Human Life Environ., Nara Women's Univ., Japan
SO Kagaku to Kogyo (Tokyo, Japan) (2003), 56(11), 1260
CODEN: KAKTAP; ISSN: 0022-7684
PB Nippon Kagakkai
DT Journal; General Review

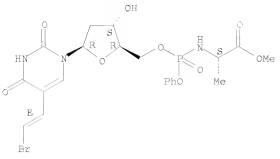
LA Japanese
AB A review on the action mechanism and efficacy of NB 1011, a phosphoramidate derivative of (E)-5-(2-bromovinyl)-dUMP.
IT 232925-18-7, NB 1011
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(action mechanism and efficacy of antitumor drug NB 1011 targeting thymidylate synthase)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




L4 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:543256 CAPLUS
DN 140:35438
TI NB1011 induces Ser15 phosphorylation of p53 and activates the G2/M checkpoint
AU Dellinger, Ryan W.; Karjian, Patricia L.; Neuteboom, Saskia T. C.
CS NewBiotics, Inc., San Diego, CA, USA
SO Anti-Cancer Drugs (2003), 14(6), 449-455
CODEN: ANTDEV; ISSN: 0959-4973
PB Lippincott Williams & Wilkins
DT Journal
LA English
AB NB1011, a phosphoramidate derivative of (E)-5-(2-bromovinyl)-2'-deoxyuridine, is a novel anti-cancer agent that selectively targets tumor cells expressing high levels of thymidylate synthase (TS), an enzyme required for DNA biosynthesis. NB1011 treatment of high-TS-expressing breast carcinoma cells (MCF7TDX) results in the induction of p53 and p21 protein levels, whereas no p53 or p21 induction is observed in the low-TS-expressing MCF7 tumor cells. Furthermore, MCF7TDX cells accumulate in the G2/M phase of the cell cycle in response to NB1011. In this study, the effect of NB1011 on the phosphorylation status of p53 was analyzed. We demonstrate that NB1011 treatment of various tumor cell lines expressing high TS results in the phosphorylation of p53 on Ser15, whereas this p53 phosphorylation is not observed in low-TS-expressing tumor cells. Also, we examined the role of several key cell cycle regulators in the growth inhibition observed in response to NB1011. Our results show that the mRNA and protein levels of the G2/M regulators cdc2, cyclin B1 and cdc25C are down-regulated in MCF7TDX cells, while unaffected in MCF7 cells. The mRNA and protein levels of 14-3-3σ, also a direct transcriptional target of p53, are up-regulated in MCF7TDX cells following NB1011 treatment, while unchanged in MCF7 cells. Taken together, our data indicate that the growth inhibition caused by NB1011 in MCF7TDX cells is mediated through phosphorylation of p53 and activation of the G2/M checkpoint.
IT 232925-18-7, NB1011
RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NB1011 induces Ser15 phosphorylation of p53 and activates the G2/M checkpoint)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

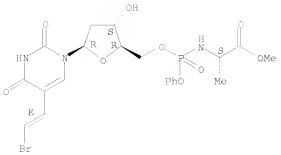
Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:522871 CAPLUS
DN 139:242183
TI Kinetic properties of human thymidylate synthase, an anticancer drug target
AU Sergeeva, Oksana A.; Khambatta, H. Godrej; Cathers, Brian E.; Sergeeva, Maria V.
CS NewBiotics, Inc., San Diego, CA, 92121, USA
SO Biochemical and Biophysical Research Communications (2003), 307(2), 297-300
CODEN: BBRCA9; ISSN: 0006-291X
PB Elsevier Science
DT Journal
LA English
AB The kinetic parameters of human recombinant thymidylate synthase (hrTS) were determined with its natural substrate, dUMP, and with (E)-5-(2-bromovinyl)-2'-deoxyuridine monophosphate (BVdUMP), a nucleotide derivative believed to be the active species of the novel anticancer drug, NB 1011. NB 1011 is activated by hrTS and is selectively toxic to high TS-expressing tumor cells. Here, BVdUMP underwent hrTS-catalyzed SH group-dependent transformation and dUMP and BVdUMP acted as competitive hrTS substrates. The natural folate cofactor, 5,10-methylenetetrahydrofolate, inhibited the TS-catalyzed reaction with BVdUMP. The authors suggest that lower folate levels found in tumor cells favor TS-catalyzed BVdUMP transformation, which, in addition to higher levels of TS expression in tumor cells, contributes to the favorable therapeutic index of the drug, NB 1011.
IT 232928-18-7, NB 1011
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reaction kinetics of human thymidylate synthase, with bromovinyl-dUMP, the active species of anticancer drug NB 1011)
RN 232928-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

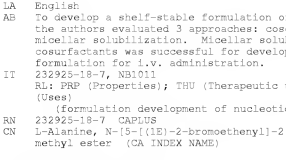
Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

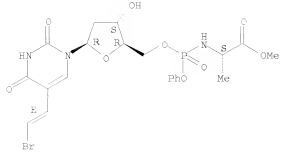
L4 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:515896 CAPLUS
DN 140:64854
TI Formulation development of a nucleotide phosphoramidate
AU Natarajan, Gita; John, Elizabeth; Maniar, Manoj
CS Formulation and Drug Delivery Group, BioSciences Division, SRI International, Menlo Park, CA, USA
SO Pharmaceutical Technology (2003), 27(6), 66,68,70
CODEN: PTHEC9; ISSN: 1543-2521
PB Advanstar Communications, Inc.
DT Journal
LA English
AB To develop a shelf-stable formulation of a cancer treatment under study, the authors evaluated 3 approaches: cosolvent systems, complexation, and micellar solubilization. Micellar solubilization with Polysorbate80 and cosurfactants was successful for developing a small-volume parenteral formulation for i.v. administration.
IT 232925-18-7, NB1011
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(formulation development of nucleotide phosphoramidate)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 232925-18-7DP, NB1011, complex with hydroxypropyl β-cyclodextrin
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(formulation development of nucleotide phosphoramidate)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

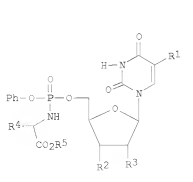


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:455061 CAPLUS
DN 139:7127
TI Preparation, cytotoxicity, antitumor, and antiinflammatory activities of nucleoside phosphoramidates
IN Shepard, H. Michael; Vaino, Andrew Rein; Lehsten, Danielle M.
PA USA
SO U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Ser. No. 782,721.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 20030109697 | A1 | 20030612 | US 2002-119927 | 20020409 |
| | US 7462605 | B2 | 20081209 | | |
| | EP 1167972 | A2 | 20020102 | EP 2001-120242 | 19990122 |
| | EP 1167972 | A3 | 20060524 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| | US 6339151 | B1 | 20020115 | US 1999-235961 | 19990122 |
| | JP 2001220397 | A | 20010814 | JP 2000-339831 | 20001108 |
| | JP 3265304 | B2 | 20020311 | | |
| | US 20010034440 | A1 | 20011025 | US 2001-782721 | 20010212 |
| | US 7601703 | B2 | 20091013 | | |
| | US 20090069268 | A1 | 20090312 | US 2008-236323 | 20080923 |
| PRAI | US 1998-72264P | P | 19980123 | | |
| | US 1998-76950P | P | 19980305 | | |
| | US 1998-108634P | P | 19981116 | | |
| | US 1999-235961 | A1 | 19990122 | | |
| | US 2001-782721 | A2 | 20010212 | | |
| | EP 1999-904195 | A3 | 19990122 | | |
| | JP 2000-528661 | A3 | 19990122 | | |
| | US 2002-119927 | A1 | 20020409 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 139:7127
GI



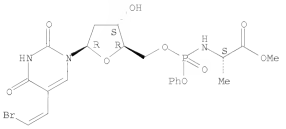
I

AB This invention provides compds., compns. and methods for treating cancer, infectious disease, an autoimmune disorder or an inflammatory condition. Therapeutic compds. useful in the methods of this invention are 5'-phosphoramidatyl, 1,5-substituted pyrimidine compds. I, wherein and pharmaceutically acceptable salts thereof. Thus, I (R1 = CH:CHBr, R2 = OH, R3 = H, R4 = Me, R5 = Et) was prepared and tested for its cytotoxicity, antitumor, and antiinflammatory activities. Expression of thymidylate synthase in human normal tissues. The thymidylate synthase (TS) expression level in normal human tissues was examined in order to estimate the systemic toxicity of the compound(s) activated by thymidylate synthase.

IT 322454-65-9P 436097-54-0P 535958-46-4P
535958-47-5P 535958-48-6P 535958-49-7P
535958-50-0P 535958-51-1P 535958-52-2P
535958-53-3P 535958-54-4P 535958-55-5P
535958-57-7P 535958-58-8P 535958-59-9P
535958-60-2P 535958-61-3P 535958-62-4P
535958-63-5P 535958-64-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation cytotoxicity antitumor and antiinflammatory activities of nucleoside phosphoramidates)

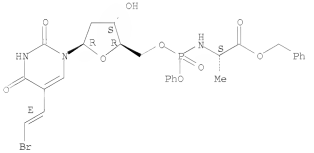
RN 322454-65-9 CAPLUS
CN L-Alanine, N-[5-(2-bromoethenyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



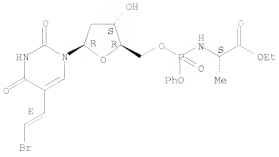
RN 436097-54-0 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



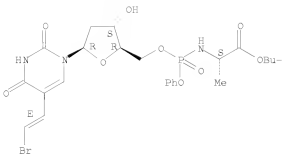
RN 535958-46-4 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



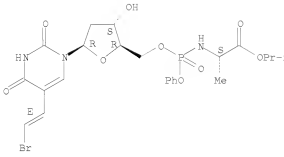
RN 535958-47-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



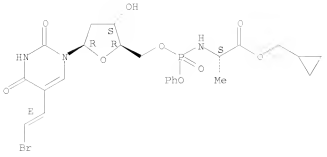
RN 535958-48-6 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



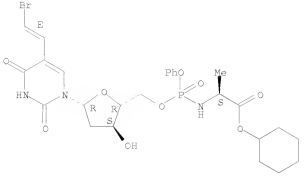
RN 535958-49-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclopropylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



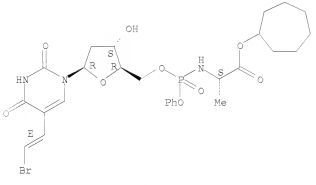
RN 535958-50-0 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



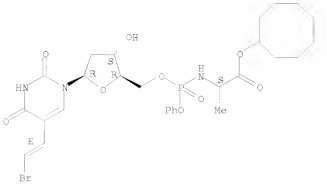
RN 535958-51-1 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



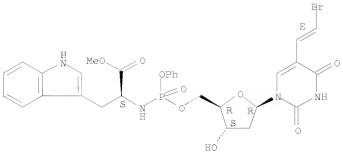
RN 535958-52-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclooctyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



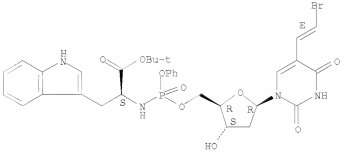
RN 535958-53-3 CAPLUS
CN L-Tryptophan, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



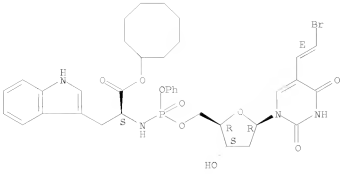
RN 535958-54-4 CAPLUS
CN L-Tryptophan, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



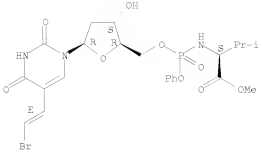
RN 535958-55-5 CAPLUS
CN L-Tryptophan, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclooctyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



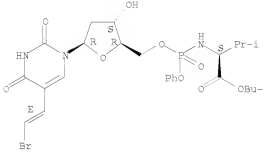
RN 535958-57-7 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



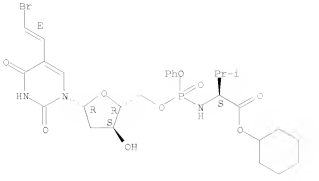
RN 535958-58-8 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



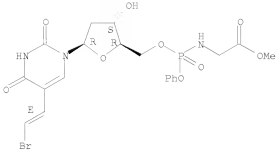
RN 535958-59-9 CAPLUS
CN L-Valine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



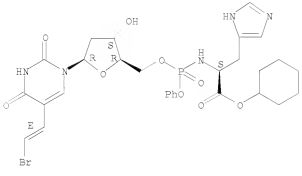
RN 535958-60-2 CAPLUS
CN Glycine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



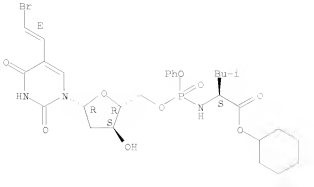
RN 535958-61-3 CAPLUS
CN L-Histidine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



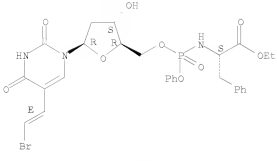
RN 535958-62-4 CAPLUS
CN L-Leucine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



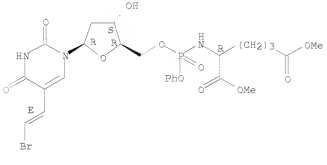
RN 535958-63-5 CAPLUS
CN L-Phenylalanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 535958-64-6 CAPLUS
CN Uridine, 5-[(1E)-2-bromoethenyl]-2'-deoxy-, 5'-[phenyl [(1R)-5-methoxy-1-(methoxycarbonyl)-5-oxopentyl]phosphoramidate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

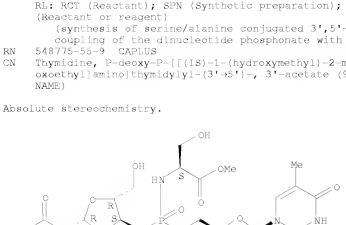


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 32 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:302024 CAPLUS
DN 139:117647
TI Synthesis of serine/alanine conjugated 3',5'-TpT
AU Qin, Zhi-Hui; Lin, Chang-Xue; Ju, Yong; Zhao, Yu-Fen
CS Bioorganic Phosphorus Chemistry Laboratory, Department of Chemistry, School of Life Science and Engineering, Tsinghua University, Beijing, 100084, Peop. Rep. China
SO Nucleosides, Nucleotides & Nucleic Acids (2003), 22(1), 63-69

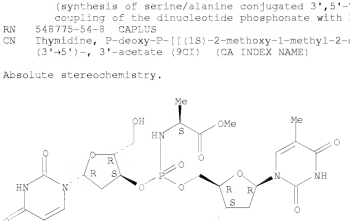
CODEN: NNNAFY; ISSN: 1525-7770
PB Marcel Dekker, Inc.
DT Journal
LA English
OS CASREACT 139:117647
AB Serine and alanine phosphoramidate conjugates of 3',5'-TpT were synthesized. The corresponding serine phosphoramidate possesses some unique properties due to the presence of the side chain hydroxyl group.
IT 548775-55-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of serine/alanine conjugated 3',5'-TpT via oxidative coupling of the dinucleotide phosphonate with L-amino acid Me esters)
RN 548775-55-9 CAPLUS
CN Thymidine, P-deoxy-P-[[(1S)-1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 548775-54-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of serine/alanine conjugated 3',5'-TpT via oxidative coupling of the dinucleotide phosphonate with L-amino acid Me esters)
RN 548775-54-8 CAPLUS
CN Thymidine, P-deoxy-P-[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

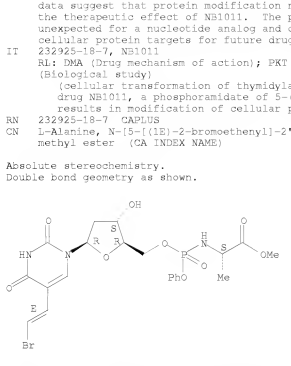


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:164629 CAPLUS
DN 139:190589
TI Cellular transformation of the investigational new anticancer drug NB1011, a phosphoramidate of 5-(2-bromovinyl)-2'-deoxyuridine, results in modification of cellular proteins not DNA
AU Sergeeva, Maria V.; Cathers, Brian E.
CS NewBiotics, Inc., San Diego, CA, 92121, USA

SO Biochemical Pharmacology (2003), 65(5), 823-831
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB NB1011 [E-5-(2-bromovinyl)-2'-deoxyuridine-5'-(1-methylalanyl)-phenylphosphoramidate], a phosphoramidate prodrug of E-5-(2-bromovinyl)-2'-deoxyuridine-5'-monophosphate (BVdUMP), is an investigational new anticancer drug. NB1011 targets thymidylate synthase (TS), which catalyzes the transformation of BVdUMP into cytotoxic reaction products. Due to the elevated levels of TS expression in tumor cells compared to normal cells, these cytotoxic products are preferentially generated inside tumor cells, and, as expected, NB1011 is more toxic to cells with higher levels of TS expression. Therefore, NB1011 therapy should kill tumor cells without severely damaging normal cells. Radiolabeled NB1011 was used to determine the intracellular fate of NB1011 reaction products and, possibly, the mechanism of action of this investigational new drug. We found significant incorporation of the radiolabel into cellular macromols. In contrast to our expectations that NB1011 product(s) would be incorporated into DNA, we discovered that cellular proteins were the labeled macromol. fraction. Herein, we report that the intracellular transformation of NB1011 involves formation of the corresponding monophosphate, TS-dependent transformation into highly reactive intermediates, and subsequent incorporation into cellular proteins. TS itself appears to escape irreversible inactivation. Our data suggest that protein modification not DNA incorporation accounts for the therapeutic effect of NB1011. The proposed mechanism is rather unexpected for a nucleotide analog and could lead to the discovery of new cellular protein targets for future drug design.
IT 232925-18-7, NB1011
RL: DMA (Drug mechanism of action); PKT (Pharmacokinetics); BIOL (Biological study)
(cellular transformation of thymidylate synthase inhibitor anticancer drug NB1011, a phosphoramidate of 5-(2-bromovinyl)-2'-deoxyuridine, results in modification of cellular proteins not DNA)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

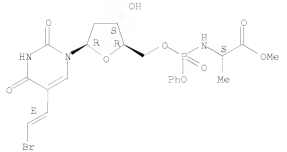



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:54370 CAPLUS
DN 139:316719
TI Nucleoside transport inhibitors, dipyridamole and p-nitrobenzylthioinosine, selectively potentiate the antitumor activity of NB1011. [Erratum to document cited in CA138:248065]
AU Boyer, Christopher R.; Karjian, Paticia L.; Wahl, Geoffrey M.; Pegram, Mark; Neuteboom, Saskia T. C.
CS New Biotics, Inc., San Diego, CA, 92121, USA
SO Anti-Cancer Drugs (2002), 13(10), 1077
CODEN: ANTDEV; ISSN: 0959-4973
PB Lippincott Williams & Wilkins

DT Journal
LA English
AB In the Results section, the second sentence should read: "The median-effect/combination index method by Chou and Talalay was used to calculate CI values.". The third sentence should read: "CI values < 1 indicate synergy, CI = 1 indicates additivity and CI > 1 indicates antagonism.".
IT 232925-18-7, NB1011
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dipyridamole and nitrobenzylthioinosine potentiate antitumor activity of NB1011 (Erratum))
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.




L4 ANSWER 35 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:849374 CAPLUS
DN 137:375228
TI Viral enzyme activated prototoxophores and use of same to treat viral infections
IN Cathers, Brian E.; Neuteboom, Saskia T. C.; Shepard, H. Michael
PA Newbiotics, Inc., USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002087500 | A2 | 20021107 | WO 2002-US13223 | 20020426 |
| WO 2002087500 | A9 | 20040408 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002308479 | A1 | 20021111 | AU 2002-308479 | 20020426 |
| US 20030114385 | A1 | 20030619 | US 2002-133133 | 20020426 |
| PRAI US 2001-286893P | P | 20010427 | | |
| WO 2002-US13223 | W | 20020426 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 137:375228
AB A synthetic prototoxophore, which is a relatively non-toxic compound that includes a toxin moiety and a substrate domain for a viral enzyme, is provided. Upon binding of a viral enzyme to the substrate domain, the catalytic activity of the viral enzyme converts the prototoxophore to a toxophore, which is toxic to a cell. Thus, a toxophore also is provided, as is a pharmaceutical composition containing a prototoxophore, and kits containing a

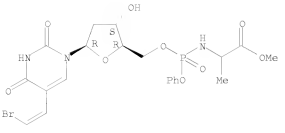
prototoxophore. Also provided are methods of using a prototoxophore to reduce or inhibit viral infectivity, and methods of using a prototoxophore to ameliorate the severity of a viral infection in an individual.

IT 474317-98-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(viral enzyme-activated prototoxophores to treat viral infections)

RN 474317-98-1 CAPLUS

CN Alanine, N-[5-(2-bromoethenyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



14 ANSWER 36 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:813406 CAPLUS

DN 139:65584

TI Electrospray ionization mass spectrometry of serine/alanine conjugated 5'-UMP and 3',5'-dithymidine phosphoramidates

AU Qin, Zhi-Hui; Lin, Chang-Xue; Chen, Yi; Ju, Yong; Zhao, Yu-Fen

CS Bioorg. Phosphorus Chem. Lab., Dep. of Chem., School of Life Sci. and Eng., Tsinghua Univ., Beijing, 100084, Peop. Rep. China

SO Rapid Communications in Mass Spectrometry (2002), 16(20), 1997-2002
CODEN: RCMSEF; ISSN: 0951-4198

PB John Wiley & Sons Ltd.

DT Journal

LA English

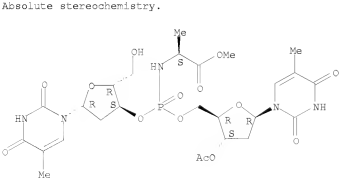
AB The structures of serine and alanine phosphoramidates of uridine and 3',5'-dithymidine were studied using pos. ion electrospray ionization mass spectrometry in conjunction with tandem mass spectrometry, and the proposed fragmentation pathways are reported. Besides the common elimination fragmentation pathway, ions originating from intramol. substitutions and rearrangements were observed for the serine phosphoramidate conjugates, reflecting the presence of the side-chain hydroxyl group. This behavior can be rationalized in terms of an attack of the hydroxyl group on the phosphorus atom with the aid of the sodium ion, to form a cyclic pentacoordinated phosphorane intermediate.

IT 548775-54-8 548775-55-9
RL: ANT (Analyte); ANST (Analytical study)
(electrospray ionization mass spectrometry of serine/alanine conjugated 5'-UMP and 3',5'-dithymidine phosphoramidates)

RN 548775-54-8 CAPLUS

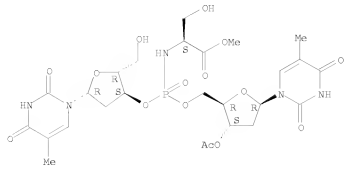
CN Thymidine, P-deoxy-P-[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 548775-55-9 CAPLUS
CN Thymidine, P-deoxy-P-[[(1S)-1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

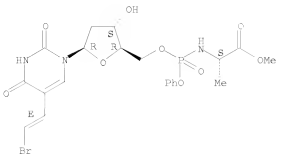


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:694508 CAPLUS
DN 138:248065
TI Nucleoside transport inhibitors, dipyridamole and p-nitrobenzylthioinosine, selectively potentiate the antitumor activity of NB1011
AU Boyer, Christopher R.; Karjian, Patricia L.; Wahl, Geoffrey M.; Pegram, Mark; Neuteboom, Saskia T. C.
CS NewBiotics, Inc, San Diego, CA, 92121, USA
SO Anti-Cancer Drugs (2002), 13(1), 29-36
CODEN: ANTDEV; ISSN: 0959-4973
PB Lippincott Williams & Wilkins
DT Journal
LA English
AB NB1011, a novel anticancer agent, targets tumor cells expressing high levels of thymidylate synthase (TS). NB1011 is converted intracellularly to bromovinyldeoxyuridine monophosphate (BVdUMP) which competes with the natural substrate, deoxyuridine monophosphate, for binding to TS. Unlike inhibitors, NB1011 becomes a reversible substrate for TS catalysis. Thus, TS retains activity and converts BVdUMP into cytotoxic product(s). In vitro cytotoxicity studies demonstrate NB1011's preferential activity against tumor cells expressing elevated TS protein levels. Addnl., NB1011 has antitumor activity in vivo. To identify drugs which interact synergistically with NB1011, we screened 13 combinations of chemotherapeutic agents with NB1011 in human tumor and normal cells. Dipyridamole and p-nitrobenzylthioinosine (NBMPR), potent inhibitors of equilibrative nucleoside transport, synergized with NB1011 selectively against 5-fluorouracil (5-FU)-resistant H630R10 colon carcinoma cells [combination index (CI)=0.75 and 0.35] and Tomudex-resistant MCF7TDX breast carcinoma cells (CI=0.51 and 0.57), both TS overexpressing cell lines. These agents produced no synergy with NB1011 in Det551 and CCD18co normal cells (CI > 1.1) lacking TS overexpression. Dipyridamole potentiated NB1011's cytotoxicity in medium lacking nucleosides and bases, suggesting a non-salvage-dependent mechanism. We demonstrate that nucleoside transport inhibitors, dipyridamole and NBMPR, show promise for clin. efficacious combination with NB1011.
IT 232925-18-7, NB1011
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dipyridamole and nitrobenzylthioinosine potentiate antitumor activity of NB1011)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

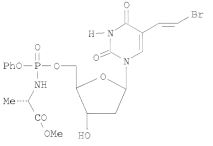
Absolute stereochemistry.

Double bond geometry as shown.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

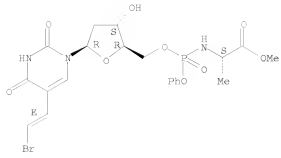
L4 ANSWER 38 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:688830 CAPLUS
DN 137:295185
TI An Improved Procedure for the Synthesis of Nucleoside Phosphoramidates
AU Lehsten, Danielle M.; Baehr, David N.; Lobl, Thomas J.; Vaino, Andrew R.
CS NewBiotics Inc., San Diego, CA, 92121, USA
SO Organic Process Research & Development (2002), 6(6), 819-822
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:295185
GI



I

AB Nucleoside phosphoramidates, e.g. I, provide an elegant means to permit cellular absorption of masked phosphate esters, and, by doing so, remove any dependence of the biol. response on nucleoside kinase activity. The present report details a means to synthesize nucleoside phosphoramidates on a multi-pound scale with a high (>99%) degree of purity, suitable for use in human clin. trials.
IT 232925-18-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(multi-pound scale synthesis of nucleoside phosphoramidates via condensation of alanine with Ph phosphorodichloridate)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:675850 CAPLUS
DN 137:210903
TI Use of 5-substituted nucleosides and/or prodrugs thereof in combination preparations for the resistance-free treatment of infectious diseases
IN Fahrig, Rudolf Hinrich Hermann; Sonntag, Denise
PA Resprotect G.m.b.H., Germany
SO PCT Int. Appl., 26 pp.
CODEN: PIXD2
DT Patent
LA German
FAN.CNT 1

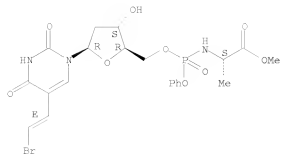
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002067951 | A2 | 20020906 | WO 2002-EP1890 | 20020222 |
| WO 2002067951 | A3 | 20030320 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10108851 | A1 | 20020912 | DE 2001-10108851 | 20010223 |
| AU 2002234644 | A1 | 20020912 | AU 2002-234644 | 20020222 |
| EP 1368040 | A2 | 20031210 | EP 2002-701291 | 20020222 |
| EP 1368040 | B1 | 20060705 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004526713 | T | 20040902 | JP 2002-567317 | 20020222 |
| JP 4194366 | B2 | 20081210 | | |
| AT 332141 | T | 20060715 | AT 2002-701291 | 20020222 |
| US 20040127454 | A1 | 20040701 | US 2004-468017 | 20040204 |
| US 7122528 | B2 | 20061017 | | |
| PRAI DE 2001-10108851 | A | 20010223 | | |
| WO 2002-EP1890 | W | 20020222 | | |

AB The invention discloses the use of 5-substituted nucleosides and/or prodrugs thereof together with at least one active substance in order to produce a medicament or combination preparation used in the resistance-free treatment of infectious diseases caused by bacteria or protozoa.

IT 232925-18-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside 5-substituted derivs. and/or prodrugs in combination preprns. for resistance-free treatment of infectious disease)

RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:555307 CAPLUS
DN 137:103888
TI Methods using pyrimidine derivatives and furanopyrimidone derivatives to treat autoimmune and inflammatory conditions
IN Shepard, H. Michael
PA Newbiotics, Inc., USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002056832 | A2 | 20020725 | WO 2002-US1361 | 20020118 |
| | WO 2002056832 | A3 | 20030306 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2441350 | A1 | 20020725 | CA 2002-2441350 | 20020118 |
| | AU 2002241911 | A1 | 20020730 | AU 2002-241911 | 20020118 |
| | AU 2002241911 | B2 | 20061214 | | |
| | AU 2002241911 | B9 | 20070125 | | |
| | US 20020151519 | A1 | 20021017 | US 2002-51320 | 20020118 |
| | US 7138388 | B2 | 20061121 | | |
| | EP 1359921 | A2 | 20031112 | EP 2002-707508 | 20020118 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | US 20070213307 | A1 | 20070913 | US 2006-516457 | 20060905 |
| PRAI | US 2001-262849P | P | 20010119 | | |
| | US 2002-51320 | A1 | 20020118 | | |
| | WO 2002-US1361 | W | 20020118 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

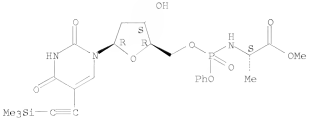
AB The invention provides methods for treating inflammatory or autoimmune diseases by contacting the affected cell or tissue with a therapeutic compound. Such pathologies include, but are not limited to, rheumatoid arthritis, systemic lupus erythematosus, psoriatic arthritis, reactive arthritis, Crohn's disease, ulcerative colitis, and scleroderma. Therapeutic compds. useful in the methods of this invention are selected from 1,5-substituted pyrimidine derivs. and analogs and substituted furanopyrimidone analogs. Compound preparation is included.

IT 322454-08-0 322454-10-4 322454-15-9
322454-32-0 322454-35-3 1101046-45-0
1101046-49-4 1101046-50-7 1101046-51-8
1101046-53-0
RL: PRPH (Prophetic)
(Methods using pyrimidine derivatives and furanopyrimidone derivatives to treat autoimmune and inflammatory conditions)

RN 322454-08-0 CAPLUS

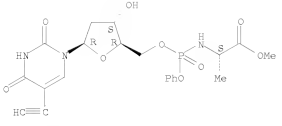
CN L-Alanine, N-[2'-deoxy-P-phenyl-5-[(trimethylsilyl)ethynyl]-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



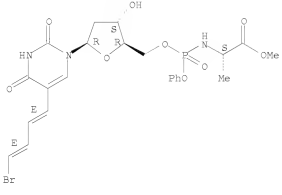
RN 322454-10-4 CAPLUS
CN L-Alanine, N-(2'-deoxy-5-ethynyl-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



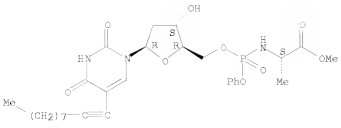
RN 322454-15-9 CAPLUS
CN L-Alanine, N-[5-[(1E,3E)-4-bromo-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



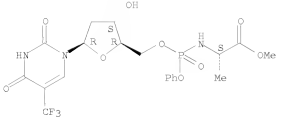
RN 322454-32-0 CAPLUS
CN L-Alanine, N-[5-(1-decynyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



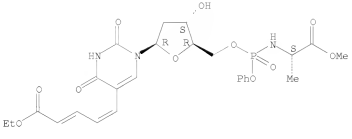
RN 322454-35-3 CAPLUS
CN L-Alanine, N-[(α,α,α-trifluoro-P-phenyl-5'-thymidylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



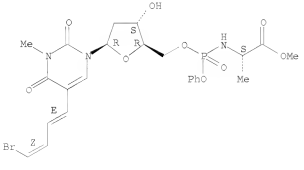
RN 1101046-45-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry unknown.



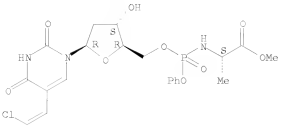
RN 1101046-49-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



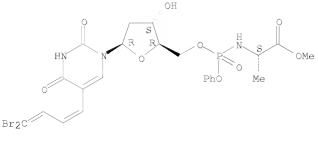
RN 1101046-50-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry unknown.



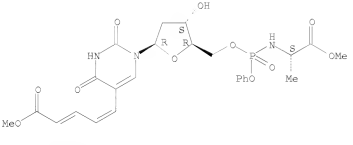
RN 1101046-51-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry unknown.



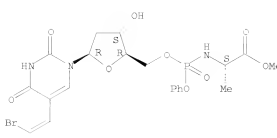
RN 1101046-53-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry unknown.



IT 322454-65-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidine derivs. and furanopyrimidone derivs.,for treatment of autoimmune and inflammatory conditions)
RN 322454-65-9 CAPLUS
CN L-Alanine, N-[5-(2-bromoethenyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:391469 CAPLUS
DN 136:386347
TI Preparation of synergistic enzyme catalyzed therapeutic activation (ECTA) nucleosides as antitumor agents
IN Shepard, H. Michael; Boyer, Christopher
PA NewBiotics, Inc., USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002039952 | A2 | 20020523 | WO 2001-US43566 | 20011116 |
| | WO 2002039952 | A3 | 20021010 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002036455 | A | 20020527 | AU 2002-36455 | 20011116 |
| | US 20020147175 | A1 | 20021010 | US 2001-990799 | 20011116 |
| PRAI | US 2000-249722P | P | 20001116 | | |
| | WO 2001-US43566 | W | 20011116 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

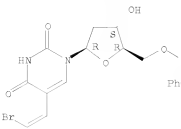
AB This invention provides compns. containing an effective amount of a novel substrate compound that selectively inhibit the proliferation of hyper-proliferative cells, for example, pathol. cells that endogenously over-express a target enzyme that confers resistance to biol. and chemo-therapeutic agents and an effective amount of a nucleoside transport antagonistic agents. Further provided by this invention is a method for treating a subject by delivering to the subject the composition as described herein. The compns. of this invention may be used alone or in combination with other chemo-therapeutics or alternative anti-cancer therapies such as radiation. Thus, (E)-5-(2-bromovinyl)-2'-deoxy-5'-uridyl Ph L-alaninylphosphoramidate (I) was prepared and tested in vitro human cells as synergistic antitumor agent. Vinblastine and doxorubicin showed potential synergy (CI < 1.1) with I in MCF7TDX and H630R10 cell. Irinotecan and taxol showed an additive or antagonistic interaction (CI = 1-1.4). The most antagonistic interaction was observed with 5-fluorouracil which gave CI = 3.19 in MCF7TDX cells. In light of these results, vinblastine and doxorubicin were chosen for further study.

IT 322454-65-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of synergistic enzyme catalyzed therapeutic activation nucleosides as antitumor agents)

RN 322454-65-9 CAPLUS

CN L-Alanine, N-[5-(2-bromoethenyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

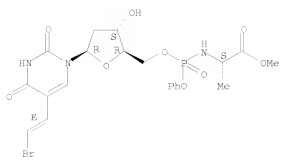
Absolute stereochemistry.
Double bond geometry unknown.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 42 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:328912 CAPLUS
DN 137:272912
TI Inhibition of cell growth by NB1011 requires high thymidylate synthase levels and correlates with p53, p21, Bax, and GADD45 induction
AU Neuteboom, Saskia T. C.; Karjian, Patricia L.; Boyer, Christopher R.; Beryt, Malgorzhata; Pegram, Mark; Wahl, Geoffrey M.; Shepard, H. Michael
CS NewBiotics, Inc., San Diego, CA, 92121, USA
SO Molecular Cancer Therapeutics (2002), 1(6), 377-384
CODEN: MCTOCF; ISSN: 1535-7163
PB American Association for Cancer Research
DT Journal
LA English
AB NB1011, a phosphoramidate derivative of (E)-5-(2-bromovinyl)-2'-deoxyuridine, is a novel small mol. anticancer agent. NB1011 is selectively active against tumor cells expressing high levels of thymidylate synthase (TS), a critical enzyme in DNA biosynthesis. NB1011 is different from the current TS-targeted drugs, which require inhibition of TS to be effective, because NB1011 cytotoxicity depends upon activation by TS. Here we report a dose-dependent, antitumor activity of NB1011 against established Tomudex-resistant breast cancer (MCF7TDX) xenografts in athymic mice. Against 5-fluorouracil-resistant colon carcinoma (H630R10) xenografts, NB1011 was as efficacious as irinotecan, a drug recently approved for the treatment of 5-fluorouracil-resistant colon cancer. To gain insight into the mechanisms NB1011 uses to suppress cellular growth, we analyzed the downstream mol. events in the high TS-expressing MCF7TDX and RKOTDX cell lines upon NB1011 treatment. NB1011 treatment increased the mRNA levels of p21, Bax, and GADD45. Furthermore, NB1011 induced p53, p21, and Bax proteins specifically in high TS-expressing tumor cells, whereas no induction was observed in low TS-expressing tumor cells (MCF7) or normal cells (WI38). Cell cycle anal. demonstrated that NB1011 treatment of MCF7TDX and RKOTDX cells resulted in an accumulation of cells in the G2-M phase of the cell cycle. Altogether, our data indicate that the induction of the p53 target genes p21, bax, and GADD45, with a concomitant deregulation of the cell cycle, may represent one of the mechanisms by which NB1011 exerts its growth-suppressive effects.
IT 232925-18-7, NB1011
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of cell growth by NB1011 requires high thymidylate synthase levels and correlates with p53, p21, Bax, and GADD45 induction)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

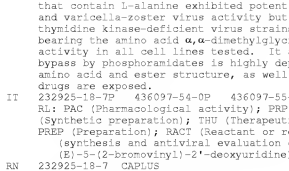
Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

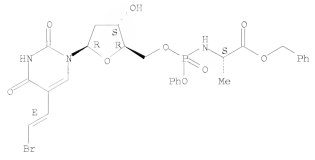
L4 ANSWER 43 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:153907 CAPLUS
DN 137:27792
TI Synthesis and antiviral evaluation of phosphoramidate derivatives of (E)-5-(2-bromovinyl)-2'-deoxyuridine
AU Harris, S. A.; McGuigan, C.; Andrei, G.; Snoeck, R.; De Clercq, E.; Balzarini, J.
CS Welsh School of Pharmacy, Cardiff University, Cardiff, UK
SO Antiviral Chemistry & Chemotherapy (2001), 12(5), 293-300
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press
DT Journal
LA English
OS CASREACT 137:27792
AB We report the design, synthesis and antiviral evaluation of a number of lipophilic, masked phosphoramidate derivs. of the antiherpetic agent (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU), designed to act as membrane soluble prodrugs of the free nucleotide. The phosphoramidate derivs. of BVDU that contain L-alanine exhibited potent anti herpes simplex virus type 1 and varicella-zoster virus activity but lost marked activity against thymidine kinase-deficient virus strains. The phosphoramidate derivative bearing the amino acid α,α-dimethylglycine showed poor activity in all cell lines tested. It appears that successful kinase bypass by phosphoramidates is highly dependent on the nucleoside analog, amino acid and ester structure, as well as the cell line to which the drugs are exposed.
IT 232925-18-7P 436097-54-0P 436097-55-1P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and antiviral evaluation of phosphoramidate derivs. of (E)-5-(2-bromovinyl)-2'-deoxyuridine)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



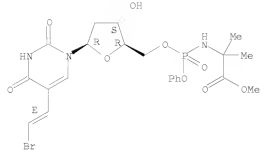
RN 436097-54-0 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



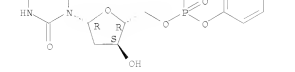
RN 436097-55-1 CAPLUS
CN Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 436097-56-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiviral evaluation of phosphoramidate derivs. of (E)-5-(2-bromovinyl)-2'-deoxyuridine)
RN 436097-56-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-P-(4-chlorophenyl)-2'-deoxy-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

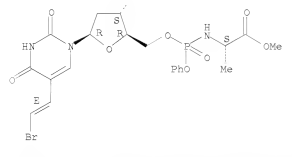


OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2001:167005 CAPLUS
DN 134:361084
TI A novel approach to thymidylate synthase as a target for cancer chemotherapy
AU Li, Qing; Boyer, Christopher; Lee, Jean Y.; Shepard, H. Michael
CS NewBiotics, Inc., San Diego, CA, USA
SO Molecular Pharmacology (2001), 59(3), 446-452
CODEN: MOPMA3; ISSN: 0026-895X
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Tumor cell resistance to fluoropyrimidines and other inhibitors of thymidylate synthase (TS) is a serious problem often associated with increased intracellular TS. Clin., another problem that arises from the use of TS inhibitors is toxicity, which develops, in part, because normal cells may be adversely affected by doses of inhibitor that do not impact tumor cells. To circumvent this problem, we have devised a new strategy called enzyme-catalyzed therapeutic activation (ECTA), which takes advantage of overexpressed TS to enzymically generate cytotoxic moieties preferentially in tumor cells. We show herein that tumor cells expressing elevated levels of TS are preferentially sensitive to NB1011, a phosphoramidate derivative of (E)-5-(2-bromovinyl)-2'-deoxyuridine. We find support for the proposed mechanism of NB1011 in the following results: (1) pos. relationship between TS protein level and sensitivity to NB1011 in engineered HT1080 tumor cells, designed to express defined levels of TS protein; (2) NB1011 activity is enhanced on tumor cells which express endogenous elevated TS; (3) cytotoxicity of NB1011 is blocked by raltitrexed (Tomudex); (4) NB1011 selection of TS-overexpressing MCF7TDX tumor cells results in recovery of cell populations and clones with diminished TS levels and restored sensitivity to raltitrexed. A preliminary comparison of TS mRNA levels in multiple normal tissues vs. colon tumor samples suggests that selective tumor cytotoxicity of NB1011 may be possible in the clin. setting. Because NB1011 cytotoxicity is dependent upon activation by TS, its proposed mechanism of action is distinct from current TS-targeted drugs, which require inhibition of TS to be effective.
IT 232925-18-7, NB1011
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(a novel approach to thymidylate synthase as a target for cancer chemotherapy)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

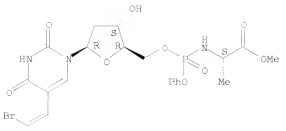
L4 ANSWER 45 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2001:78399 CAPLUS
DN 134:141727
TI Enzyme-catalyzed therapeutic activation, tetrahydropyrimidine derivative prodrugs, and preparation and antitumor activity thereof
IN Shepard, H. Michael; Chan, Ming Fai; Groziak, Michael P.
PA Newbiotics, Inc., USA
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001007454 | A1 | 20010201 | WO 2000-US20008 | 20000721 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2379988 | A1 | 20010201 | CA 2000-2379988 | 20000721 |
| | AU 2000062319 | A | 20010213 | AU 2000-62319 | 20000721 |
| | AU 775601 | B2 | 20040805 | | |
| | EP 1200455 | A1 | 20020502 | EP 2000-948886 | 20000721 |
| | EP 1200455 | B1 | 20090422 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | JP 2003505466 | T | 20030212 | JP 2001-512538 | 20000721 |
| | BR 2000012677 | A | 20030701 | BR 2000-12677 | 20000721 |
| | AT 429438 | T | 20090515 | AT 2000-948886 | 20000721 |
| | US 6683061 | B1 | 20040127 | US 2001-856127 | 20011010 |
| | MX 2002000763 | A | 20020812 | MX 2002-763 | 20020121 |
| | US 20040077588 | A1 | 20040422 | US 2003-681418 | 20031007 |
| | US 7605144 | B2 | 20091020 | | |
| PRAI | US 1999-145356P | P | 19990722 | | |
| | US 1999-145437P | P | 19990723 | | |
| | US 2000-191315P | P | 20000321 | | |
| | WO 2000-US20008 | W | 20000721 | | |
| | US 2001-856127 | A1 | 20011010 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 134:141727
AB Substrate compds. are provided that selectively inhibit the proliferation of pathol. cells, e.g. pathol. cells that endogenously overexpress a target enzyme that confers resistance to biol. and chemotherapeutic agents. The enzyme acts on a substrate compound to (1) convert it to a cellular toxin and/or (2) release a toxic byproduct. In one embodiment, the activity of the target enzyme has been greatly enhanced in a target cell as a result of loss of tumor suppressor function and/or selection resulting from previous exposure to chemotherapy. In another embodiment, the pathol. cell contains a target enzyme that is an expression product of an infectious agent in the cell. Further provided is a method for treating a subject by delivering to the subject a prodrug as described herein. The prodrugs of the invention may be used alone or in combination with other chemotherapeutics or alternative anti-cancer therapies such as radiation. Preparation of deoxyuridine derivs. is described.
IT 322454-65-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(enzyme-catalyzed therapeutic activation, tetrahydropyrimidine derivative prodrugs, and preparation and antitumor activity)
RN 322454-65-9 CAPLUS
CN L-Alanine, N-[5-(2-bromoethenyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

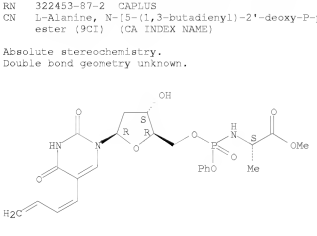
Absolute stereochemistry.
Double bond geometry unknown.



IT 322453-87-2D, halo and cyano derivs. 322453-88-3
322453-89-4 322453-90-7D, halo and cyano derivs.
322453-91-8 322453-92-9 322453-93-0D, halo
and cyano derivs. 322453-94-1 322453-96-3
322453-98-5 322454-00-2 322454-02-4
322454-02-4D, analogs 322454-04-6
322454-04-6D, analogs 322454-08-0
322454-10-4 322454-10-4D, analogs
322454-15-9 322454-19-3 322454-21-7
322454-23-9 322454-23-9D, analogs
322454-26-2 322454-26-2D, analogs
322454-29-5 322454-29-5D, analogs
322454-32-0 322454-32-0D, analogs
322454-35-3 322454-35-3D, analogs
322454-69-3 322454-85-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enzyme-catalyzed therapeutic activation, tetrahydropyrimidine derivative prodrugs, and preparation and antitumor activity)

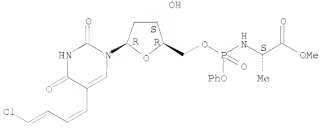
RN 322453-87-2 CAPLUS
CN L-Alanine, N-[5-(1,3-butadienyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



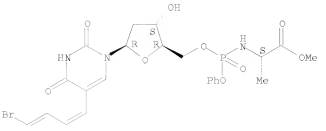
RN 322453-88-3 CAPLUS
CN L-Alanine, N-[5-(4-chloro-1,3-butadienyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



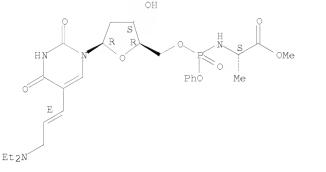
RN 322453-89-4 CAPLUS
CN L-Alanine, N-[5-(4-bromo-1,3-butadienyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



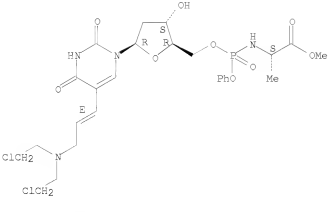

RN 322453-90-7 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-(diethylamino)-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



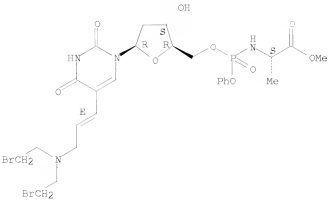

RN 322453-91-8 CAPLUS
CN L-Alanine, N-[5-[(1E)-3-[bis(2-chloroethyl)amino]-1-propenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



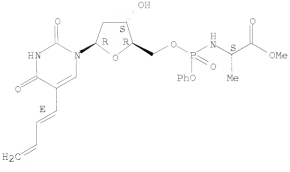

RN 322453-92-9 CAPLUS
CN L-Alanine, N-[5-[(1E)-3-[bis(2-bromoethyl)amino]-1-propenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



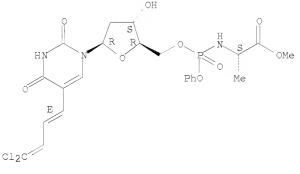
RN 322453-93-0 CAPLUS
CN L-Alanine, N-[5-(1E)-1,3-butadienyl-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 322453-94-1 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-4,4-dichloro-1,3-butadienyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

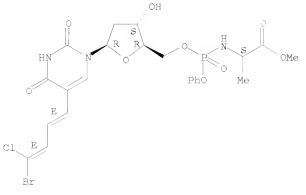
Absolute stereochemistry.
Double bond geometry as shown.



RN 322453-96-3 CAPLUS
CN L-Alanine, N-[5-[(1E,3E)-4-bromo-4-chloro-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

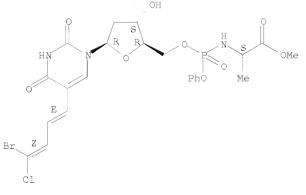
Absolute stereochemistry.

Double bond geometry as shown.



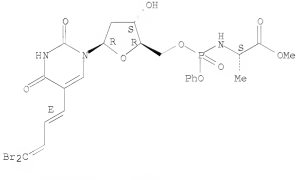
RN 322453-98-5 CAPLUS
CN L-Alanine, N-[5-[(1E,3Z)-4-bromo-4-chloro-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 322454-00-2 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-4,4-dibromo-1,3-butadienyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

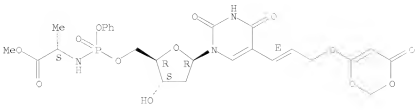
Absolute stereochemistry.
Double bond geometry as shown.



RN 322454-02-4 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-[(4-oxo-4H-1,3-dioxin-6-yl)oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

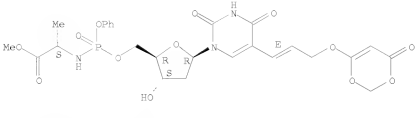
Absolute stereochemistry.

Double bond geometry as shown.



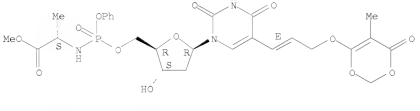
RN 322454-02-4 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-[(4-oxo-4H-1,3-dioxin-6-yl)oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



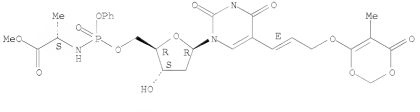
RN 322454-04-6 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-[(5-methyl-4-oxo-4H-1,3-dioxin-6-yl)oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



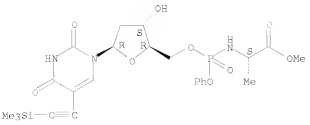
RN 322454-04-6 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-[(5-methyl-4-oxo-4H-1,3-dioxin-6-yl)oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



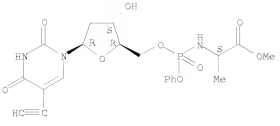
RN 322454-08-0 CAPLUS
CN L-Alanine, N-[2'-deoxy-P-phenyl-5-[(trimethylsilyl)ethynyl]-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



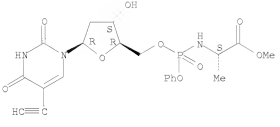
RN 322454-10-4 CAPLUS
CN L-Alanine, N-(2'-deoxy-5-ethynyl-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



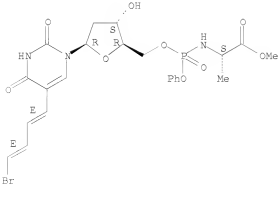
RN 322454-10-4 CAPLUS
CN L-Alanine, N-(2'-deoxy-5-ethynyl-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



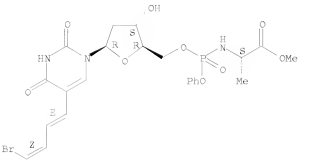
RN 322454-15-9 CAPLUS
CN L-Alanine, N-[5-[(1E,3E)-4-bromo-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



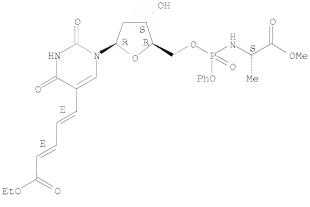
RN 322454-19-3 CAPLUS
CN L-Alanine, N-[5-[(1E,3Z)-4-bromo-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



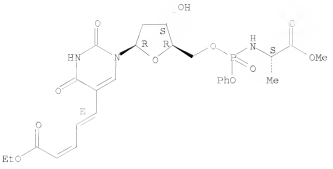
RN 322454-21-7 CAPLUS
CN 2,4-Pentadienoic acid, 5-[1-[2-deoxy-5-O-[[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-β-D-erythro-pentofuranosyl]-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl]-, ethyl ester, (2E,4E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



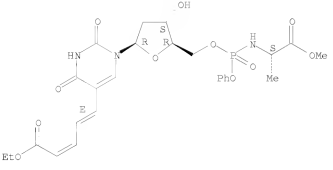
RN 322454-23-9 CAPLUS
CN 2,4-Pentadienoic acid, 5-[1-[2-deoxy-5-O-[[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-β-D-erythro-pentofuranosyl]-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



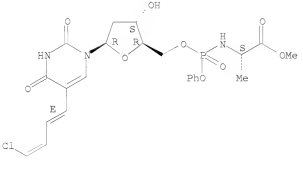
RN 322454-23-9 CAPLUS
CN 2,4-Pentadienoic acid, 5-[1-[2-deoxy-5-O-[[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-β-D-erythro-pentofuranosyl]-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



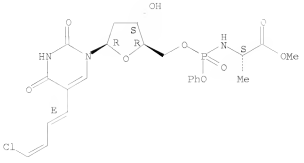
RN 322454-26-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-4-chloro-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



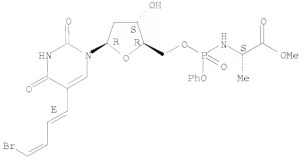
RN 322454-26-2 CAPLUS
CN L-Alanine, N-[5-[(1E)-4-chloro-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



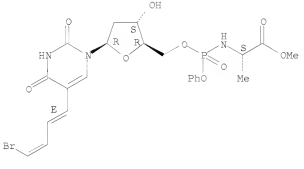
RN 322454-29-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-4-bromo-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



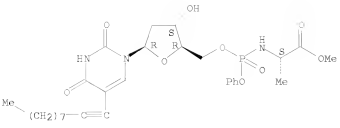
RN 322454-29-5 CAPLUS
CN L-Alanine, N-[5-[(1E)-4-bromo-1,3-butadienyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



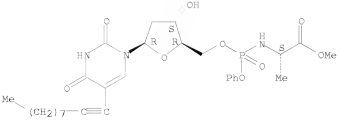
RN 322454-32-0 CAPLUS
CN L-Alanine, N-[5-(1-decynyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



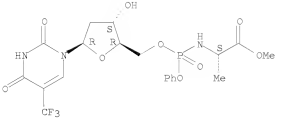
RN 322454-32-0 CAPLUS
CN L-Alanine, N-[5-(1-decynyl)-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



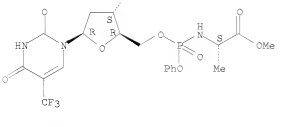
RN 322454-35-3 CAPLUS
CN L-Alanine, N-(α,α,α-trifluoro-P-phenyl-5'-thymidylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



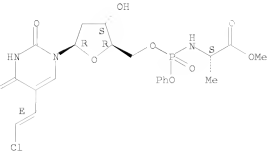
RN 322454-35-3 CAPLUS
CN L-Alanine, N-(α,α,α-trifluoro-P-phenyl-5'-thymidylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



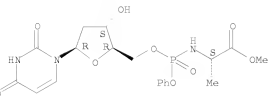
RN 322454-69-3 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-chloroethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 322454-85-3 CAPLUS
CN L-Alanine, N-(2'-deoxy-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

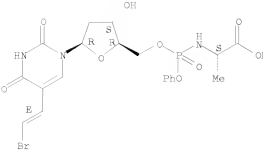


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2001:24117 CAPLUS
DN 134:290064
TI Enzyme-catalyzed therapeutic agent (ECTA) design: activation of the antitumor ECTA compound NB1011 by thymidylate synthase
AU Lackey, D. B.; Scozlak, M. P.; Sergeeva, M.; Beryt, M.; Boyer, C.; Stroud, R. M.; Sayre, P.; Park, J. W.; Johnston, P.; Slamon, D.; Shepard, H. M.; Pegram, M.
CS NewBiotics, Inc., San Diego, CA, 92121, USA
SO Biochemical Pharmacology (2001), 61(2), 179-189
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB The in vivo administration of enzyme-inhibiting drugs for cancer and infectious disease often results in overexpression of the targeted enzyme. We have developed an enzyme-catalyzed therapeutic agent (ECTA) approach in which an enzyme overexpressed within the resistant cells is recruited as an intracellular catalyst for converting a relatively non-toxic substrate to a toxic product. We have investigated the potential of the ECTA approach to circumvent the thymidylate synthase (TS) overexpression-based resistance of tumor cells to conventional fluoropyrimidine [i.e. 5-fluorouracil (5-FU)] cancer chemotherapy. (E)-5-(2-Bromovinyl)-2'-deoxy-5'-uridyl Ph 1-methoxyalaninylphosphoramidate (NB1011) is a pronucleotide analog of (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVdU), an antiviral agent known to be a substrate for TS when in the 5'-monophosphorylated form. NB1011 was synthesized and found to be at least 10-fold more cytotoxic to 5-FU-resistant, TS-overexpressing colorectal tumor cells than to normal cells. This finding demonstrates that the ECTA approach to the design of novel chemotherapeutics results in compds. that are selectively cytotoxic to tumor cell lines that overexpress the target enzyme, TS, and therefore may be useful in the treatment of fluoropyrimidine-resistant cancer.
IT 232925-18-7, NB 1011

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enzyme-catalyzed therapeutic agent design: activation of antitumor NRTI by thymidylate synthase)
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



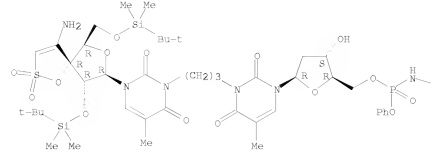

OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:757496 CAPLUS
DN 132:137652
TI Potential Multifunctional Inhibitors of HIV-1 Reverse Transcriptase. Novel [AZT]-[TSAO-T] and [d4T]-[TSAO-T] Heterodimers Modified in the Linker and in the Dideoxynucleoside Region
AU Velazquez, Sonsoles; Tunon, Victoria; Jimeno, Maria Luisa; Chamorro, Cristina; De Clercq, Erik; Balzarini, Jan; Camarasa, Maria Jose
CS Instituto de Quimica Medica (C.S.I.C.), Madrid, 28006, Spain
SO Journal of Medicinal Chemistry (1999), 42(25), 5188-5196
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB In an attempt to combine the anti-HIV-inhibitory capacity of nucleoside reverse transcriptase (RT) inhibitors (NRTI) and non-nucleoside RT inhibitors (NNRTI), several heterodimer analogs of the previously reported [AZT]-(CH2)3-[TSAO-T] prototype have been prepared. In these novel series, other NRTIs, an expanded range of linkers with different conformational freedom and other attachment sites for these linkers on the base part of the NRTI analog have been explored. Moreover, in order to circumvent the dependence of the NRTI moiety of the heterodimer on activation by cellular nucleoside kinases, novel heterodimers in which the NRTI is bearing a masked monophosphate group at the 5'-position are described. Among the novel heterodimers, several derivs. show a potent anti-HIV-1 activity, which proved comparable, or even superior, to that of the AZT heterodimer prototype. The nature of the NRTI was important for the eventual anti-HIV-1 activity. In particular, the d4T heterodimer derivative containing a Pr linker between the N-3 positions of the base of TSAO-T and d4T was .apprx.5- to 10-fold more inhibitory to HIV-1 than the corresponding AZT heterodimer prototype.
IT 256520-37-3P 256520-41-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
([AZT]-[TSAO-T] and [d4T]-[TSAO-T] heterodimers modified in the linker and in the dideoxynucleoside region as potential multifunctional inhibitors of HIV reverse transcriptase)
RN 256520-37-3 CAPLUS
CN L-Alanine, N-[5-[3-[3-[(5R,6R,8R,9R)-4-amino-9-[[(1,1-dimethylethyl]dimethylsilyl]oxy]-6-[[[(1,1-dimethylethyl]dimethylsilyl]oxy]methyl]-2,2-dioxido-1,7-dioxa-2-

thiaspiro[4.4]non-3-en-8-yl]-3,6-dihydro-5-methyl-2,6-dioxo-1(2H)-pyrimidinyl]propyl]-P-phenyl-5'-thymidylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



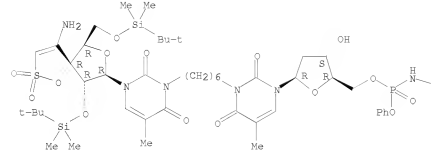
PAGE 1-B

RN 256520-41-9 CAPLUS

CN L-Alanine, N-[3-[6-[3-[(5R,6R,8R,9R)-4-amino-9-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,2-dioxido-1,7-dioxa-2-thiaspiro[4.4]non-3-en-8-yl]-3,6-dihydro-5-methyl-2,6-dioxo-1(2H)-pyrimidinyl]hexyl]-P-phenyl-5'-thymidylyl]-, methyl ester (9CI) (CA INDEX NAME)

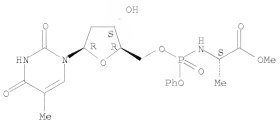
Absolute stereochemistry.

PAGE 1-A



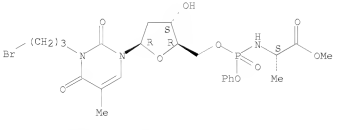
IT 256520-25-9P 256520-29-3P 256520-33-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
([AZT]-[TSAO-T] and [d4T]-[TSAO-T] heterodimers modified in the linker and in the dideoxynucleoside region as potential multifunctional inhibitors of HIV reverse transcriptase)
RN 256520-25-9 CAPLUS
CN L-Alanine, N-(P-phenyl-5'-thymidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



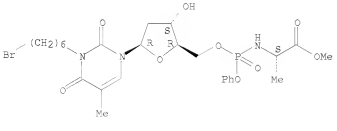
RN 256520-29-3 CAPLUS
CN L-Alanine, N-[3-(3-bromopropyl)-P-phenyl-5'-thymidylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 256520-33-9 CAPLUS
CN L-Alanine, N-[3-(6-bromohexyl)-P-phenyl-5'-thymidylyl]-, methyl ester (9CI) (CA INDEX NAME)

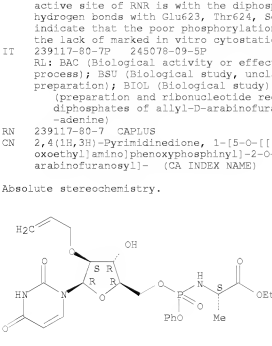
Absolute stereochemistry.



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

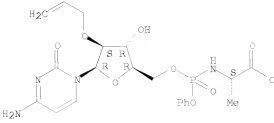
L4 ANSWER 68 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:499942 CAPLUS
DN 131:257780
TI 5'-Phosphoramidates and 5'-Diphosphates of 2'-O-Allyl-β-D-arabinofuranosyl-uracil, -cytosine, and -adenine: Inhibition of Ribonucleotide Reductase
AU Manfredini, Stefano; Baraldi, Pier Giovanni; Durini, Elisa; Vertuani, Silvia; Balzarini, Jan; De Clercq, Erik; Karlsson, Anna; Buzzoni, Valentina; Thelander, Lars
CS Department of Pharmaceutical Sciences, Ferrara University, Italy
SO Journal of Medicinal Chemistry (1999), 42(17), 3243-3250
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Continuing our studies on ribonucleotide reductase (RNR) mechanism-based inhibitors, we have now prepared the diphosphates (DP) of 2'-O-allyl-1-β-D-arabinofuranosyl-uracil and -cytosine and 2'-O-allyl-9-β-D-arabinofuranosyl-adenine and evaluated their inhibitory activity against recombinant murine RNR. 2'-O-Allyl-araUDP proved to be inhibitory to RNR at an IC50 of 100 μM, whereas 2'-O-allyl-araCDP was only marginally active (IC50 1 mM) and 2'-O-allyl-araADP was completely inactive. The susceptibility of the parent nucleosides to phosphorylation by thymidine kinase and 2'-deoxycytidine kinase was also investigated, and all nucleosides proved to be poor substrates for the above-cited kinases. Moreover, prodrugs of 2'-O-allyl-araU and -araC monophosphates, namely 2'-O-allyl-5'-(phenylethoxy-L-alanyl phosphate)-araU and -araC, were prepared and tested against tumor cell proliferation but proved to be inactive. A mol. modeling study has been conducted in order to explain our results. The data confirm that for both the natural and analog nucleoside diphosphates, the principal determinant interaction with the active site of RNR is with the diphosphate group, which forms strong hydrogen bonds with Glu623, Thr624, Ser625, and Thr209. Our findings indicate that the poor phosphorylation may represent an explanation for the lack of marked in vitro cytostatic activity of the test compds.
IT 239117-80-7P 245078-09-5P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and ribonucleotide reductase inhibition of phosphoramidates and diphosphates of allyl-D-arabinofuranosyl-uracil, -cytosine and -adenine)
RN 239117-80-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-2-O-2-propen-1-yl-β-D-arabinofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 245078-09-5 CAPLUS
CN L-Alanine, N-[[1-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1-deoxy-2-O-2-propenyl-β-D-arabinofuranos-5-O-yl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:487370 CAPLUS
DN 131:111426
TI Method for drug screening and enzyme-activated phosphoryl or phosphoramidate prodrugs and their synthesis and use in inhibition of cell proliferation
IN Shepard, H. Michael; Grozlak, Michael P.
PA Newbiotics, Inc., USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9937753 | A1 | 19990729 | WO 1999-US1332 | 19990122 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2317505 | A1 | 19990729 | CA 1999-2317505 | 19990122 |
| | AU 9924646 | A | 19990809 | AU 1999-24646 | 19990122 |
| | AU 753155 | B2 | 20021010 | | |
| | BR 9907736 | A | 20001017 | BR 1999-7736 | 19990122 |
| | EP 1045897 | A1 | 20001025 | EP 1999-904195 | 19990122 |
| | EP 1045897 | B1 | 20020130 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | US 6245750 | B1 | 20010612 | US 1999-235809 | 19990122 |
| | EP 1167972 | A2 | 20020102 | EP 2001-120242 | 19990122 |
| | EP 1167972 | A3 | 20060524 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| | JP 2002500880 | T | 20020115 | JP 2000-528661 | 19990122 |
| | AT 212661 | T | 20020215 | AT 1999-904195 | 19990122 |
| | PT 1045897 | E | 20020731 | PT 1999-904195 | 19990122 |
| | ES 2172303 | T3 | 20020916 | ES 1999-904195 | 19990122 |
| | CN 1292102 | C | 20061220 | CN 1999-803221 | 19990122 |
| | TW 244924 | B | 20051211 | TW 1999-88101009 | 19990122 |
| | MX 2000006993 | A | 20010507 | MX 2000-6993 | 20000717 |
| | JP 2001220397 | A | 20010814 | JP 2000-339831 | 20001108 |
| | JP 3265304 | B2 | 20020311 | | |
| | HK 1030624 | A1 | 20020614 | HK 2001-100891 | 20010208 |
| PRAI | US 1998-72264P | P | 19980123 | | |
| | US 1998-76950P | P | 19980305 | | |
| | US 1998-108634P | P | 19981116 | | |
| | EP 1999-904195 | A3 | 19990122 | | |
| | JP 2000-528661 | A3 | 19990122 | | |
| | WO 1999-US1332 | W | 19990122 | | |

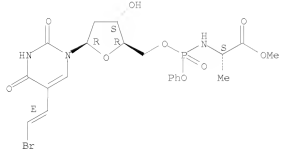
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 131:111426; MARPAT 131:111426

AB This invention provides a method for identifying potential therapeutic agents by contacting a target cell with a candidate therapeutic agent which is a selective substrate for an endogenous, intracellular enzyme in the cell which is enhanced in its expression as a result of selection by biol. or chemotherapy. This invention also provides methods and examples of mols. for selectively killing a pathol. cell by contacting the cell with a prodrug that is a selective substrate for an endogenous, intracellular enzyme. The prodrug is subsequently converted to a cellular toxin. Further provided by this invention is a method for treating a pathol. characterized by pathol., hyperproliferative cells in a subject by administering to the subject a prodrug that is a selective substrate for an endogenous, overexpressed, intracellular enzyme, and converted by the enzyme to a cellular toxin in the hyperproliferative cell. Thus, E-5-(2-bromovinyl)-2'-deoxy-5'-uridyl Ph L-alaninylphosphoramidate (BVDU-PA) was prepared by reacting E-5-(2-bromovinyl)-2'-deoxyuridine with Ph L-methoxyalaninyl phosphorochloridate in anhydrous DMF in the presence of imidazole (HCl scavenger). BVDU-PA was added to H630R10 cells and to CCD18co control cells. H630R10 cells expressed 10-fold more thymidylate synthase enzyme than CCD18co cells. BVDU-PA displayed IC50's of 217 and 2810 μM on the H630R10 cells and CCD18co cells, resp.

IT 232925-18-7P 232925-20-1P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(method for drug screening and enzyme-activated phosphoryl or phosphoramidate prodrugs and their synthesis and use in inhibition of cell proliferation)

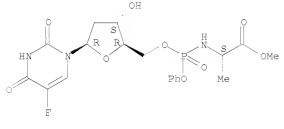
RN 232925-18-7 CAPLUS
CN L-Alanine, N-[5-[(1E)-2-bromoethenyl]-2'-deoxy-P-phenyl-5'-uridylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 232925-20-1 CAPLUS
CN L-Alanine, N-(2'-deoxy-5-fluoro-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

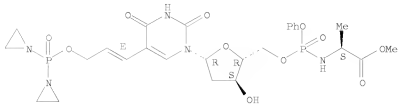
Absolute stereochemistry.



IT 232925-21-2 232925-22-3 232925-23-4
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(method for drug screening and enzyme-activated phosphoryl or phosphoramidate prodrugs and their synthesis and use in inhibition of cell proliferation)

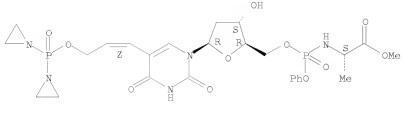
RN 232925-21-2 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1E)-3-[[bis(1-aziridinyl)phosphinyl]oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



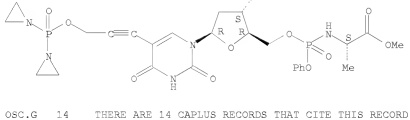
RN 232925-22-3 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[(1Z)-3-[[bis(1-aziridinyl)phosphinyl]oxy]-1-propenyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 232925-23-4 CAPLUS
CN L-Alanine, N-[2'-deoxy-5-[3-[[bis(1-aziridinyl)phosphinyl]oxy]-1-propynyl]-P-phenyl-5'-uridylyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 50 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:448710 CAPLUS
DN 131:170568
TI Synthesis, cytostatic activity and inhibition of ribonucleotide reductase by 5'-phosphoramidates and 5'-diphosphates, of 2'-O-allyl-arabinofuranosyl nucleosides
AU Manfredini, S.; Baraldi, P. G.; Durini, E.; Balzarini, J.; De Clercq, E.; Karlsson, A.; Buzzoni, V.; Thelander, L.
CS Department of Pharmaceutical Sciences, Ferrara University, Italy
SO Nucleosides & Nucleotides (1999), 18(4 & 5), 1007-1008
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
AB A symposium reporting that the diphosphates of a series of 2'-O-allyl-1-β-D-arabinofuranosyl derivs., previously obtained by the

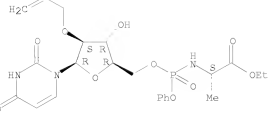
authors, have been prepared and tested for their inhibitory activity in an in vitro assay using R1 and R2 subunits of the purified recombinant mouse ribonucleotide reductase (RNR). 2'-O-Allyl-araU diphosphate proved to be inhibitory, with an IC50 of 100 μM. The 5'-phosphoramidate pronucleotide of 2'-O-allyl-araU was also prepared and tested for inhibition of tumor cell proliferation.

IT 239117-80-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, cytostatic activity and inhibition of ribonucleotide reductase by phosphoramidates and diphosphates of allylarabinofuranosyl nucleosides)

RN 239117-80-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-2-O-2-propen-1-yl-β-D-arabinofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.

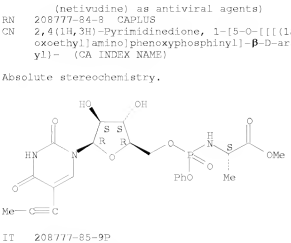


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:382225 CAPLUS
DN 129:49222
OREF 129:10111a,10114a
TI Synthesis and evaluation of some masked phosphate esters of the anti-herpesvirus drug 882C (netivudine) as potential antiviral agents
AU Mcguigan, C.; Perry, A.; Yarnold, C. J.; Sutton, P. W.; Lowe, D.; Miller, W.; Rahim, S. G.; Slater, M. J.
CS Welsh School of Pharmacy, University of Wales Cardiff, Cardiff, CF1 3XF, UK
SO Antiviral Chemistry & Chemotherapy (1998), 9(3), 233-243
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press
DT Journal
LA English
AB A number of sym. and asym. 5'-phosphate esters of the potent anti-varicella-zoster virus (VZV) agent 1-β-D-arabinofuranosyl-5-(1-propynyl)uracil (netivudine) were prepared as potential lipophilic, membrane-soluble prodrugs of the bioactive phosphate forms. The compds. were prepared by the base-catalyzed coupling of various phosphorochloridates with the free nucleoside analog. The compds. were fully characterized by a range of spectroscopic and anal. methods and were studied for their inhibition of several viruses in tissue culture. All of the phosphate esters were inactive against human cytomegalovirus, herpes simplex virus type 2, VZV, human immunodeficiency virus type 1 and influenza A virus (EC50 >100 μM) except the 5'-(4-nitrophenyl Ph phosphate), which inhibited influenza A virus. The relative rate of esterase-mediated hydrolysis of netivudine 5'-(L-methoxyalaninyl Ph phosphate) was measured in order to rationalize the poor antiviral action, and data were collected on possible metabolites in support of this anal. Cell-specific esterases are implicated as key determinants of the antiviral potency of prodrugs of this type.
IT 208777-84-8P, Netivudine 5'-(L-methoxyalaninyl phenyl phosphate)
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and evaluation of some masked phosphate esters of 882C

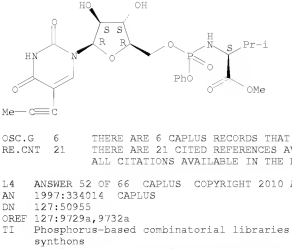
(netivudine) as antiviral agents)
RN 208777-84-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[[[(1S)-2-methoxy-1-methyl-2-oxoethyl]amino]phenoxyphosphinyl]-β-D-arabinofuranosyl]-5-(1-propyn-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.



IT 208777-85-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and evaluation of some masked phosphate esters of 882C (netivudine) as antiviral agents)
RN 208777-85-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[[[(1S)-1-(methoxycarbonyl)-2-methylpropyl]amino]phenoxyphosphinyl]-β-D-arabinofuranosyl]-5-(1-propyn-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1997:334014 CAPLUS
DN 127:50955
OREF 127:9729a,9732a
TI Phosphorus-based combinatorial libraries: use of amino acid derivatives as synthons
AU Fathi, Reza; Patel, Rina; Cook, Alan F.
CS PharmaGenics Inc., Allendale, NJ, 07401, USA
SO Molecular Diversity (1997), 2(3), 125-134
CODEN: MODIF4; ISSN: 1381-1991
PB ESCOM
DT Journal
LA English
GI

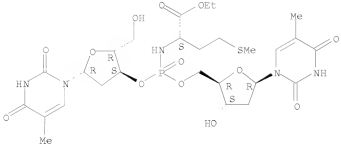
AB Phosphorus has been used as a scaffold to prepare phosphoramidate

combinatorial libraries I [HO-R1-OH , HO-R2-OH - variable bifunctional group containing two hydroxy functions; R3 - Met-OEt, Ala-OMe, Val-OEt, Glu(OEt)-OEt, Phe-OMe, Tyr-OEt, Leu-OCMe3, Ile-OCMe3, Trp-OMe, Pro-OMe, Asp(OCMe3)-OCMe3] which one of the diversity elements resulted from amino acid derivs. A small library was prepared for anal. and characterization purposes, followed by a larger library of approx. 8800 compds. Libraries were assembled on solid supports using the conventional pool-and-divide method, followed by cleavage from the supports at the end of the synthesis. Mass spectrometry was used to confirm that library synthesis had been successful. Individual compds. were also prepared to study the stability of compds. of this type.

IT 191017-38-6P 191017-39-7P 191017-40-0P
191017-42-2P 191017-43-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(amino acid derivs. as synthons in preparation of phosphorus-based combinatorial libraries)

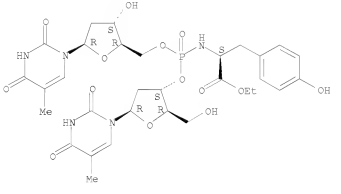
RN 191017-38-6 CAPLUS
CN L-Methionine, N-[P-(5'-deoxythymidin-5'-yl)-3'-thymidylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



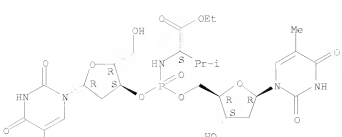
RN 191017-39-7 CAPLUS
CN L-Tyrosine, N-[P-(5'-deoxythymidin-5'-yl)-3'-thymidylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



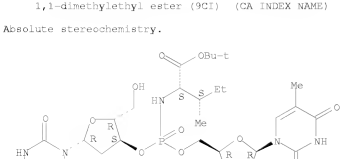
RN 191017-40-0 CAPLUS
CN L-Valine, N-[P-(5'-deoxythymidin-5'-yl)-3'-thymidylyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



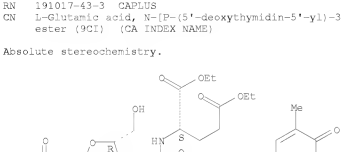
RN 191017-42-2 CAPLUS
CN L-Isoleucine, N-[P-(5'-deoxythymidin-5'-yl)-3'-thymidylyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191017-43-3 CAPLUS
CN L-Glutamic acid, N-[P-(5'-deoxythymidin-5'-yl)-3'-thymidylyl]-, diethyl ester (9CI) (CA INDEX NAME)

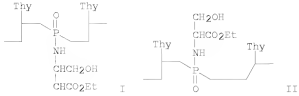
Absolute stereochemistry.



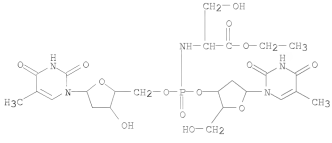
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1990:552990 CAPLUS
DN 113:152990
OREF 113:26023a,26026a
TI Oligonucleotides and nucleotide peptides. LIII. Synthesis and properties of thymidylyl-(5'→3')-thymidine-(PM→N)-serine ethyl ester
AU Juodka, B.; Bagdoniene, L.
CS Vil'nyus. Gos. Univ., Vilnius, USSR
SO Khimiya Prirodnykh Soedinenii (1990), (1), 88-93
CODEN: KPSUAR; ISSN: 0023-1150

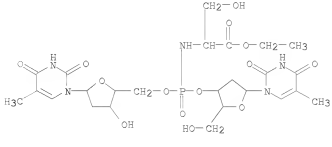
DT Journal
LA Russian
OS CASREACT 113:152990
GI



AB Treating TpT as its tri-n-octylammonium salt with H-Ser-OEt (1:3) in pyridine containing triisopropylbenzenesulfonyl chloride, N-methylimidazole, and Et3N gave 38% yield of a mixture containing diastereomeric oligonucleotide amino acids I and II. On treatment of I and II with 1N HCl, the phosphoamide undergoes 20% N → O migration. In basic media, compared to other nucleotide peptides, decomposition of phosphoamide bonds in I and II occurs.
IT 129704-55-8P 129704-56-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acid-base treatment of)
RN 129704-55-8 CAPLUS
CN L-Serine, N-3'-thymidylyl-, 1-ethyl ester, P→5'-ester with thymidine, (R)- (9CI) (CA INDEX NAME)



RN 129704-56-9 CAPLUS
CN L-Serine, N-3'-thymidylyl-, 1-ethyl ester, P→5'-ester with thymidine, (S)- (9CI) (CA INDEX NAME)



L4 ANSWER 54 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1986:225188 CAPLUS
DN 104:225188
OREF 104:35735a,35738a
TI Thymidine nucleosides
IN Letsinger, Robert L.; Schott, Margaret E.
PA United States Dept. of Health and Human Services, USA

SO U.S., 9 pp. Cont. of U.S. Ser. No. 444,438, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

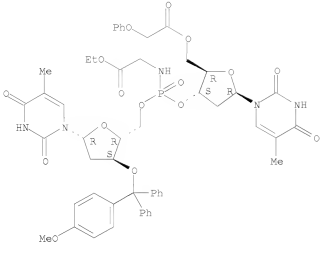
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 4547569 | A | 19851015 | US 1984-620801 | 19840614 |
| | US 444438 | A0 | 19840817 | US 1982-444438 | 19821124 |
| PRAI | US 1982-444438 | A2 | 19821124 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 104:225188
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Th = 1-thyminyl throughout this abstract Nucleotides I (R = R1 are MeNH, EtNH, NH2, H; Z1 = alkylene; n is an integer of 0-19) were prepared, and they showed their usefulness as fluorescent probes. Two different thymidine derivs. were treated with 2-ClC6H4OPCl2, and the phosphite triester obtained was converted, in a series of reactions, to nucleotide derivative II.
IT 93084-42-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, by butanediamine, selective deprotection in)
RN 93084-42-5 CAPLUS
CN Thymidine, P-deoxy-P-[(2-ethoxy-2-oxoethyl)amino]-5'-O-(phenoxyacetyl)thymidylyl-(3'->5')-3'-O-[(4-methoxyphenyl)diphenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



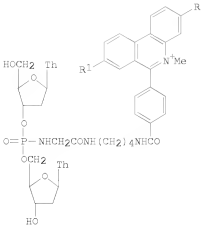

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1984:611660 CAPLUS
DN 101:211660
OREF 101:32091a,32094a
TI Intercalating agents specifying nucleotides
IN Letsinger, Robert L.; Schott, Margaret E.
PA United States Dept. of Health and Human Services, USA
SO U. S. Pat. Appl., 16 pp. Avail. NTIS Order No. PAT-APPl-6-444 438.
CODEN: XAXXAV
DT Patent

LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 444438 | A0 | 19840817 | US 1982-444438 | 19821124 |
| | US 4547569 | A | 19851015 | US 1984-620801 | 19840614 |
| PRAI | US 1982-444438 | A2 | 19821124 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI




I

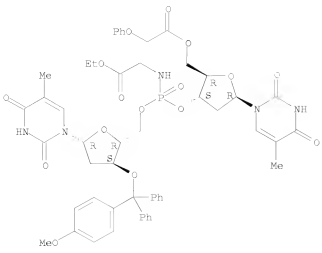
AB Phenanthridinium compds. I (Th = thymin-1-yl; R, R1 = H, NH2, MeNH, EtNH,) were prepared. I can serve as site specific inhibitors for enzymic processes involving polynucleotides, as reagents for selective cleavage or modification of polynucleotide chains, and as agents for introducing markers, e.g., fluorescent probes, at specified regions in polynucleotides. Thus, I (R = R1 = NH2)(II) was prepared by constructing the nucleotide portion containing the NHCH2CONH(CH2)4NH2 group, and the p-nitrophenyl ester of the phenanthridinium unit and linking the 2 fragments via an amide bond. II binds strongly to poly(A) at 0° and weakly or not at all to poly(G), poly(C), poly(U), and poly(I).

IT 93084-42-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and amidation, with diaminobutane)

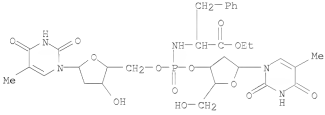
RN 93084-42-5 CAPLUS

CN Thymidine, P-deoxy-P-[(2-ethoxy-2-oxoethyl)amino]-5'-O-(phenoxyacetyl)thymidylyl-(3'→5')-3'-O-[(4-methoxyphenyl)diphenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

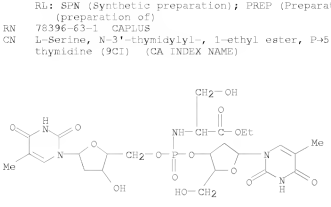


L4 ANSWER 56 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1983:198675 CAPLUS
DN 98:198675
OREF 98:30231a,30234a
TI Oligonucleotides and nucleotide peptides. XLII. Efficiency of the intramolecular effect of the carboxyl group of amino acids in nucleotidyl-(P → N)-amino acids (peptides) in relation to its position
AU Juodka, B.; Liorancaite, L.; Baltenas, V.
CS Vil'nyuss. Gos. Univ., Vilnius, USSR
SO Khimiya Prirodnykh Soedinenii (1982), (6), 740-6
CODEN: KPSUAR; ISSN: 0023-1150
DT Journal
LA Russian
AB Title compds., e.g., deoxythymidylyl(5'→N)phenylalanine (I), were prepared and their hydrolytic stabilities were examined The phenylalanine carboxyl group in I is much more effective than that in the (3'→N)-analog of I in promoting intramol. catalysis of hydrolysis. The carboxyl group in oligonucleotidyl(P'm → N) amino acids is responsible for cleavage of the phospho ester bond in acidic medium.
IT 81202-42-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 81202-42-8 CAPLUS
CN Thymidine, P-deoxy-P-[[2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]thymidylyl-(3'→5')-, (S)- (9CI) (CA INDEX NAME)

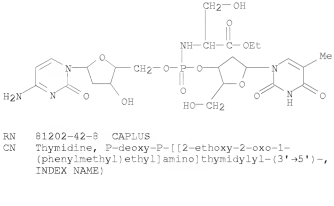


L4 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1982:143270 CAPLUS
DN 96:143270
OREF 96:23585a,23588a
TI Synthesis and some properties of oligonucleotidyl-(Pm → N)-serines
AU Liorancaite, L.; Juodka, B.
CS Vilnius State Univ., Vilnius, USSR

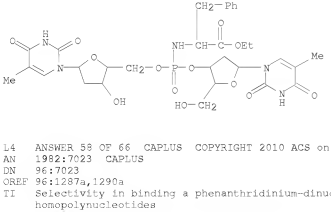
SO Nucleic Acids Symposium Series (1981), 9, 215-18
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Nucleotidyl amino acids I-VI were prepared by condensing TpT or TpdC with the amino acid derivative by (PhO)2P(O)Cl, TPS, or carbonyldiimidazole (CDI). The CDI method gave the best results. III underwent an N→O migration in acid, whereas the phosphoamide bond was cleaved in alkaline medium.
IT 78396-63-1P 81136-03-0P 81202-42-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78396-63-1 CAPLUS
CN L-Serine, N-3'-thymidylyl-, 1-ethyl ester, P→5'-ester with thymidine (9CI) (CA INDEX NAME)



RN 81136-03-0 CAPLUS
CN Thymidine, P,2'-dideoxy-P-[[2-ethoxy-1-(hydroxymethyl)-2-oxoethyl]amino]cytidylyl-(5'→3')-, (S)- (9CI) (CA INDEX NAME)



RN 81202-42-8 CAPLUS
CN Thymidine, P-deoxy-P-[[2-ethoxy-2-oxo-1-[(phenylmethyl)ethyl]amino]thymidylyl-(3'→5')-, (S)- (9CI) (CA INDEX NAME)

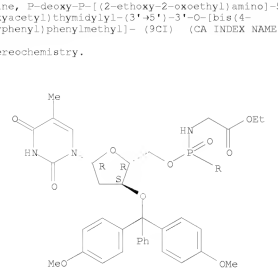


L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1982:7023 CAPLUS
DN 96:7023
OREF 96:1287a,1290a
TI Selectivity in binding a phenanthridinium-dinucleotide derivative to homopolynucleotides
AU Letsinger, Robert L.; Schott, Margaret E.

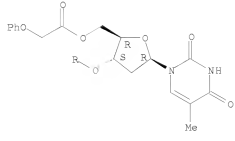
CS Dep. Chem., Northwestern Univ., Evanston, IL, 60201, USA
SO Journal of the American Chemical Society (1981), 103(24), 7394-6
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Dinucleotide I was synthesized as a prototype of a mol. bearing a biol. active group (diaminomethylphenylphenanthridinium) joined covalently to an oligonucleotide recognition system (thymidylylthymidine) by a linker that permits intercalation of the active group in the pocket formed by the nucleotide and complementary bases in another polynucleotide strand. Spectrophotometric data show that I binds strongly to poly(A) at 0° and weakly or not at all with poly(G), poly(C), poly(U) and poly(I). On warming, the complex with poly(A) dissocs. (Tm .apprx.47° in absence of salt, .apprx.25° in 0.1M NaCl; Tm = melting temperature). The strong interaction of I with poly(A) is attributed to the presence of 2 types of binding sites in I which can act cooperatively, the phenanthridinium ring and the pyrimidine bases (which show Watson-Crick type selectivity).
IT 79999-73-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with diaminobutane)
RN 79999-73-8 CAPLUS
CN Thymidine, P-deoxy-P-[(2-ethoxy-2-oxoethyl)amino]-5'-O-{phenoxyacetyl}thymidylyl-(3'→5')-3'-O-[bis(4-methoxyphenyl]phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



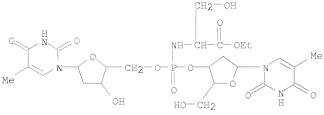
PAGE 2-A



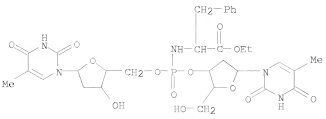
OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1981:462616 CAPLUS
DN 95:62616
OREF 95:10591a,10594a

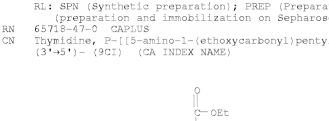
TI Synthesis and properties of oligonucleotidyl -(Pm → N)- and -(Pm → O)-serines
AU Liorancaite, L.; Bagdonas, A.
CS Vilnius State Univ., Vilnius, USSR
SO Aktual. Probl. Razvit. Nauchn. Issled. Molodykh Uch. Spets. Vil'nyus. Gosuniv. im. V. Kapsukasa, Mater. Konf. Molodykh Uch. Spets. Estestv. Khim. Fak. (1980), 35-6. Editor(s): Grigonis, I. Publisher: Vil'nyus. Gos. Univ. im. V. Kapsukasa, Vilnius, USSR.
CODEN: 44ZNA8
DT Conference
LA Russian
AB The title compds. EtO-Ser-(PM-°N)-d(TPT) (I) and EtO-Ser-(PM-°O)-d(TpT) were prepared from H-Ser-OEt and d(TpT). I is relatively stable in acid solution, but hydrolyzes in basic solution to give products indicating both P-N and P-O cleavage, the former presumably via β-elimination of the serine residue.
IT 78396-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn and hydrolysis of)
RN 78396-63-1 CAPLUS
CN L-Serine, N-3'-thymidylyl-, 1-ethyl ester, P→5'-ester with thymidine (9CI) (CA INDEX NAME)



L4 ANSWER 60 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1978:580327 CAPLUS
DN 89:180327
OREE 89:28027a,28030a
TI Phenomenon of intramolecular catalysis in oligonucleotidyl (Pm → N)-amino acids
AU Leimontaite, R.; Liorancaite, L.; Juodaka, B.
CS Vil'nyus. Gos. Univ., Vilnius, USSR
SO Tezisy Dokl. - Resp. Konf. Molodykh Uch.-Khim., 2nd (1977), Volume 1, 157 Publisher: Akad. Nauk Est. SSR, Inst. Khim., Tallinn, USSR.
CODEN: 38RMAG
DT Conference
LA Russian
AB Thymidylyl-(3' → 5)-thymidine(Pm → N)DL-phenylalanine Et ester (I) was prepared by the pyrophosphate method and saponified to give thymidylyl-(3' → 5)-thymidine(Pm → N)DL-phenylalanine (II). I was stable in alkaline and neutral solution and hydrolyzed in 2N HCl at 50° to give thymidylylthymidine (III) and Phe-OEt. II was more reactive in acidic media and hydrolyzed to give III, phenylalanine, thymidine, thymidylic acid, and N-thymidylyl-DL-phenylalanine.
IT 68058-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 68058-22-0 CAPLUS
CN Thymidine, P-deoxy-P-[[2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]thymidylyl-(3'→5')- (9CI) (CA INDEX NAME)



L4 ANSWER 61 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1978:121671 CAPLUS
DN 88:121671
OREF 88:19113a,19116a
TI Synthesis of nucleotidyl- and olignucleotidyl (P → N) lysines and their immobilization on Sepharose
AU Juodka, B.; Liorancaite, L.; Leimontaite, R.; Malinauskas, A.; Kulys, J.; Sokolova, N. I.; Shabarova, Z. A.
CS Vil'nyus. Gos. Univ., Vilnius, USSR
SO Khimiya Prirodnykh Soedinenii (1977), (3), 435-6
CODEN: KPSUAR; ISSN: 0023-1150
DT Journal
LA Russian
AB R-DL-Lys-OR1, DL-Lys(R)-OR1 (R = 5'-adenylyl, 5'-thymidylyl, Q; R1 = H, Et) were prepared by pyrophosphate, dicyclohexylcarbodiimide, or mixed anhydride condensation reactions and immobilized by treatment with cyanogen bromide activated Sepharose 4B.
IT 65718-47-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immobilization on Sepharose)
RN 65718-47-0 CAPLUS
CN Thymidine, P-[[5-amino-1-(ethoxycarbonyl)pentyl]amino]-P-deoxythymidylyl-(3'→5')- (9CI) (CA INDEX NAME)

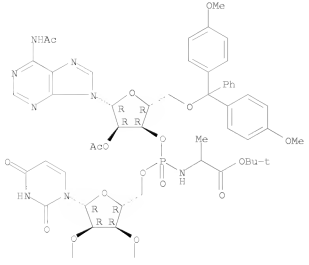


L4 ANSWER 62 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1974:449967 CAPLUS
DN 81:49967
OREF 81:7983a,7986a
TI Oligonucleotidic compounds. XLVII. Synthesis of diribonucleoside phospho(P .far. N)amino acid derivatives
AU Juodka, B. A.; Smrt, Jiri
CS Cesk. Akad. Ved, Prague, Czech.
SO Collection of Czechoslovak Chemical Communications (1974), 39(4), 963-8
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
AB 5'-O-Dimethoxytrityl-2'-O-tetrahydropyranyluridylyl-(3' →5')-2',-3'-O-ethoxymethyleneuridine-(P → N)-cyclohexylamide and (P → N)-glycine Et ester, and 5'-O-dimethoxytrityl-2'-O-acetyl-N6-acetyladenylyl-(3' → 5')-2',3'-di-O-benzoyluridine-(P → N)-DL-alanine tert-Bu ester were prepared from fully protected uridylyl-(3' → 5')-uridine and adenylyl-(3' → 5')-uridine with the use of bis(p-nitrophenyl) phosphochloridate.
IT 53810-62-1P

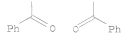
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53810-62-1 CAPLUS
CN Adenosine, 2',3'-di-O-benzoyl-P-deoxy-P-[[2-(1,1-dimethylethyl)-1-methyl-2-oxoethyl]amino]-uridylyl-(5'→3')-N-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

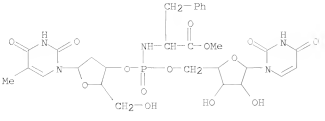


PAGE 2-A



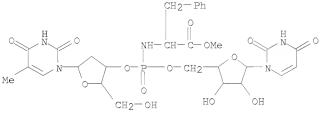
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

14 ANSWER 63 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1971:471336 CAPLUS
DN 75:71336
OREF 75:11256h,11257a
TI Optical rotatory dispersion and circular dichroism of mono- and oligonucleotide-amino acids (amidates)
AU Gromova, E. S.; Tyaglov, B. V.; Shabarova, Z. A.
CS Lab. Bioorg. Chem., Moscow State Univ., Moscow, USSR
SO Biochimica et Biophysica Acta, Nucleic Acids and Protein Synthesis (1971), 240(1), 1-11
CODEN: BBNPAS; ISSN: 0005-2787
DT Journal
LA English
AB ORD and CD of 23 mono- and oligonucleotide amino acids were studied. ORD and CD of purine nucleotides with an aromatic amino acid or amine display a Cotton effect of opposite sign and greater amplitude than that of unsubstituted nucleotides.
IT 33644-82-5
RL: PRP (Properties)
(optical properties of)
RN 33644-82-5 CAPLUS
CN Alanine, 3-phenyl-N-phosphono-, 1-methyl ester, DL-, 3'-ester with thymidine, 5'-ester with uridine (8CI) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

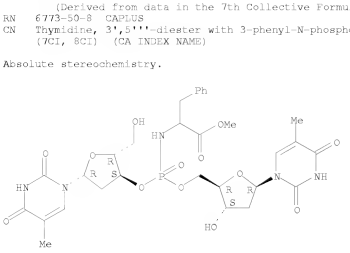
L4 ANSWER 64 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1967:55702 CAPLUS
DN 66:55702
OREF 66:10551a,10554a
TI Synthesis of thymidylyl-(3' → 5')-uridino-(P → N)-phenylalanine
AU Sokolova, N. I.; Mel'nikova, V. I.; Shabarova, Z. A.; Prokof'ev, M. A.
CS Univ., Moscow, USSR
SO Vestnik Moskovskogo Universiteta, Seriya 2: Khimiya (1966), 21(5), 119-21
CODEN: VMUKA5; ISSN: 0579-9384
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB A solution of 150 mg. of 2',3'-diacetyluridine 5'-phosphate pyridine salt and 145 mg. of 5'-tritylthymidine in 3 ml. dry C5H5N with 306 mg. hexylcarbodiimide was evaporated after 3 days and the residue treated with hot 80% AcOH to cleave the trityl group. After saponification (pH 13, 25°, 30 min.) the product was purified by ion exchange (Amberlite IR-120, H form) and paper (7:1:22-propanol-concentrated NH4OH-H2O) chromatography to yield 70% thymidylyl-(3' → 5')-uridine (I), λmaximum 265mμ (50% EtOH). The mixed anhydride formed in dry dioxane from 0.065 millimole I and 0.13 millimole diphenyl phosphorochloridate was treated with 0.33 millimole Me phenylalaninate (II). The product was purified by paper chromatography as above to yield 50% thymidylyl-(3' → 5')-uridino-(P → N)-phenylalanine methyl ester (III), λmaximum 266 mμ. Hydrolysis of III in 0.1N HCl (1 hr., 70°) gave I and II.
IT 14364-63-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14364-63-7 CAPLUS
CN Thymidine, 3'-ester with 3-phenyl-N-phosphonoalanine 1-methyl ester 5'-ester with uridine (8CI) (CA INDEX NAME)



L4 ANSWER 65 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1966:68146 CAPLUS
DN 64:68146
OREF 64:12777g-h
TI Preparation of dicyclopropylcarbinyl phosphorochloridate and its use in phosphorylation reactions
AU Schoffstall, A. M.; Tieckelmann, H.
CS State Univ. of New York, Buffalo
SO Tetrahedron (1966), 22(2), 399-406
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English

OS CASREACT 64:68146
AB Dicyclopropylcarbinyl phosphorochloridate was synthesized for use in phosphorylation reactions. This reagent and primary or secondary alcs. gave the corresponding esters. It reacted with primary and secondary hydroxyl functions of nucleosides to form nucleotide triesters. Dicyclopropylcarbinyl methyl phosphate was hydrolyzed by aqueous acid to monomethyl phosphate. The nucleotide triesters gave free nucleotides in amts. reflecting their labilities in acid. Thus, uridine 5'-phosphate was produced in 53% overall yield from 2',3'-O-isopropylideneuridine, whereas thymidine 3'-phosphate was obtained in only 12% yield from 5'-O-tritylthymidine.
IT 6773-50-8
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 6773-50-8 CAPLUS
CN Thymidine, 3',5'''-diester with 3-phenyl-N-phosphonoalanine methyl ester (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 66 OF 66 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1966:68145 CAPLUS
DN 64:68145
OREF 64:12777d-g
TI Dinucleoside phospho-(PM → N)-amino acids
AU Vorob'ev, O. E.; Sokolova, N. I.; Mel'nikova, V. I.; Shabarova, Z. A.; Prokof'ev, M. A.
CS State Univ., Moscow
SO Doklady Akademii Nauk SSSR (1966), 166(1), 95-8
CODEN: DANKAS; ISSN: 0002-3264
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB Treatment of thoroughly dried 2',5-di-O-acetyluridine 3'-phosphate pyridinium salt with 2',3'-O-isopropylideneuridine (2 moles) in pyridine with N,N'-dicyclohexylcarbodiimide 7 days gave after an aqueous treatment and electrophoretic purification at pH 7.5, 30% I (U = uridinyl group), isolated as the Et3N salt, a colorless powder. This in Me2NCHO with (PhO)2POCl in the presence of Bu3N 2 hrs., followed by phenylalanine Me ester overnight, gave after electrophoretic purification at pH 7.5, 10% II (U = uridinyl group), which in 20 hrs. at 37° in bicarbonate-carbonate buffer at pH 10.5 gave phenylalanine and uridilyl-(3' → 5')-2',3'-O-isopropylideneuridine in nearly 100% yield. Similarly, thymidyl(3' → 5')-thymidine NH4 salt, converted to trioctylamine salt, was treated with (PhO)2POCl to yield 70% III (T = thymidyl group), as above. The uv spectra were reported. III was fairly stable in an alkaline solution
IT 6773-50-8
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 6773-50-8 CAPLUS
CN Thymidine, 3',5'''-diester with 3-phenyl-N-phosphonoalanine methyl ester (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.